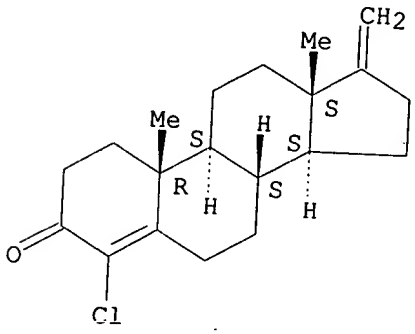
L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS
RN **969-14-2** REGISTRY
CN Androst-4-en-3-one, 4-chloro-17-methylene- (7CI, 8CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H27 Cl O
LC STN Files: CA, CAOLD, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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=> fil reg
FILE 'REGISTRY' ENTERED AT 17:32:33 ON 12 JUN 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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STRUCTURE FILE UPDATES:   11 JUN 2002  HIGHEST RN 428813-86-9
DICTIONARY FILE UPDATES:  11 JUN 2002  HIGHEST RN 428813-86-9

TSCA INFORMATION NOW CURRENT THROUGH January 7, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Calculated physical property data is now available.  See HELP PROPERTIES
for more information.  See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L21             STR
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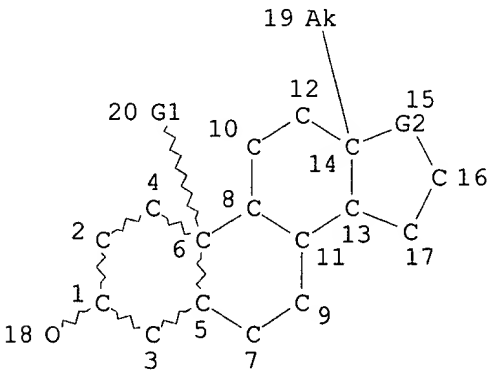

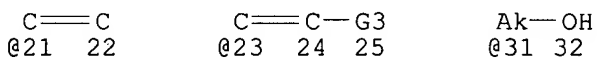

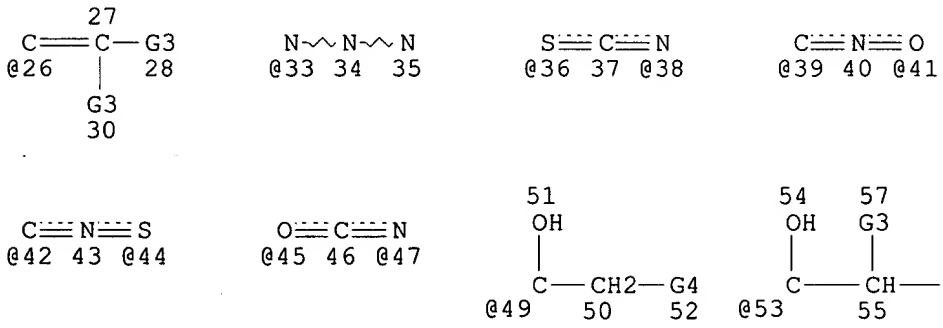


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VAR G2=21/23/26/49/53
VAR G3=AK/31/X/CN/33/36/39/42/45/38/41/44/47
VAR G4=H/AK/31/X/CN/33/36/39/42/45/38/41/44/47
NODE ATTRIBUTES:
CONNECT IS M1  RC AT   3
CONNECT IS M1  RC AT   5
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
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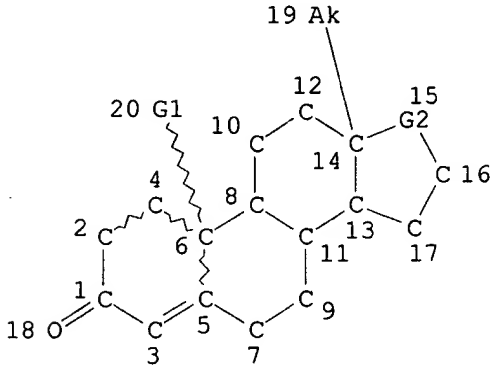
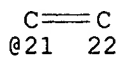
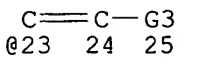
Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 – 703-308-4498
jan.delaval@uspto.gov

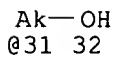
NUMBER OF NODES IS 55

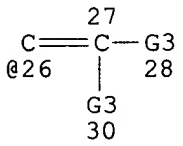
STEREO ATTRIBUTES: NONE
L23 650 SEA FILE=REGISTRY CSS FUL L21
L33 STR

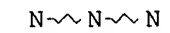


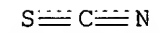


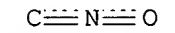


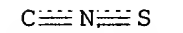


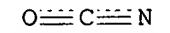


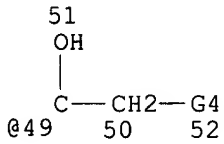


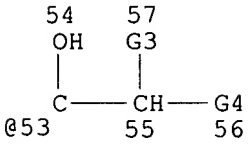












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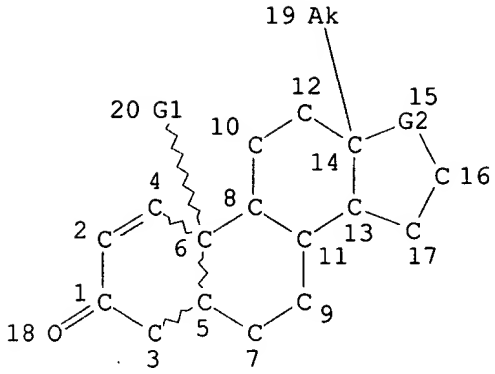
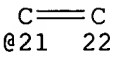
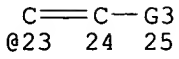
VAR G2=21/23/26/49/53
VAR G3=AK/31/X/CN/33/36/39/42/45/38/41/44/47
VAR G4=H/AK/31/X/CN/33/36/39/42/45/38/41/44/47
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

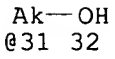
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 55

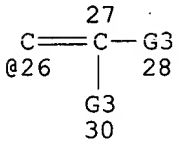
STEREO ATTRIBUTES: NONE
L34 STR

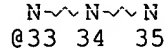


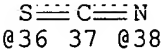


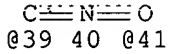


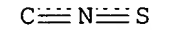


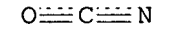


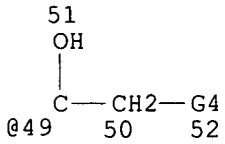


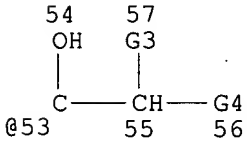












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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 55

STEREO ATTRIBUTES: NONE
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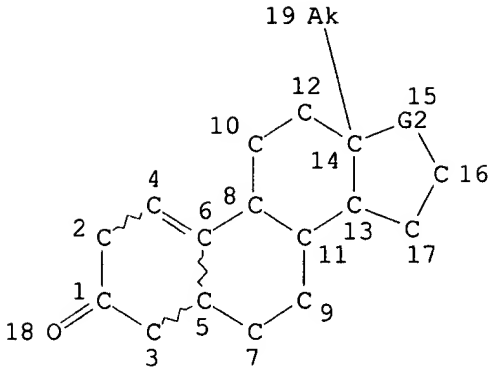

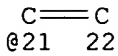

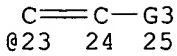

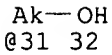

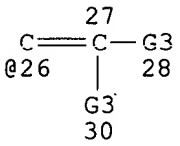

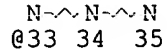

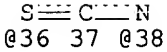

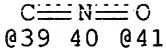

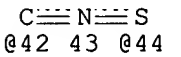

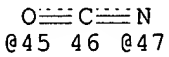

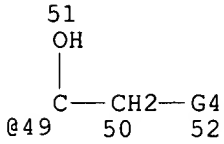

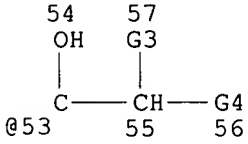

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VAR G3=AK/31/X/CN/33/36/39/42/45/38/41/44/47
VAR G4=H/AK/31/X/CN/33/36/39/42/45/38/41/44/47
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 54

STEREO ATTRIBUTES: NONE
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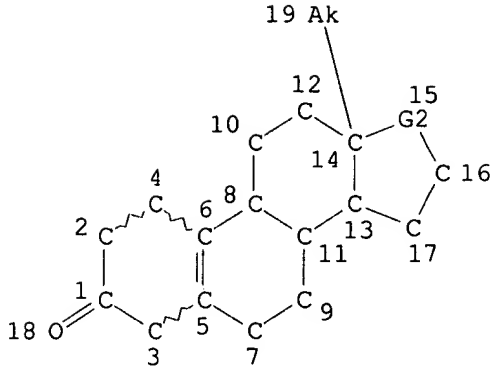

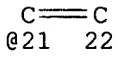

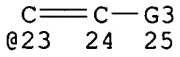

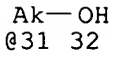

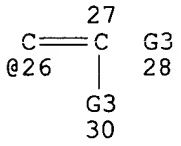

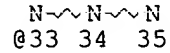

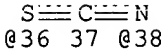

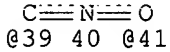

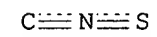

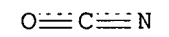

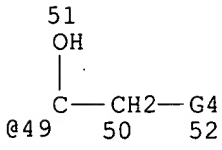

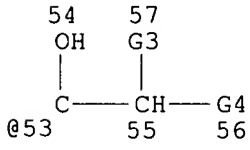

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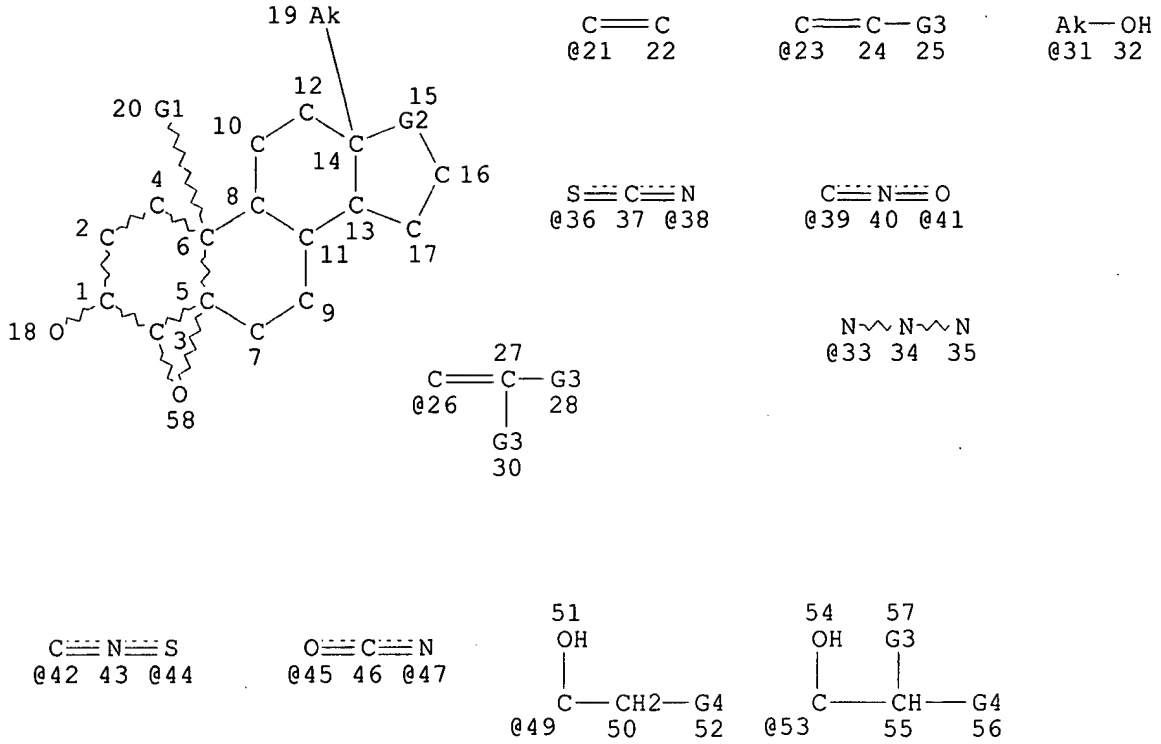
VAR G3=AK/31/X/CN/33/36/39/42/45/38/41/44/47
VAR G4=H/AK/31/X/CN/33/36/39/42/45/38/41/44/47
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 54

STEREO ATTRIBUTES: NONE
L39 SCR 2039 OR 2054 OR 2051
L43 305 SEA FILE=REGISTRY SUB=L23 SSS FUL (L33 OR L34 OR L35 OR L36)
NOT L39
L45 STR



VAR G1=H/AK
VAR G2=21/23/26/49/53
VAR G3=AK/31/X/CN/33/36/39/42/45/38/41/44/47
VAR G4=H/AK/31/X/CN/33/36/39/42/45/38/41/44/47
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 56

STEREO ATTRIBUTES: NONE

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L47          24 SEA FILE=REGISTRY SUB=L23 SSS FUL L45
L48          22 SEA FILE=REGISTRY ABB=ON  PLU=ON  L47 NOT 3 OL
L49           4 SEA FILE=REGISTRY ABB=ON  PLU=ON  L48 AND DIOL
L50          18 SEA FILE=REGISTRY ABB=ON  PLU=ON  L48 NOT L49
L51         321 SEA FILE=REGISTRY ABB=ON  PLU=ON  (L43 OR L50)


=> d sta que l56
L21             STR
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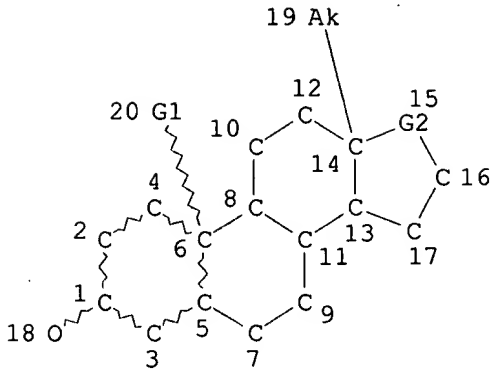
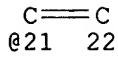
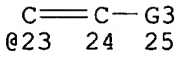
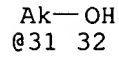
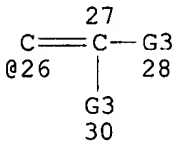
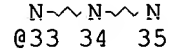


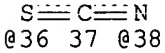


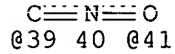


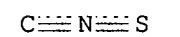


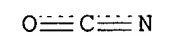


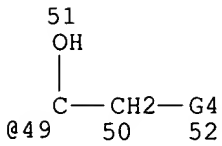


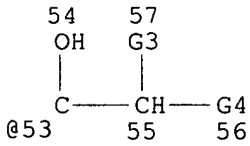








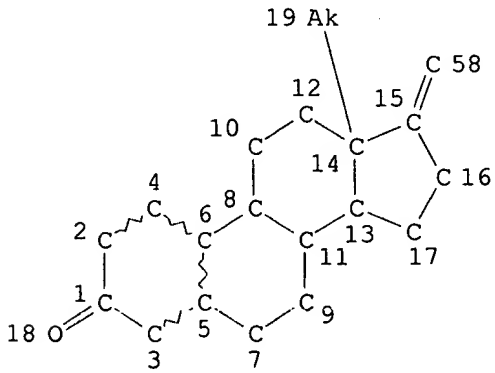




VAR G1=H/AK
VAR G2=21/23/26/49/53
VAR G3=AK/31/X/CN/33/36/39/42/45/38/41/44/47
VAR G4=H/AK/31/X/CN/33/36/39/42/45/38/41/44/47
NODE ATTRIBUTES:
CONNECT IS M1 RC AT 3
CONNECT IS M1 RC AT 5
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 55

STEREO ATTRIBUTES: NONE
L23 650 SEA FILE=REGISTRY CSS FUL L21
L53 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  20

STEREO ATTRIBUTES: NONE
L56          73 SEA FILE=REGISTRY SUB=L23 SSS FUL L53

100.0% PROCESSED     81 ITERATIONS                          73 ANSWERS
SEARCH TIME: 00.00.01


=> d his

     (FILE 'HOME' ENTERED AT 16:32:16 ON 12 JUN 2002)
               SET COST OFF

     FILE 'HCAPLUS' ENTERED AT 16:32:37 ON 12 JUN 2002
               E DE2000-10043846/AP,PRN
L1             1 S E3,E4
               E MENZENBACH B/AU
L2            21 S E3,E4
               E DROESCHER P/AU
L3            34 S E3,E5
               E DROSCHER P/AU
               E DREOSCHER P/AU
L4             1 S E4
               E ELGER W/AU
L5           267 S E3-E9
               E HILLISCH A/AU
L6            21 S E3,E4
               E KAUFMANN G/AU
L7           129 S E3-E6,E21-E23,E25,E26
               E SCHWEIKERT H/AU
L8            67 S E3-E8
               E MULLER G/AU
L9           565 S E3-E20,E44-E47
               E MUELLER G/AU
L10         1199 S E3-E22,E69-E72,E74
               E MEULLER G/AU
L11            2 S E3
               E JENA/CS,PA
L12            2 S E57,E58
               E JENAPHARM/PA,CS
L13          922 S E3-E56
               SEL RN L1

     FILE 'REGISTRY' ENTERED AT 16:36:56 ON 12 JUN 2002
L14           26 S E1-E26
L15           21 S L14 AND C5-C6-C6-C6/ES
L16            5 S L14 NOT L15
L17            4 S L16 NOT REDUCTASE
L18               STR
L19           16 S L18 CSS
L20          629 S L18 CSS FUL
               SAV L20 QAZI963/A
L21               STR L18
L22           18 S L21 CSS SAM
L23          650 S L21 CSS FUL
               SAV L23 QAZI963A/A
L24               STR L21
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L25            0 S L24 SAM SUB=L23
L26              STR L24
L27            0 S L26 SAM SUB=L23
L28              STR L21
L29              STR L28
L30              STR L29
L31              STR L30
L32           32 S (L28 OR L29 OR L30 OR L31) SAM SUB=L23
L33              STR L28
L34              STR L29
L35              STR L30
L36              STR L31
L37           27 S (L33 OR L34 OR L35 OR L36) SAM SUB=L23
L38            5 S L32 NOT L37
L39              SCR 2039 OR 2054 OR 2051
L40           26 S (L28 OR L29 OR L30 OR L31) NOT L39 SAM SUB=L23
L41           21 S (L33 OR L34 OR L35 OR L36) NOT L39 SAM SUB=L23
L42            6 S L37 NOT L41
L43          305 S (L33 OR L34 OR L35 OR L36) NOT L39 FUL SUB=L23
                 SAV L43 QAZI963B/A
L44           21 S L14 AND L43
L45              STR L21
L46            4 S L45 SAM SUB=L23
L47           24 S L45 FUL SUB=L23
                 SAV L47 QAZI964C/A
L48           22 S L47 NOT 3 OL
L49            4 S L48 AND DIOL
L50           18 S L48 NOT L49
L51          321 S L43,L50
                 SAV L51 QAZI965D/A

     FILE 'HCAPLUS' ENTERED AT 17:18:09 ON 12 JUN 2002
L52         2466 S L51

     FILE 'REGISTRY' ENTERED AT 17:18:37 ON 12 JUN 2002
L53              STR L21
L54            2 S L53 SAM SUB=L51
L55            3 S L53 SAM SUB=L23
L56           73 S L53 FUL SUB=L23
                 SAV L56 QAZI965E/A
L57           63 S L56 AND L51
L58           10 S L56 NOT L57

     FILE 'HCAOLD' ENTERED AT 17:21:40 ON 12 JUN 2002
L59           18 S L57
                 SEL AN
                 EDIT /AN /OREF

     FILE 'HCAPLUS' ENTERED AT 17:22:37 ON 12 JUN 2002
L60           32 S E27-E44
                 SEL DN 2 5 7 9 15 17 19 21 23 28 30 32
L61           20 S L60 NOT E45-E56
L62           56 S L57
L63            1 S L62 AND L1-L13
L64           14 S L50
L65            1 S L64 AND L1-L13
L66            1 S L63,L65
L67           69 S L62,L64
L68           68 S L67 NOT L66
L69           68 S L68 AND (PD<=20000904 OR PRD<=20000904 OR AD<=20000904)
L70           41 S L68 AND P/DT
L71           27 S L68 NOT L70
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FILE 'USPATFULL, USPAT2' ENTERED AT 17:31:51 ON 12 JUN 2002
L72 21 S L57
L73 2 S L50
L74 23 S L72,L73

FILE 'REGISTRY' ENTERED AT 17:32:33 ON 12 JUN 2002

=> fil hcaold
FILE 'HCAOLD' ENTERED AT 17:33:13 ON 12 JUN 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

PRE-1967 CHEMICAL ABSTRACTS FILE WITH HOUR-BASED PRICING
FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

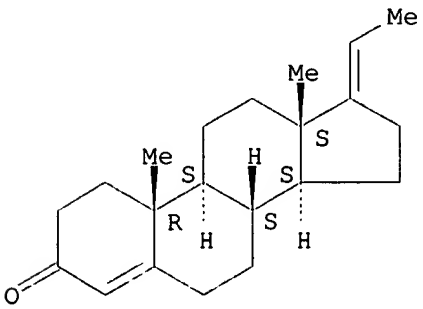
This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> d l59 all hitstr tot

L59 ANSWER 1 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA65:18651b CAOLD
TI sepn. of 21-deoxy-.DELTA.4-3-oxo steroids of the pregnane series by thin-layer chromatographic procedures
AU Lisboa, Belisario P.
IT 128-23-4 516-15-4 652-69-7 1096-38-4 1097-51-4 1162-55-6
1162-56-7 1232-18-4 1662-06-2 **1667-83-0** 2241-75-0
2625-60-7 2640-53-1 10164-21-3 10164-22-4 106195-98-6
IT **1667-83-0**
RN 1667-83-0 HCAOLD
CN Pregna-4,17(20)-dien-3-one (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



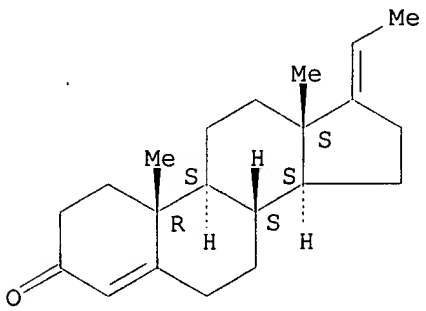
L59 ANSWER 2 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA63:8455g CAOLD
TI 19-halo pregnanes
PA Syntex Corp.

DT Patent
TI 19-halopregnane derivs.
AU Bowers, Albert
DT Patent

PATENT NO.	KIND	DATE
US 3186988		1965

IT **1667-83-0** 2426-57-5 2427-17-0 2427-18-1 2427-19-2
2427-20-5 2427-22-7 2427-25-0 2427-31-8 2427-32-9 2427-33-0
2427-37-4 2435-00-9 2435-09-8 2450-19-3 2454-73-1 2454-74-2
2454-80-0 2454-84-4 2454-85-5 2601-26-5 2764-06-9 4394-35-8
102047-40-5
IT **1667-83-0**
RN 1667-83-0 HCAOLD
CN Pregna-4,17(20)-dien-3-one (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

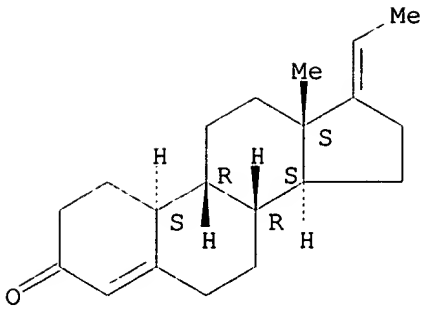


L59 ANSWER 3 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA63:8454d CAOLD
TI steroid derivs.
PA Roussel-UCLAF
DT Patent

PATENT NO.	KIND	DATE
NL 6409130		

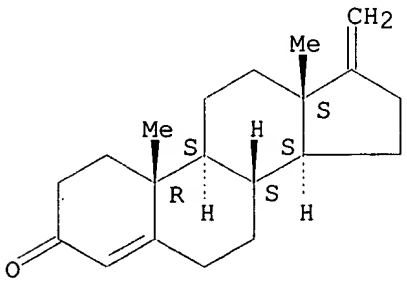
IT 2427-47-6 2427-48-7 2427-49-8 2427-50-1 2427-51-2 2427-53-4
2427-54-5 2427-55-6 2427-56-7 2427-57-8 2427-58-9 2427-59-0
2427-60-3 2427-61-4 2427-62-5 2427-66-9 2601-23-2 2603-38-5
2645-92-3 2645-93-4 **2645-94-5** 2645-95-6 2645-96-7
2645-97-8 2645-98-9 2646-00-6 4389-88-2
IT **2645-94-5**
RN 2645-94-5 HCAOLD
CN 19-Norpregna-4,17(20)-dien-3-one, (9.beta.,10.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L59 ANSWER 4 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA63:8434a CAOLD
TI prepn. of 17.alpha.-methylandrostanes oxygenated in C-16 position - (I)
AU Modelli, Renato
IT **846-45-7** 2242-38-8 2242-39-9 2242-40-2 2242-41-3
2242-42-4 2242-43-5 2242-44-6 2242-45-7 2242-46-8 2242-47-9
2243-03-0 2243-04-1 2542-79-2 2542-80-5 2542-81-6 2542-84-9
2868-26-0 96364-70-4 96584-71-3
IT **846-45-7**
RN 846-45-7 HCAOLD
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

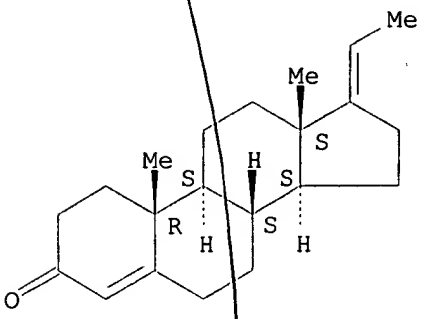


L59 ANSWER 5 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA62:11880a CAOLD
TI 3-oxo steroids (halogenated) from the corresponding acids
PA Schering A.-G.
DT Patent

PATENT NO.	KIND	DATE
FR 1377660		
BE 640360		
DE 1195304		
DE 1211193		
DE 1215149		
NL 300059		
US 3202683		1965

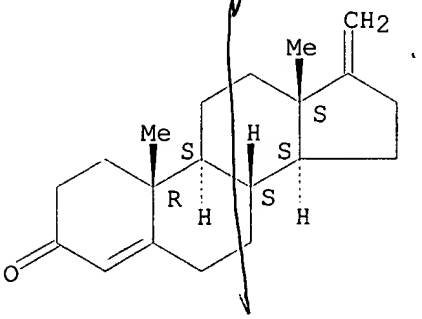
IT 851-18-3 851-19-4 851-20-7 851-21-8 858-72-0 901-55-3
903-16-2 905-53-3 981-53-3 1035-63-8 1096-34-0 1096-35-1
1232-17-3 **1667-83-0**
IT **1667-83-0**
RN 1667-83-0 HCAOLD
CN Pregna-4,17(20)-dien-3-one (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



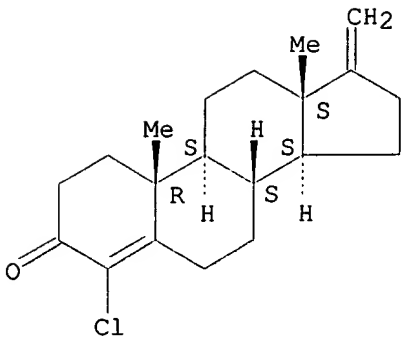
L59 ANSWER 6 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA62:11872c CAOLD
TI diene-addn. reaction of steroids-synthesis of steroidal analogs contg. a substituted bicyclo[2.2.1]heptene system
AU Solo, Alan J.; Sachdev, H. S.; Gilani, S. S. H.
IT **846-45-7** 853-63-4 914-69-2 977-12-8
IT **846-45-7**
RN 846-45-7 HCAOLD
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



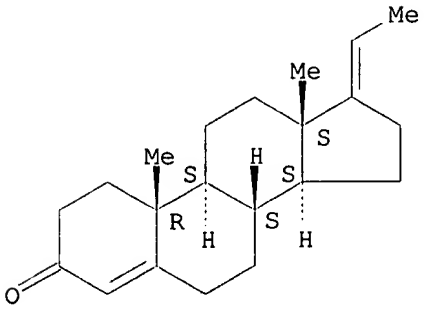
L59 ANSWER 7 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA62:11871e CAOLD
TI wittig reaction of steroid ketones
AU Barnikol-Oettler, Kurt; Zepter, R.; Heller, K.
IT 745-43-7 810-88-8 810-89-9 855-53-8 855-56-1 855-57-2
858-76-4 864-62-0 864-63-1 864-64-2 899-37-6 899-38-7
899-39-8 905-55-5 910-49-6 912-52-7 916-30-3
969-14-2 981-29-3 1048-41-5
IT **969-14-2**
RN 969-14-2 HCAOLD
CN Androst-4-en-3-one, 4-chloro-17-methylene- (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.



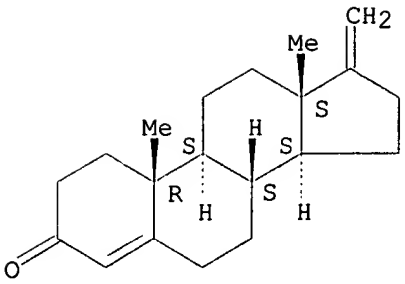
L59 ANSWER 8 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA62:3409d CAOLD
TI characterization of .DELTA.4-3-oxo-C21-steroids on thin-layer chromatograms by color reactions
AU Lisboa, Belisario P.
IT 128-19-8 1162-55-6 1162-56-7 1232-18-4 1247-44-5
1667-83-0 1921-46-6 16355-28-5
IT **1667-83-0**
RN 1667-83-0 HCAOLD
CN Pregna-4,17(20)-dien-3-one (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



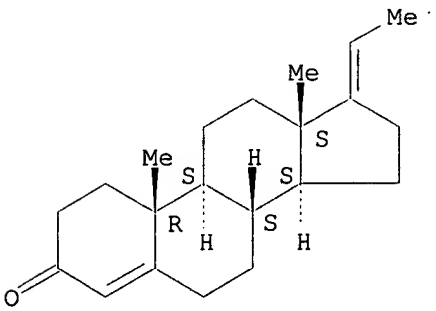
L59 ANSWER 9 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA62:1705d CAOLD
TI 17-hydroxymethyltestosterones
AU Bertin, Daniel; Nedelec, L.
IT 846-43-5 846-44-6 **846-45-7** 847-74-5 847-75-6
851-09-2 851-16-1 853-21-4 853-22-5 855-15-2 855-49-2
856-74-6 862-37-3 896-99-1 901-51-9 901-52-0 906-55-8
906-58-1 910-29-2 910-48-5 972-31-6 2429-54-1 6819-65-4
7069-75-2
IT **846-45-7**
RN 846-45-7 HCAOLD
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



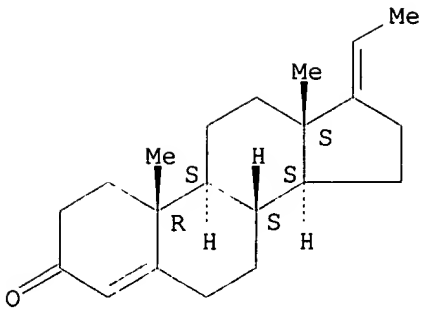
L59 ANSWER 10 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA61:8583c CAOLD
TI sepn. and characterization of .DELTA.4-3-keto steroids of the pregnane series by thin-layer chromatography - (I)
AU Lisboa, Belisario P.
IT 128-19-8 1162-55-6 1162-56-7 1232-18-4 **1667-83-0** 1921-46-6 16355-28-5
IT **1667-83-0**
RN 1667-83-0 HCAOLD
CN Pregna-4,17(20)-dien-3-one (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L59 ANSWER 11 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA59:3053f CAOLD
TI effect of hydrocortisone administration on the hyaluronic acid fractions of synovial fluid in rheumatoid arthritis
AU Nanto, Veikko; Seppala, P.; Kulonene, E.
TI progestational activity of orally administered derivs. of pregnane
AU Kincl, Fred A.; Folch Pi, A.
IT 474-43-1 **1667-83-0** 1816-78-0 1816-79-1 4993-22-0 14508-15-7 19534-42-0 21513-89-3 95563-83-0
IT **1667-83-0**
RN 1667-83-0 HCAOLD
CN Pregna-4,17(20)-dien-3-one (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



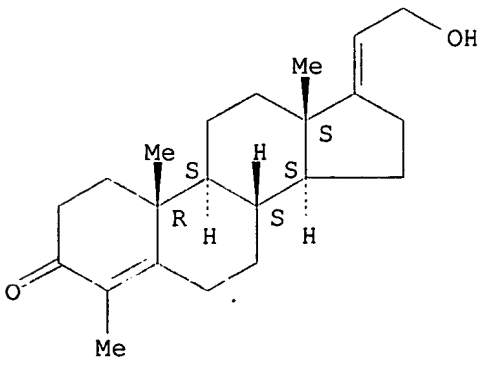
L59 ANSWER 12 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA57:3520b CAOLD
TI 4-methyl-3-oxo-.DELTA.4-steroids
AU Kirk, David N.; Petrow, V.
PA British Drug Houses Ltd.
DT Patent

PATENT NO.	KIND	DATE
DE 1124489		
FR 1333712		
GB 888165		
US 3076822		1963

IT 1597-81-5 1923-21-3 2041-92-1 2708-43-2 5696-39-9 6959-54-2
15346-19-7 15981-49-4 28626-76-8 36323-44-1 57884-74-9 71507-16-9
94762-11-5 94998-46-6 95171-28-1 95171-37-2 95171-61-2 95172-10-4
95285-89-5 95366-89-5 95562-80-4 95584-88-6 95623-63-5
95623-79-3 95623-80-6 95623-99-7 95749-16-9 95749-17-0 95810-20-1
95960-24-0 96059-61-9 96059-80-2 96066-77-2 96149-25-6 96269-44-2
96273-70-0 96275-39-7 96364-61-3 96364-67-9 96364-69-1 96373-76-1
96378-19-7 96379-22-5 96379-23-6 96584-51-9 96811-30-2 97831-05-5
97831-13-5 97831-15-7 97905-79-8 97905-80-1 101175-53-5 101298-53-7
101379-11-7 101379-14-0 101469-69-6 101608-22-4 101611-26-1 101611-27-2
101635-40-9 101693-14-5 102216-86-4 102289-65-6 102341-21-9 103071-15-4
103133-51-3 104098-79-5 104695-52-5 104811-33-8 106168-69-8 106766-39-6
107063-99-0 107280-21-7

IT **95285-89-5**
RN 95285-89-5 HCAOLD
CN Pregna-4,17(20)-dien-3-one, 21-hydroxy-4-methyl- (7CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

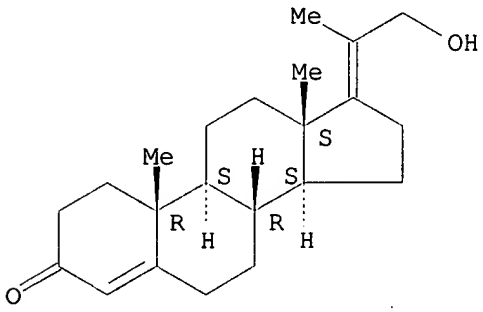


L59 ANSWER 13 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA53:4359g CAOLD
TI 3-oxygenated bisnor-17(20)-cholen-22-ols
AU Pederson, Raymond L.; Jensen, E. H.
DT Patent
TI bisnor-17(20)-cholen-22-ols (3-oxygenated)
PA Upjohn Co.
DT Patent

PATENT NO.	KIND	DATE
US 2844601		1958

IT **104010-48-2** 115098-49-2 115207-71-1 115387-58-1 115534-97-9
IT **104010-48-2**
RN 104010-48-2 HCAOLD
CN Pregna-4,17(20)-dien-3-one, 21-hydroxy-20-methyl- (6CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

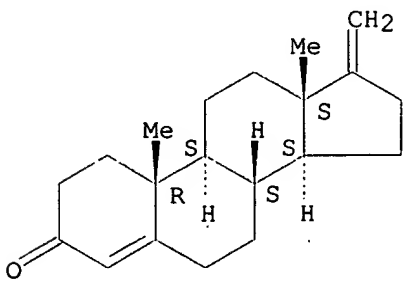


L59 ANSWER 14 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA53:2293c CAOLD
TI cyclopentanophenanthrene derivs.
AU Sondheimer, Franz; Mancera, O.; Rosenkranz, G.
DT Patent
TI cyclopentaphenanthrene derivs.
PA Syntex S. A.
DT Patent

PATENT NO.	KIND	DATE
US 2846451		1958

IT 846-44-6 **846-45-7** 2607-14-9
IT **846-45-7**
RN 846-45-7 HCAOLD
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

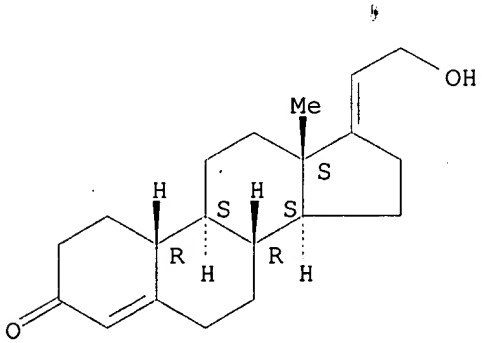


L59 ANSWER 15 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA51:18017b CAOLD
TI 11-oxygenated derivs. of 13-methyl-17-hydroxy-17(hydroxyacetyl)-1,2,3,6,7,8,9,10,11,12,13,14,16,17-tetradecahydro-15H-cyclopenta[a]phenanthren-3-ones)
PA Searle, G. D., & Co.
DT Patent
TI neoglycogenetic compds. (11-oxygenated derivs. of 13-methyl-17-hydroxy-17-(hydroxyacetyl)-1,2,3,6,7,8,9,10,11,12,13,14,16,17-tetradecahydro-15H-cyclopental[a]phenanthren-3-ones
AU Colton, Frank B.
DT Patent

PATENT NO.	KIND	DATE
US 2802015		1957

IT 38673-36-8 39791-15-6 54947-44-3 111901-74-7 114330-88-0 114419-93-1 114842-34-1 **116104-77-9** 116181-46-5 124162-99-8 124223-83-2 125596-91-0
IT **116104-77-9**
RN 116104-77-9 HCAOLD
CN 19-Norpregna-4,17(20)-dien-3-one, 21-hydroxy- (6CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



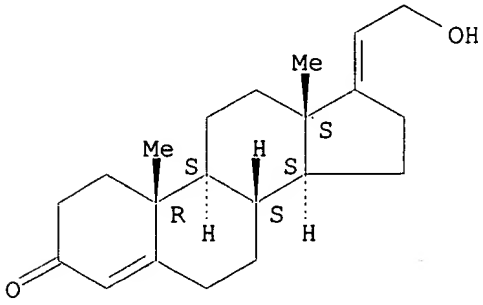
L59 ANSWER 16 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA51:12161f CAOLD
TI 17.alpha.-hydroxy-20-oxopregnenes
PA Upjohn Co.
DT Patent
TI 21-halo steroids
AU Julian, Percy L.; Karpel, W. J.
DT Patent
TI DL-11-oxoprogesterone
AU Sarett, Lewis H.; Johns, W. F.
DT Patent
TI steroids (21-halo)
PA Glidden Co.
DT Patent

PATENT NO.	KIND	DATE
GB 771344		
US 2789989		1957

IT 50-03-3 640-87-9 1250-97-1 1452-33-1 3546-74-5 3546-75-6 5327-59-3 6003-22-1 7753-60-8 16065-01-3 17736-20-8 28444-97-5 29042-01-1 37002-70-3 74220-39-6 81275-69-6 95044-38-5 101675-09-6 102560-44-1 102753-37-7 102813-26-3 102891-02-1 102957-72-2 103063-44-1 **103795-67-1** 106766-42-1 113651-18-6 113862-74-1 114178-93-7

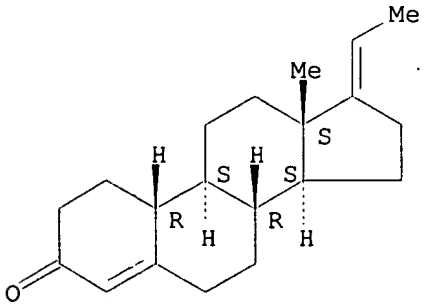
114276-34-5 114792-33-5 114997-97-6 115097-16-0 115113-31-0 115113-61-6
115113-74-1 115182-42-8 119238-04-9 119238-05-0 119276-96-9 124162-20-5
124202-77-3
IT **103795-67-1**
RN 103795-67-1 HCAOLD
CN Pregna-4,17(20)-dien-3-one, 21-hydroxy- (6CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L59 ANSWER 17 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA51:9659i CAOLD
TI 17-alkyl-19-nortestosterones
AU Colton, Frank B.; Nysted, L. N.; Riegel, B.; Raymond, A. L.
IT 72-33-3 465-53-2 1042-57-5 4350-63-4 7358-46-5 17550-03-7
17976-32-8 27984-91-4 27984-92-5 27984-93-6 **60183-67-7**
96059-70-0 102550-76-5 102957-51-7 103050-37-9 103100-27-2 114159-23-8
119076-73-2
IT **60183-67-7**
RN 60183-67-7 HCAOLD
CN 19-Norpregna-4,17(20)-dien-3-one (6CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



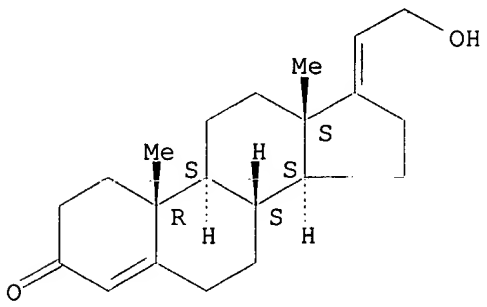
L59 ANSWER 18 OF 18 HCAOLD COPYRIGHT 2002 ACS
AN CA51:8821c CAOLD
TI 17.alpha.-hydroxy-20-oxopregnenes
AU Schneider, William P.; Hanze, A. R.
PA Upjohn Co.
DT Patent

PATENT NO.	KIND	DATE
PI US 2769823		1956

IT 50-03-3 640-87-9 1250-97-1 3546-74-5 3546-75-6 5327-59-3

6003-22-1 7753-60-8 37002-70-3 74220-39-6 81275-69-6 102891-02-1
102957-72-2 103063-44-1 **103795-67-1** 111439-10-2 113862-74-1
114178-93-7 114792-33-5 114997-97-6 115097-16-0 115113-31-0 115113-61-6
115113-74-1 115182-42-8 119238-04-9 119238-05-0 119276-96-9 124202-77-3
IT **103795-67-1**
RN 103795-67-1 HCAOLD
CN Pregna-4,17(20)-dien-3-one, 21-hydroxy- (6CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 17:33:28 ON 12 JUN 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 12 Jun 2002 VOL 136 ISS 24
FILE LAST UPDATED: 11 Jun 2002 (20020611/ED)

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substance identification.

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check your SDI profiles to see if they need to be revised. For
information on CAS roles, enter HELP ROLES at an arrow prompt or use
the CAS Roles thesaurus (/RL field) in this file.

=> d all tot 161

L61 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1966:499556 HCAPLUS
DN 65:99556
OREF 65:**18651b-c**
TI Separation of 21-deoxy-.DELTA.4-3-oxo steroids of the pregnane series by
thin-layer chromatographic procedures
AU Lisboa, Belisario P.

CS Hormonlab., Kvinnoklin., Karolinska Sjukhuset, Stockholm
SO Steroids (1966), 8(3), 319-44
DT Journal
LA English
CC 42 (Steroids)
AB A method is proposed for the sepn. and characterization of forty 21-deoxy-.DELTA.4-3-oxo steroids of the pregnane series by thin-layer chromatography (TLC) which includes one-dimensional TLC on silica gel G, formation and sepn. of .pi.-complexes with unsatd. steroids on silica gel G/AgNO3 chromatoplates, hydrazone formation by eletographic procedures, and in situ developed color reactions. The influence of primary, secondary, and tertiary OH groups as well as ketonic groups at different positions of the steroid ring on the chromatographic behavior of the steroid is discussed. 33 references.

L61 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1965:446500 HCAPLUS
DN 63:46500
OREF 63:**8455g-h,8456a-h,8457a-h,8458a**
TI 19-Halopregnane derivatives
IN Bowers, Albert
PA Syntex Corp.
SO 16 pp.
DT Patent
LA Unavailable
NCL 260239550
CC 42 (Steroids)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3186988		19650601	US	
PRAI	MX		19620219		

GI For diagram(s), see printed CA Issue.
AB 19-Halo-4-pregnene-3,20-dione derivs. were prepd. These compds. are powerful progestational agents with good oral activity, have anti-androgenic, anti-gonadotropic, and anti-estrogenic properties, are devoid of androgenic activity, and are useful in fertility control, and the treatment of premenstrual tension. When applied topically they are useful in the treatment of acne. A soln. of 5 g. 19-fluoro-5-pregnene-3.beta.,17.alpha.-diol-20-one (I, T = H, R = .alpha.-OH, X = F) (Ia) in 100 cc. anhyd. C6H6 was treated with 1 g. p-MeC6H4SO3H (II) in 10 cc. Ac2O and kept for 24 hrs. at room temp. to yield Ia diacetate. A suspension of Ia diacetate in 60 cc. MeOH was refluxed with 1 g. K2CO3 in 6 cc. H2O to yield Ia 17-acetate. The following I compds. were similarly prepd. (T, R, X given): .alpha.-Me, .alpha.-OAc, F; .beta.-Me, .alpha.-OAc, F; H, .alpha.-OAc, Cl; .alpha.-Me, .alpha.-OAc, Cl; .beta.-Me, .alpha.-OAc, Cl. A soln. of 5 g. 16.beta.-methyl-4-pregnene-17.alpha.19-diol-3,20-dione 17-acetate (III, T = .beta.-Me, R =OAc, X = OH) in 250 cc. EtOAc was hydrogenated overnight in the presence of 0.5 g. 5% Pd-C at atmospheric pressure and room temp. to yield 16.beta.-methylallopregnane-17.alpha.19-diol-3,20-dione 17-acetate. A soln. of 5 g. of this compd. in 25 cc. C5H5N at 0.degree. was treated with 1.3 g. tosyl chloride (IV) and kept 16 hrs. at 0.degree. to yield the corresponding 19-tosylate. A soln. of 1 g. of the 19-tosylate in 30 cc. PhMe was refluxed with 1 g. NaH in mineral oil, 5 cc. tert-BuOH was added, and the product worked up by chromatography to yield 16.beta.-methyl-2,19-cycloallopregnan-17.alpha.-ol-3,20-dione. A soln. of 1 g. of this 2,19-cyclo compd. in 50 cc. EtOH was treated with 50 cc. 70% H2SO4 for 5 hrs. on a steam bath to yield 16.beta.-methyl-10.alpha.-allopregnane-17.alpha.19-diol-3,20-dione. A soln. of 1 g. of this compd. in 25 cc. AcOH contg. a few drops of 4N HBr in AcOH was treated with 2 equivs. of Br in 15 cc. AcOH, the resulting isolated di-Br compd. refluxed 14 hrs. with 2 g. NaI in 40 cc. EtCOMe, and the worked-up residue refluxed 30 min. with .gamma.-collidine to yield

16.beta.-methyl-10.alpha.-pregn-4-ene-17.alpha.19-diol-3,20-dione (III, T = Me, R = OH, X = OH) (IIIa). A soln. of 1 g. I (T = R = H, X = F) in 80 cc. PhMe and 20 cc. cyclohexanone was dried by distg. the solvent and the residue was refluxed with 1 g. Al isopropoxide in 7 cc. PhMe for 45 min. and treated with 4 cc. AcOH to yield 19-fluoro-4-pregnene-3,20-dione. The following III compds. were similarly prepd. from the corresponding I compds. (given T, R, and X): .alpha.-Me, H, F; .beta.-Me, H, F (IIIb). Ia 17-acetate similarly yielded HI 17-acetate, which on hydrolysis with methanolic KOH gave III (T = H, R = .alpha.-OH, X = F). The following III compds. were similarly obtained from the appropriate starting compds. (T, R, X given): .alpha.-Me, .alpha.-OH, F; .beta.-Me, .alpha.-OH, F; H, H, Cl; .alpha.-Me, H, Cl; .beta.-Me, H, Cl; .alpha.-Me, isopropylidenedioxy, Cl; H, .alpha.-OH, Cl; .alpha.-Me, .alpha.-OH, Cl. A mixt. of 5 g. IIIa, 150 cc. anhyd. C6H6, 60 cc. ethylene glycol, and 800 mg. IV was refluxed 12 hrs. to yield 3,3:20,20-bis(ethylenedioxy)-19-fluoro-5-pregnene (V, T = R = H, X = F) (Va). In the same manner the following V derivs. were obtained (given T, R, X): .alpha.-Me, H, F; .beta.-Me, H, F; (TR =) isopropylidenedioxy, F; H, .alpha.-OH, F; .alpha.-Me, .alpha.-OH, F; .beta.-Me, .alpha.-OH, F; H, H, Cl; .alpha.-Me, H, Cl; .beta.-Me, H, Cl; (TR =) isopropylidenedioxy, Cl; H, .alpha.-OH, Cl; .alpha.-Me, .alpha.-OH, Cl; .beta.-Me, .alpha.-OH, Cl. A soln. of 2.5 g. Va in 100 cc. CHCl3 at 0.degree. was mixed with 1.1 equivs. of monoperphthalic acid in Et2O soln. and kept at room temp. for 20 hrs. to yield, 3,3:20,20-bis(ethylenedioxy)-5.alpha.,6.alpha.-oxido-19-fluoropregnane (VI, T = R = H, X = F) (VIa). The following VI derivs. were obtained in the same manner (given T, R, X): .alpha.-Me, H, F; .beta.-Me, H, F; (TR =) isopropylidenedioxy F; H, .alpha.-OH, F; .alpha.-Me, .alpha.-OH, F; .beta.-Me, .alpha.-OH, F; H, H, Cl; .alpha.-Me, H, Cl; .beta.-Me, H, Cl; (TR =) isopropylidenedioxy, Cl; H, .alpha.-OH, Cl; .beta.-Me, .alpha.-OH, Cl; .alpha.-Me, .alpha.-OH, Cl. A soln. of 40 cc. 4N MeMgBr in Et2O and 2 g. VIa in dry tetrahydrofuran was refluxed 30 min., the Et2O removed, the soln. then refluxed at 54.degree. for 4 hrs., and the worked-up residue refluxed with 70 cc. MeOH and 7 cc. 8% H2SO4. The resulting dried residue was kept in 100 cc. MeOH and 50 cc. N NaOH at room temp. for 24 hrs. to yield 19-fluoro-6.alpha.-methyl-4-pregnene-3,20-dione (VII, T = R = H, X = F, Z = .alpha.-Me). Replacing the NaOH treatment above with C5H5N and SOCl2 at -10.degree. yielded the corresponding 6.beta.-methyl compds. The following VII compds. were similarly obtained (given T, R, X; Z = .alpha.-Me in all cases); .alpha.-Me, H, F; .beta.-Me, H, F; (TR =) isopropylidenedioxy, F; H, .alpha.-OH, F; .alpha.-Me, .alpha.-OH, F; .beta.-Me, .alpha.-OH, F; H, H, Cl; .alpha.-Me, H, Cl; .beta.-Me, H, Cl; (TR =) isopropylidenedioxy, Cl; H, .alpha.-OH, Cl; .alpha.-Me, .alpha.-OH, Cl; .beta.Me, .alpha.-OH, Cl. A slow stream of dry HCl was passed into a suspension of 1 g. VIa in 35 cc. EtOAc at -10.degree. for 5 hrs. to yield VII (T = R = H, X = F, Z = .alpha.-Cl). The following VII compds. were similarly obtained (given T, R, X; Z = .alpha.-Cl in all cases): .alpha.-Me, H, F; .beta.-Me, H, F; (TR =) isopropylidenedioxy, F; H, .alpha.-OH, F; .alpha.-Me, .alpha.-OH, F, .beta.-Me, .alpha.-OH, F; H, H, Cl; .alpha.-Me, H, Cl; .beta.-Me, H, Cl; (TR =) isopropylidenedioxy, Cl; H, .alpha.-OH, Cl; .alpha.-Me, .alpha.-OH, Cl; .beta.-Me, .alpha.-OH, Cl. BF3-etherate (2.8 cc.) was slowly added with stirring to 220 mg. anhyd. HF cooled in an Me2CO-CO2 bath, and 1.3 cc. of this reagent was added to 1 g. VIa in 10 cc. C6H6 and Et2O mixt. and kept at room temp. for 3 hrs. The worked-up residue in EtOAc was treated with a stream of HCl for 5 hrs. to yield VII (T = R = H, X = F, Z = .alpha.-F). The following VII compds. were obtained in the same manner (given T, R, X; Z = .alpha.-F in all cases): .alpha.-Me, H, F; .beta.-Me, H, F; H, .alpha.-OH, F; .alpha.-Me, .alpha.-OH, F; .beta.-Me, .alpha.-OH, F; H, H, Cl; (TR =) isopropylidenedioxy, F; .alpha.-Me, H, Cl; .beta.-Me, H, Cl; (TR =) isopropylidenedioxy, Cl; H, .alpha.-OH, Cl; .alpha.-Me, .alpha.-OH, Cl; .beta.-Me, .alpha.-OH, Cl. A mixt. of 500 mg. VIIa, 10 cc. dioxane, and 350 mg. 2,3-dichloro-5,6-dicyanol,4-benzoquinone (VIII) was refluxed for 10 hrs., filtered, and the filtrate evapd. to dryness. The residue in Me2CO was faltered through 10 g. alumina to yield

19-fluoro-6.alpha.-methyl-1,4-pregnadiene-3,20-dione (IX, T = R = H, X = F, Z = .alpha.-Me) (IXa). The following IX compds. were similarly prepd. (given T, R, Z; g = H in all cases): H, H, F; .alpha.-Me, H, F; .beta.-Me, H, F; (TR =) isopropylidenedioxy, F; H, .alpha.-OH, F; .alpha.-Me, .alpha.-OH, F; .beta.-Me, .alpha.-OH, F; H, H, Cl; .alpha.-Me, H, Cl; .beta.-Me, H, Cl; (TR =) isopropylidenedioxy, Cl; H, .alpha.-OH, Cl; .alpha.-Me, .alpha.-OH, Cl; .beta.-Me, .alpha.-OH, Cl. A suspension of 1 g. VIIa in 7.5 cc. anhyd. peroxide-free dioxane was treated with 1.2 cc. Et orthoformate and 0.8 g. II to yield 19-fluoro-6-methyl-3-ethoxy-3,5-pregnadien-20-one. A soln. of 1 g. of this compd. in 20 cc. tetrahydrofuran at 0.degree. was treated with 1.05 equivs. VIII and 100 mg. II to yield 19-fluoro-6-methyl-4,6-pregnadiene-3,20-dione (X,T = R = H, X = F, Z = Me)(Xa). The following XI compds. were similarly prepd. (given T, R, X; Z = Me in all cases): .alpha.-Me, H, F; .beta.-Me, H, F; (TR =) isopropylidenedioxy, F; H, .alpha.-OH, F; .alpha.-Me, .alpha.-OH, F; .beta.-Me, .alpha.-OH, F; H, H, Cl; .alpha.-Me, H, Cl; .beta.-Me, H, Cl; (TR =) isopropylidenedioxy, Cl; H, .alpha.-OH, Cl; .alpha.-Me, .alpha.-OH, Cl; .beta.-Me, .alpha.-OH, Cl. The compds. of structure Xa upon treatment with VIII by the procedure described above yielded the corresponding 19-fluoro-6-methyl-1,4,6-pregnatriene-3,20-dione compds. (XI). Thus, Xa yielded XIa(T = R = H, X = F, Z = Me). These compounds in turn were converted also by the reactions previously described to compds. of structure XI. A soln. of 5 g. III (T = H, R = .alpha.-OH, X = F), 100 cc. C6H6, 1 g. II, and 10 cc. Ac2O was kept at room temp. for 24 hrs. to yield III 3,20-diacetate. This acetylation procedure was similarly applied to many of the above described compds. A soln. of 1 g. IIIb and 20 cc. 60% HCO2H was heated on the steam bath to yield III (T = .alpha.-OH, R = .alpha.-OH, X = F). By the same procedure the various isopropylidenedioxy compds. described above were hydrolyzed to the corresponding 16.alpha.,17.alpha.-diols. The 16.alpha.-OH group of these diols was acetylated by treating 1 g. of the compd. with 4 cc. C5H5N and 2 cc. Ac2O at room temp.; thus was obtained, for example, III (T = .alpha.-OAc, R = .alpha.-OH, X = F). A soln. of 19-fluoro-3-ethoxy-3,5-pregnadien-20-one (prepd. from IIIa), 2 g. NaOAc, and 100 cc. Me2CO was treated with 32 cc. H2O, cooled to 0-5.degree. and treated with 1.1 equivs. N-chlorosuccinimide and 2 cc. AcOH. The mixt. was kept overnight at 0.degree. to yield VII (T = R = H, Z = .beta.-Cl). The following VII compds. were similarly prepd. from the corresponding starting compds. (given T, R, X; Z = .beta.-Cl in all cases): .alpha.-Me, H, F; .beta.-Me, H, F; (TR =) isopropylidenedioxy, F; H, .alpha.-OH, F; .alpha.-Me, .alpha.-OH, F; .beta.-Me, .alpha.-OH, F; H, H, Cl; .alpha.-Me, H, Cl; .beta.-Me, H, Cl; (TR =) isopropylidenedioxy, Cl; H, .alpha.-OH, Cl; .alpha.-Me, .alpha.-OH, Cl; .beta.-Me, .alpha.-OH, Cl. The corresponding 6.beta.-fluoro compds. were similarly prepd. using perchloryl fluoride. A soln. of 5g. III(T = R = H, X = OH) in 25 cc. C5H5N was treated with 1.1 equivs. IV at 0.degree. to give the 19-tosylate compd., 4 g. of which was refluxed with 4 g. LiF and 50 cc. HCONMe2 for 1 hr. to form III (T = R = H, X = F). The following III compds. were similarly prepd. (given T, R, X): .alpha.-Me, H, F; .beta.-Me, H, F; (TR =) isopropylidenedioxy, F; H, .alpha.-OH, F; .alpha.-Me, .alpha.-OH, F; .beta.-Me, .alpha.-OH, F. Using LiCl in place of LiF above gave the corresponding 19-Cl compds. The 3,3:20,20-bis(ethylenedioxy) derivs. of these compds. were prepd. as outlined above using ethylene glycol, NaOH, and II in C6H6, and these compds. on treatment with monoperphthalic acid by the procedure outlined above gave the corresponding 5.alpha.,6.alpha.-oxido compds. These in turn were reacted with 4N MeMgBr to yield the respective VII compds. in which Z = .alpha.-Me, and which have a 10.alpha. configuration. The remaining steps of the synthesis as outlined above were carried out with these compds. to yield similar series of compds. in the 10.alpha.-pregn-4-ene series.

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AN 1965:446499 HCAPLUS

DN 63:46499
OREF 63:**8454d-h,8455a-g**
TI Steroids
PA Roussel-UCLAF
SO 25 pp.
DT Patent
LA Unavailable
IC C07C
CC 42 (Steroids)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 64009130		19650208	NL	
PRAI	FR		19630807		

AB 9.beta.,10.alpha.-4-Estren-17.beta.-ol-3-one (0.6 g.) in 120 cc. Me2CO stirred 4 hrs. at room temp. with 218 mg. CrO3 in 0.2 cc. H2SO4 and 12 cc. H2O yielded 540 mg. 9.beta.,10.alpha.-4-estrene-3,17-dione (I), m. 135.degree.. I (1.005 g.) and 2 cc. pyrrolidine heated 10 min. at 85-90.degree. yielded 1.07 g. 3-pyrrolidinyl-9.beta.,10.alpha.-3,5-estradien-17-one (II), m. 160.degree.. II (3.1 g.) shaken 23 hrs. at 50-5.degree. under N with a suspension (obtained by stirring 4.8 g. 55% NaH-oil dispersion and 40 cc. dry Me2SO 45 min. under N at 80-5.degree.) and then treated with 39 g. [EtPPh3]Br (III) in 80 cc. dry Me2SO, kept 1 hr., and basified with N NaOH, and the crude product chromatographed on Mg silicate yielded 1.344 g. 19-nor-9.beta.,10.alpha.-pregna-4,17(20)-dien-3-one (IV). IV (1.344 g.) in 80 cc. tert-BuOH stirred 40 min. at room temp. with 2 cc. soln. of 0.17 g. OsO4 in 6 cc. C5H5N, treated with 1.44 g. Et3NO peroxide (V) during 40 min., and worked up yielded 0.660 g. 19-nor-9.beta.,10.alpha.-pregn-4-ene-3,20-dione (VI), m. 255.degree.. VI (0.465 g.) in 2.3 cc. AcOH treated 16 hrs. at 20.degree. with 0.23 cc. Ac2O contg. 1% H2SO4 and then with 0.25 cc. MeOH, and the crude product chromatographed yielded the acetate of VI, m. 188.degree. (iso-Pr2O or EtOH). 3,3-Ethylenedioxy-11.beta.,-17.beta.-dihydroxy-5-estrene-10.beta.-carboxylic acid 10(11)-lactone (3.2 g.) in 32 cc. C5H5N added at 0.degree. with stirring to 3.2 g. CrO3 in 32 cc. C5H5N, stirred 16 hrs. at room temp., and treated with 3.2 cc. MeOH yielded 3.092 g. 3,3-ethylenedioxy-11.beta.-hydroxy-17-oxo-19-nor-5-androstene-10.beta.-carboxylic acid 10(11)-lactone (VII), m. 236.degree., [.alpha.]20D 85 .+-. 1.degree. (c 0.4, MeOH). III (10.1 g.), 68 cc. dioxane, and 11.4 cc. 2.2N BuLi-hexane stirred 40 min. at room temp., concd. to remove 15 cc. solvent, treated with 1.002 g. VII, and heated 5 hrs. under N yielded 60-70% 3,3-ethylenedioxy-11.beta.-hydroxy-19-nor-5,17(20)-pregnadiene-10.beta.-carboxylic acid 10(11)-lactone (VIII). VIII (1.214 g.) in 80 cc. tert-BuOH treated with 2 cc. soln. of 152 mg. OsO4 in 6 cc. C5H5N, stirred 40 min. at 39.degree., and treated with 1.32 g. V in portions yielded the 3,3-ethylenedioxy- 11.beta.,17.alpha.-dihydroxy-20-oxo-19-nor-5-pregnene-10.beta.-carboxylic acid 10(11)-lactone (IX), m. 272.degree. (AcOEt). IX (0.783 g.) in 4 cc. MeOH and 4 cc. 10% CaCl2-MeOH stirred under N with 0.8 g. CaCO3, treated slowly with 1 g. iodine in 10 cc. 10% CaCl2-MeOH, stirred 15 min. at room temp., and added to 80 cc. H2O contg. 2 cc. AcOH yielded 1.011 g. 3,3-ethylenedioxy-11.beta.,-17.alpha.-dihydroxy-20-oxo-21,21-diiodo-19-nor-5-pregnene-10.beta.-carboxylic acid 10(11)-lactone (X). X (1.011 g.) refluxed 1 hr. with 7 cc. Me2CO, 1.75 cc. HCONMe2, 0.45 cc. AcOH, and 1.1 g. AcOK yielded 0.499 g. 3,3-ethylenedioxy-11.beta.,17.alpha.-dihydroxy-20-oxo-21-acetoxy-19-nor-5-pregenene-10.beta.-carboxylic acid 10(11)-lactone (XI), m. 272.degree. (AcOEt). XI (225 mg.) in 15 cc. MeOH stirred 1 hr. under N with 9 cc. concd. HCl and 6 cc. H2O gave 63 mg. 11.beta.,17.alpha.,21-trihydroxy-3,20-dioxo-19-nor-4-pregnene-10.beta.-carboxylic acid 10(11)-lactone, m. 312.degree. (EtOH), [.alpha.]20D 186.degree. (c 0.5, HCONMe2). 3-Ethoxy-10.beta.-propyl-3,5-estradiene-11,17-dione (600 mg.) added slowly to a mixt. of 480 mg. 50% NaH-oil suspension in 21 cc. (CH2OMe)2 and 2.1 cc. diethyl phosphonoethylacetate (XII) (previously stirred 1 hr.), stirred 1.5 hrs.

at room temp., and refluxed 1 hr. gave 1.055 g. Et 3-ethoxy-10.beta.-propyl-19-nor-3,5,17(20)-pregnatrien-11-one-21-carboxylate (XIII). XIII (1.055 g.) in 12 cc. EtOH stirred 5 min. at 55.degree. under N with 1.2 cc. N HCl, and the crude product (1.060 g.) chromatographed gave 460 mg. Et 10.beta.-propyl-19-nor-4,17(20)-pregnadiene-3,11-dione-21-carboxylate (XIV), m. 164-5.degree., [.alpha.]20D 91.7.degree. (c 0.5, MeOH). 10.beta.-Propyl-4,9(11)-estradiene-3,17-dione (525 mg.) in 15 cc. Me2CO treated with 390 mg. N-bromosuccinimide and then at 10.degree. under N with 1.5 cc. soln. of 1.7 cc. 65% HClO4 in 6 cc. H2O, and stirred 15 min. at 10.degree. gave 690 mg. 9.alpha.-bromo-10.beta.-propyl-4-estren-11.beta.-ol-3,17-dione (XV). XV (690 mg.) in 3.2 cc. Me2CO and 4.3 cc. AcOH treated at 10.degree. under N with 0.85 cc. soln. of 2.67 g. CrO3 in 3 cc. H2O, 2.3 cc. H2SO4, and 4 cc. H2O, and stirred 0.5 hr. at 10.degree. yielded 620 mg. 9.alpha.-bromo-10.beta.-propyl-4-estrene-3,11,17-trione which treated with stirring under N at 10.degree. in 12 cc. 90% AcOH with 280 mg. Zn dust and stirred 10 min. gave 100 mg. 10.beta.-propyl-4-estrene-3,11,17-trione (XVI), prisms, m. 179-80.degree. (2:3 Me2CO-iso-Pr2O), [.alpha.]20D 230.degree. (c 0.5, MeOH). XVI (1.2 g.) in 6 cc. EtOH and 1.2 cc. dry HC(OEt)3 treated with 1.2 cc. soln. of 0.022 g. p-MeC6H4SO3H in 50 cc. EtOH and after 10 min. with 2.4 cc. HC(OEt)3 in 2 portions, stirred 20 min., treated with 0.5 cc. Et3N, and cooled gave after chromatography 807 mg. XIII, m. 134-5.degree. (iso-Pr2O). XVI (100 mg.) in 2 cc. pyrrolidine refluxed 15 min. with stirring under N gave 95 mg. 3-pyrrolidinyl-10.beta.-propyl-3,5-estradiene-11,17-dione (XVII), m. 130-50.degree.. XVII (82 mg.), 72 mg. NaH, 35 cc. (CH2OMe)2, and 0.35 cc. XII stirred 1.5 hrs. under N at room temp. and refluxed 1 hr. yielded 210 mg. Et 3-pyrrolidinyl-10.beta.-propyl-11-oxo-19-nor-3,5,17(20)-pregnatriene-21-carboxylate (XVIII), m. 200.degree.. XVIII (45 mg.) in 0.045 cc. AcOH and 0.45 cc. H2O kept 1 hr. at room temp. and basified with 2N NaOH yielded 36 mg. XIV, m. 164-5.degree., [.alpha.]20D 91.7.degree. (c 0.5, MeOH). XIV (400 mg.) in 32 cc. dry C6H6 heated 5 hrs. with stirring under N with 20 mg. p-MeC6H4SO3H and 0.8 cc. (CH2OH)2 yielded 250 mg. Et 3,3-ethylenedioxy-11-oxo-10.beta.-propyl-19-nor-5,17(20)-pregnadiene-21-carboxylate (XIX), m. 180.degree.. XIX (510 mg.) in 51 cc. dry Et2O stirred 0.5 hr. under N with 510 mg. LiAlH4, treated again with 340 mg. LiAlH4, and refluxed 1 hr. gave 370 mg. 3,3-ethylenedioxy-10.beta.-propyl-19-nor-5,17(20)-pregnadiene-11.beta.,21-diol (XX), m. 200.degree.. XX (500 mg.) in 6 cc. C5H5N and 3 cc. Ac2O stirred 15 hrs. at room temp. under N gave 540 mg. 3,3-ethylenedioxy-21-acetoxy-10.beta.-propyl-19-nor-5,17(20)-pregnadien-11.beta.-ol (XXI). XXI (540 mg.) in 25 cc. tert-BuOH treated during 45 min. at room temp. with 0.575 cc. soln. of 50 mg. OsO4 in 2 cc. C5H5N and then during 1 hr. with 0.5 g. V (21% O) in portions, stirred 20 min., and treated 5 min. with stirring with 250 mg. Na2SO3 in 25 cc. H2O yielded 434 mg. 3,3-ethylenedioxy-21-acetoxy-10.beta.-propyl-19-nor-5-pregnene- 11.beta.,17.alpha.-diol-20-one (XXII), m. 215-20.degree.. XXII (434 mg.) in 45 cc. 70% AcOH heated during 1 hr. to 75.degree. gave 220 mg. 21-acetoxy-10.beta.-propyl-19-nor-4-pregnene-11.beta.,17.alpha.-diol-3,20-dione (XXIII), m. 192.degree. (iso-Pr2O), [.alpha.]20D 81 .+-. 1.degree. (c 0.5, MeOH). XXIII (1 g.) in 4 cc. EtOH stirred 6 hrs. at room temp. under N with 0.06 cc. 10% NaOMe MeOH gave 10.beta.-propylhydrocortisone. XII (1 cc.) added slowly during 5 min. at 20.degree. to 0.240 g. 50% NaH-oil in 11.5 cc. (CH2OMe)2, treated with stirring at 20.degree. with 0.300 g. adrenosterone enol ether in small portions during 5 min., stirred 1.5 hrs. under N at 20.degree., and kept 15 hrs. at 20.degree., and the crude enol ether (0.455 g.) of Et 4,17(20)-pregnadien-11-one-21-carboxylate in 3 cc. EtOH treated 5 min. under N with 0.5 cc. N HCl gave Et 4,17(20)-pregnadiene-3,11-dione-21-carboxylate, m. 188.degree., [.alpha.]20D 120 .+-. 1.degree. (c 0.54, EtOH). III (36.4 g.) in 74 cc. Me2SO stirred 15 min. at 20-5.degree. with 4.46 g. NaOH in 37 cc. dry Me2SO, a 3-g. portion added to 3-pyrrolidinyl-3,5-androstadien-17-one and stirred 3 hrs. at 50-5.degree. gave 4,17(20)-pregnadien-3-one (XXIV), m. 135-6.degree. (ligroine, b. 60-80.degree.). XXIV (50 mg.) stirred 40 min. at room temp. with 0.1 cc.

soln. of 45 mg. OsO4 in 1.5 cc. C5H5N and then 15 min. with 52 mg. V gave 4-pregnen-17.alpha.-ol-3,20-dione, m. 218.degree. (iso-Pr2O).

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AN 1965:446456 HCAPLUS
DN 63:46456
OREF 63:**8434a-g**
TI Preparation of 17.alpha.-methylandrostanes oxygenated in C-16 position. I
AU Modelli, Renato
CS Farm. Italia S.A., Milan
SO Ann. Chim. (Rome) (1965), 55(3), 205-20
DT Journal
LA Italian
CC 42 (Steroids)
GI For diagram(s), see printed CA Issue.
AB By means of fermentation with a strain of Nocardia italica, a OH group was introduced into the 16.alpha. position of 17.alpha.-methyltestosterone (I) and 4-hydroxy-17.alpha.-methyltestosterone (II). Some derivatives of the new products were prepd. and the structure detd. by periodic acid oxidn., thin-layer chromatography, ir, uv, and N.M.R. analysis. I (6 g.) in 50 ml. dimethylformamide was fermented 50-60 hrs. with 12 l. broth. The mixt. was extd. with 24 l. EtOAc, the solvent evapd., and the residue partitioned between 80% MeOH and petroleum ether. From the MeOH layer after evapn., extn. with EtOAc, and concn. was obtained 4.6 g. 16.alpha.-hydroxy-17.alpha.-methyltestosterone (III), crystd. from C2H4Cl2, m.p. 220-2.degree., [.alpha.]D 44.5.degree. (dioxane); acetate m. 172-4.degree., [.alpha.]D 4.3.degree.. II, fermented the same way, yielded 4,16.alpha.-dihydroxy-17.alpha.-methyltestosterone (IV), m. 228-30.degree., [.alpha.]D 36.2.degree.; diacetate m. 148-9.degree. (Me2CO-Et2O), [.alpha.]D 16.degree.. The same diacetate was obtained from III after oxidn. with H2O2 and OsO4 in trimethyl-carbinol. III after oxidn. with CrO3 in pyridine or with the Jones reactor gave 17.alpha.-methyl-4-androsten-17.beta.-ol-3,16-dione (V), m. 196-200.degree. (Me2CO-Et2O), [.alpha.]D -22.degree.. III treated with ethylene glycol and p-toluenesulfonic acid yielded 3,3-ethylene-dioxy-17.alpha.-methyl-5-androstene-16.alpha.,17.beta.-diol (VI), m. 270-85.degree. (MeOH), [.alpha.]D -58.4.degree.. VI hydrolyzed with 90% AcOH gave III. VI oxidized with CrO3 in pyridine gave 3,3-ethylenedioxy-17.alpha.-methyl-5-androsten-17.beta.-ol-16-one (VII), m. 175-90.degree. (Et-OAc), [.alpha.]D -129.degree.. VII (1.5 g.) was treated with 0.7 g. NaBH4 in 50 ml. abs. EtOH giving, after chromatography on Florisil, 3,3-ethylenedioxy-17.alpha.-methyl-5-androstene-16.beta.,17.beta.-diol (VIII), m. 196-7.degree. (Et acetate), [.alpha.]D -3.79.degree.. VIII treated with 90% AcOH at room temp. yielded 16.beta.-hydroxy-17.alpha.-methyltestosterone (IX), m. 203-7.degree. (C2H4Cl2) [.alpha.]D 113.degree.. IX oxidized with CrO3 in dil. H2SO4 gave V. IX (100 mg.) treated with Me2CO (10 ml.) and 0.05 ml. perchloric acid, after chromatography on Florisil yielded the 16,17-acetonide of IX, m. 118-19.degree. (Me2CO), [.alpha.]D 73.degree.. VII (0.6 g.) in 150 ml. benzene was treated with the Grignard reagent obtained from 3 g. Mg, 40 ml. Et2O, and 8 ml. MeI. After 2 days at room temp. the mixt. was decompd. with NH4Cl and extd. with Et acetate. The residue was chromatographed on silica gel; the elution with benzene yielded 3,3-ethylenedioxy- 16.alpha.,17.alpha.-dimethyl-5-androstene- 16,17-diol, m. 188-90.degree., [.alpha.]D -33.degree.. The elution with benzene-Et2O yielded 16.alpha.,17.alpha.-dimethyl-16.beta.-hydroxytestosterone (X), m. 184-6.degree., [.alpha.]D 65.9.degree., with a second cryst. form m. 215-17.degree. (Et acetate). The elution with Et2O yielded 3-hydroxyethoxy-3.alpha.,16.alpha.,17.alpha.-trimethyl-5-androstene-16.beta.,17.beta.-diol, m. 198-200.degree. [.alpha.]D -43.4.degree.; acetate m. 116-19.degree., [.alpha.]D -39.6.degree.; acetonide m. 106-10.degree., [.alpha.]D -6.degree.. The acetonide of X m. 150-5.degree., [.alpha.]D 70.7.degree.. V (0.4 g.) in 4 ml. MeOH refluxed

with 0.4 ml. pyrrolidine in 4 ml. MeOH yielded 3-pyrrolidino-17.alpha.-methyl-3,5-androstadien-17.beta.-ol-16-one (XI), m. 148-50.degree.. X was also obtained by treating XI with MeMgBr in tetrahydrofuran. The products had no androgenic or anabolic activity.

L61 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1965:66758 HCAPLUS
DN 62:66758
OREF 62:**11880a-e**
TI Halogenated 3-oxo steroids from the corresponding acids
PA Schering A.-G.
SO 18 pp.
DT Patent
LA Unavailable
IC A61K; C07C
CC 42 (Steroids)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 1377660		19641106	FR	
	BE 640360			BE	
	DE 1195304			DE	
	DE 1211193			DE	
	DE 1215149			DE	
	NL 300059			NL	
	US 3202683		1965	US	
PRAI	DE		19621124		

AB 3-Oxo-bisnor-4-cholenic acid (I) was irradiated in the presence of Pb-(OAc)4 and iodine in boiling CCl4 to give 20.xi.-iodo-4-pregnen-3-one (II) without the expected 2-acetylation. Thus, 2.8 g. Pb(OAc)4 in 80 cc. CCl4 was stirred and refluxed under irradiation with a 500-w. lamp, adding 2 g. I in 200 cc. CCl4 and, after 15 min. and dropwise, a satd. iodine-soln. in CCl4 up to persistence of the color (4-5 hrs.). The chilled soln. was washed with aq. Na2S23 and H2O, dried with Na2SO4, filtered, and evapd. in vacuo. Chromatography of the residue gave 2.4 g. II, decompg. 149-50.degree. (MeOH), likewise obtained by substituting HgO for Pb(OAc)4. Similar irradiation of I with Pb(OAc)4 in CBr4 or CHBr3, but without iodine-treatment, followed by the addn. of (CH2OH)2 and chromatography, yielded 20.xi.-bromo-4-pregnen-3-one (IIa), m. 169-71.degree. (iso-Pr2O), .epsilon.241 16,100, whereas using CCl4 with Pb(OAc)4 [or with Pb(OAc)4-azobis(isobutyronitrile) or HgO] 20.xi.-chloro-4-pregnen-3-one (IIb), m. 186-7.degree. (iso-Pr2O), was obtained. Similarly, irradiation of 3-oxobisnorcholanic acid (III) in CCl4 with Pb(OAc)4 and iodine gave 20.xi.-iodo-5.beta.-pregnan-3-one (IV), decompg. 125-8.degree. (MeOH), whereas irradiation of III (without iodine treatment) in CH2Cl2 with Pb(OAc)4 and CBr4 gave 20.xi.-bromo-5.beta.-pregnan-3-one (IVa), and in CCl4 with only Pb(OAc)4 yielded 20.xi.-chloro-5.beta.-pregnan-3-one (IVb). Dehy-drohalogenation by known methods (treatment with ethanolic KOH, LiBr, and Li2CO3 in HCONMe2, K2CO3 in AcOH, or Ag2-CrO4 in Me2CO) converted II, IIa, and IIb into 4,17-pregnadien-3-one (V), m. 136-7.degree. (iso-PrOH), and IV, IVa, and IVb into 17-pregnen-3-one, m. 140-1.degree. (Me2CO or Et2O). Alternatively, III in CCl4 was irradiated with 3 equivs. Br in the presence of Pb(OAc)4 to give 4,20-dibromo-5.beta.-pregnan-3-one, which was dehydrobrominated to V. A stirred mixt. of 1.2 g. I and 2.6 g. Pb(OAc)4 in 100 cc. CCl4 was irradiated under reflux 1 hr. with 0.8 cc. Br in 110 cc. CCl4 to produce 2,6,20.xi.-tribromo-4-pregnen-3-one, decompg. 167-8.degree. (Me2CO-iso-Pr2O). A stirred mixt. of 200 mg. 3-oxo-5.beta.-etianic acid, 238 mg. Pb(OAc)4, 20 cc. CH2Cl2, and 6 cc. CCl3Br was irradiated under reflux 7 hrs. to give 17.xi.-bromo-5.beta.-androstan-3-one.

L61 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2002 ACS

AN 1965:66734 HCAPLUS
DN 62:66734
OREF 62:**11872c-d**
TI A new diene-addition reaction of steroids. The synthesis of steroidal analogs containing a substituted bicyclo[2.2.1]heptene system
AU Solo, A. J.; Sachdev, H. S.; Gilani, S. S. H.
CS State Univ. of New York, Buffalo
SO J. Org. Chem. (1965), 30(3), 769-71
DT Journal
LA English
CC 42 (Steroids)
GI For diagram(s), see printed CA Issue.
AB The D-ring diene system of 3.beta.-acetoxy-17-cyano-5,14,16-androstatriene (I) has been found to undergo the Diels-Alder reaction. Maleic anhydride, acrolein, Me acrylate, and 4-phenyl-1,2,4-triazoline-3,5-dione have been added to I. The scope of the reaction and the stereochemistry of the adducts are discussed.

L61 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1965:66733 HCAPLUS
DN 62:66733
OREF 62:**11871d-h,11872a-c**
TI Wittig reaction of steroid ketones
AU Barnikol-Oettler, Kurt; Zepter, Rudolf; Heller, Karl
SO J. Prakt. Chem. (1965), 27(1-2), 18-33
DT Journal
LA German
CC 42 (Steroids)
AB The reactions of several 6-and 17-oxo steroids with [Ph3PMe]Br and PhLi or NaNH2 are described; CO groups not to be olefinated were protected by ketalization. The 6-oxo steroids of the 5.alpha.-series were converted in this manner in good yields into the 6-methylene analogs. The olefination of the 6-position in the 5.beta.-steroids, however, was more difficult because of steric effects. 5.beta.-Androstane-3,6.alpha.,17.beta.-triol 17-acetate (5 g.) in 50 cc. 80% AcOH treated at 8-10.degree. for 18 min. with 3.8 g. CrO3 in 40 cc. 80% AcOH and kept 3.5 hrs. at 15.degree. yielded 2.39 g. 5.beta.-androstan-17.beta.-ol-3,6-dione 17-acetate (I), m. 150-4.degree. and 161.5-63.degree. (Me2CO), [.alpha.]D - 114.degree. (all rotations were measured in CHCl3). I (1.3 g.) in 13 cc. 2-ethyl-2-methyl-1,3-dioxolane (II) treated at 100.degree. with 50.7 mg. p-MeC6H4SO3H and cooled after 5 min. to below 0.degree. yielded 1.18 g. 3-ethylene ketal (III) of I, m. 166-6.8.degree. (MeOH), [.alpha.]D -55.7.degree.. III (900 mg.), 900 mg. K2CO3, 18 cc. MeOH, and 3.7 cc. H2O refluxed 1.5 hrs. gave 629 mg. 3-ethylene ketal (IV) of 5.alpha.-androstan-17.beta.-ol-3,6-dione (V), m. 188.2-8.7.degree. (MeOH), [.alpha.]D -22.degree.. I (393 mg.) in 13 cc. MeOH refluxed 2 hrs. with 400 mg. K2CO3 and 1.2 cc. H2O gave 247 mg. V, m. 234-6.degree. (Me2CO), [.alpha.]D -8.degree. (CHCl3). V (860 mg.) in 17 cc. II heated 4 min. at 100.degree. with 36 Mg. p-MeC6-H4SO3H gave 516 mg. IV, m. 188-8.7.degree. (MeOH), [.alpha.]D -22.degree., and about 80 mg. mixt. of V, IV, and the diketal. [Ph3PMe]Br (13 g.) and 144 cc. dry Et2O treated with stirring at room temp. with 72 cc. Et2O contg. 3 g. PhLi and then with 2.5 g. IV in 14 cc. dry tetrahydrofuran (THF) and 72 cc. dry Et2O, stirred 4 hrs. at room temp., and kept overnight, 290 cc. Et2O replaced by 220 cc. THF, and refluxed 1 hr., and the product chromatographed on 150 g. silica gel yielded 1.92 g. 3-ethylene ketal (VI) of 6-methylene-5.alpha.-androstan-17.beta.-ol-3-one (VII), m. 181.5-82.degree. MeOH), [.alpha.]D -16.6.degree.. VI (1 g.) in 70 cc. Me2CO refluxed 2 hrs. with 8.5 cc. 2N H2SO4 gave 723 mg. VII, m. 196-7.2.degree. (Me2CO), [.alpha.]D -25.5.degree.; acetate (VIII) m. 187.5-8.5.degree., [.alpha.]D -27.5.degree.. VIII (523 mg.) in 5.85 cc. C5H5N stirred 4 hrs. at room temp. with 406 mg. OsO4, treated with 720 mg. NaHSO3 in 11.6 cc. H2O and 7.8 cc. C5H5N, and stirred 0.5 hr. gave 445.6 mg. 6.beta.-hydroxymethyl-

5.alpha.-androstane-6.alpha.,17.beta.-diol-3-one (IX), m. 174-8.2.degree. (Me2CO), [.alpha.]D -1.degree.. IX with Ac2O-C5H5N gave 6.beta.-acetoxymethyl-17.beta.-acetoxy-5.alpha.-androstan-6.alpha.-ol-3-one, m. 142.5.degree., [.alpha.]D 6.degree.. Diacetate (30 g.) of 24,24-diphenyl-5.beta.-chol-23-ene-3,6.alpha.-diol (X) in 600 cc. MeOH refluxed 2 hrs. with 30 g. K2CO3 in 90 cc. H2O gave 32.5 g. X, m. 180-6.degree.. X (25.5 g.) in 400 cc. C5H5N treated during 2 hrs. with stirring at room temp. with 10.45 g. CrO3, stirred 1 hr., and kept overnight yielded 14.5 g. mixt., m. 108-13.degree. (MeOH); an 8-g. portion chromatographed on 200 g. silica gel gave 1.8 g. 24,24-diphenyl-5.beta.-chol-23-ene-3,6-dione, m. 148-54.degree., [.alpha.]D -33.8.degree., and 3.2 g. 24,24-diphenyl-5.beta.-chol-23-en-3.alpha.-ol-6-one (XI), m. 145-8.degree., [.alpha.]D -6.degree.. XI (983 mg.) in 30 cc. MeOH refluxed 2 hrs. with 1 g. K2CO3 in 3 cc. H2O gave 514 mg. 5.alpha.-epimer of XI, m. 201-5.degree. (MeOH-Me2CO), [.alpha.]D 27.5.degree.. [Ph3-PMe]Br (13.35 g.) added during 1 hr. under argon to NaNH2 prepd. from 940 mg. Na and 170 cc. liquid NH3,the NH3 replaced by 60 cc. dry Et2O, and the mixt. dild. with an addnl. 60 cc. Et2O, treated with 2.5 g. 4-chloro-4-androstene-3,17-dione 3-ethylene ketal in 90 cc. dry THF, stirred 2 hrs. at room temp., kept overnight, concd. during 15 min. to remove 150 cc. solvent, dild. with 60 cc. dry THF, and refluxed 15 min. gave 0.37 g. unreacted ketal, m. 230-4.degree., and 1.65 g. 17-methylene-4-chloro-4-androsten-3-one 3-ethylene ketal (XII), m. 181.5-83.degree. (Me2CO), [.alpha.]D 133.degree.. XII (1 g.) in 60 cc. Me2CO refluxed 2 hrs. with 8.5 cc. 2N H2SO4 gave 710 mg. 4-chloro-17-methylene-4-androsten-3-one, m. 138.4-40.degree. (MeOH), [.alpha.]D 150.degree.. 17-Methylene-5-androsten-3-one 3-ethylene ketal (1.48 g.) in 90 cc. Me2CO refluxed 2 hrs. with 2N H2SO4 gave 84% 17-methylene-4-androsten-3-one, m. 133.5-35.degree., [.alpha.]D 125.degree..

L61 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1965:18962 HCAPLUS
DN 62:18962
OREF 62:**3409c-e**
TI Characterization of .DELTA.4-3-oxo-C21-steroids on thin-layer chromatograms by "in situ" color reactions
AU Lisboa, B. P.
CS Karolinska Sjukhuset, Stockholm
SO J. Chromatog. (1964), 16(1), 136-51
DT Journal
LA English
CC 2 (Analytical Chemistry)
AB cf. CA 60, 13890b. The application of 34 known reactions for the characterization of 37 steroids is described, with some modifications for sensitivity or use on chromatoplates. Methods are given for .alpha.,.beta.-unsatd. keto steroids, reducing corticosteroids, ketonic steroids, 17.alpha.,21-dihydroxy-20-keto steroids, 17-deoxy-.alpha.-ketolic steroids, formaldehydrogenic steroids, 21-deoxy-20-keto steroids, 17-hydroxy-20-keto-21-deoxy steriods, and individual steroids. Steroids can be purified before identification by elution and microsublimation. Sensitivity, specificity, optimal conditions, and reaction mechanisms are discussed.

L61 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1965:9277 HCAPLUS
DN 62:9277
OREF 62:**1705d-h,1706a-d**
TI 17-Hydroxymethyltestosterones
AU Bertin, Daniel; Nedelec, Lucien
CS Centre Rech. Roussel-UCLAF, Romainville
SO Bull. Soc. Chim. France (1964), (9), 2140-4
DT Journal

LA French
CC 42 (Steroids)
GI For diagram(s), see printed CA Issue.
AB The synthesis of the two 17-epimeric 17-hydroxymethylandrost-4-en-17-ol-3-ones (I) was described: 17.alpha.-Vinyltestosterone, m. 142.degree., [.alpha.]D 80.degree. (c 1, dioxane), hydroxylated with OsO4 gave 17.alpha.-pregn-4-ene-17.beta.,20,21-triol-3-one (II), m. 248.degree., [.alpha.]D 68.5.degree. (c 0.4, EtOH); 20,21-diacetate m. 140.degree.. II (3.2 g.) in 64 cc. dioxane and 6.4 cc. H2O treated at 5.degree. during 20 min. with 2.2 g. HIO4 in 10 cc. H2O, and the mixt. stirred 1 hr. at 20.degree. and dild. with H2O gave 2.97 g. product, m. 133-5.degree. (Et2O), which chromatographed on Florisil yielded 0.57 g. androst-4-ene-3,17-dione, m. 172.degree., and 1.46 g. III, m. 205.degree. (MeOH), [.alpha.]D 43.degree. (c 1, CHCl3), Rf 0.53 (9:1 C6H6-EtOH); acetate m. 205.degree.. III (250 mg.) treated with 375 mg. HIO4 in 7.7 cc. 90% MeOH gave 96 mg. IV and 170 mg. neutral material. NaH (6.4 g.) and 66 g. [Me3S]I in 660 cc. HCONMe2 stirred 0.5 hr., treated with 20 g. androst-5-en-3.beta.-ol-17-one, and stirred 48 hrs. at room temp. yielded 12 g. (crude) V, m. 189.degree. (AcOEt), [.alpha.]D -71.5.degree. (c 1, EtOH), and 9 g. (crude) VI, m. 179.degree. (iso-Pr2O), [.alpha.]D -86.degree. (c 1, EtOH). V (1 g.) refluxed 4 hrs. with 500 mg. LiAlH4 in 50 cc. Et2O yielded 700 mg. 17.alpha.-methylandrost-5-ene-3.beta.,17.beta.-diol, m. 207.degree. [.alpha.]D -74.degree. (c 1, EtOH). VI (400 mg.) gave similarly 300 mg. 17.beta.-methylandrost-5-ene-3.beta.,-17.alpha.-diol, m. 198.degree., [.alpha.]D -83.5.degree. (c 1, EtOH). V (14.6 g.), 150 cc. EtOH, 30 cc. H2O, and 15 cc. aq. NaOH refluxed 5 hrs. yielded 15.75 g. (crude) 17.alpha.-hydroxymethylandrost-5-ene-3.beta.,17.beta.-diol (VII), m. 216.degree. (95% EtOH), [.alpha.]D -71.degree. (c 0.5, EtOH), and 4.5 g. 20-Et ether (VIII) of VII, m. 100.degree. (50% EtOH), [.alpha.]D -81.5.degree. (c 0.8, EtOH). VIII (400 mg.), 2 cc. C5H5N, and 1 cc. Ac2O kept 15 hrs. at room temp. yielded 361 mg. acetate, m. 117.degree. (50% EtOH). VII (4 g.) and 0.5 cc. 65% HClO4 in 180 cc. dry Me2CO stirred 2.5 hrs. at room temp. gave 4 g. acetonide (IX) of VII, m. 106.degree. (50% Me2CO). IX (3.8 g.) in 240 cc. MePh subjected to Oppenauer oxidn. with 1 g. (iso-PrO)3Al and 40 cc. MeCOEt yielded 2.6 g. acetonide (X) of 17.beta.-OH epimer (Xa) of I, m. 195.degree. (Et2O), [.alpha.]D 56.degree. (c 1.2, Me2CO). X (2.4 g.), 72 cc. 90% EtOH, and 1.2 cc. 5N HCl refluxed 3 hrs. gave 2.05 g. Xa, m. 193.degree. (aq. EtOH), [.alpha.]D 72.degree. (c 0.6, EtOH). Xa (100 mg.) in 2 cc. MeOH treated 2 hrs. at room temp. with 150 mg. HIO4 gave 50 mg. androst-4-ene-3,17-dione (XI), m. 172.degree.. 3-Acetate [(2.2 g.), m. 134.degree. (MeOH), [.alpha.]D -66.degree. (c 1, CHCl3)] of 17-methylandrosta-5,16-dien-3.beta.-ol (XII) refluxed 1 hr. in 30 cc. MeOH with 6 cc. 5N NaOH gave 1.9 g. XII, m. 140.degree., [.alpha.]D -64.degree. (c 1, CHCl3). XII (1.7 g.) in 100 cc. MePh subjected to Oppenauer oxidn. with 0.850 g. (iso-PrO)3Al and 17 cc. MeCOEt gave 830 mg. 17-methylandrosta-4,16-dien-3-one (XIIa), m. 139.degree. (MeOH), [.alpha.]D 145.degree. (c 0.6, CHCl3). [Pr3PMe]Br (100 g.) in 500 cc. dioxane treated with 107 cc. 2.62N BuLi in hexane and then with 15 g. 3.beta.-acetoxyandrost-5-en-17-one, the mixt. distd. to 98-9.degree., refluxed 30 hrs., cooled, and dild. with 1500 cc. H2O, and the ppt. refluxed 0.5 hr. with 20 cc. aq. NaOH in 200 cc. EtOH yielded 10 g. 17-methylenandrost-5-en-3.beta.-ol (XIII), m. 136.degree., [.alpha.]D -89.degree. (c 1, CHCl3); acetate m. 102.degree. (95% EtOH), [.alpha.]D -82.degree. (c 0.9, CHCl3). XIII (4.46 g.) oxidized (Oppenauer) gave 3.1 g. 17-methylenandrost-4-en-3-one (XIV), m. 135.degree., [.alpha.]D 127.degree. (c 0.5, CHCl3). XIV (600 mg.), 20 cc. Et2O, 0.1 cc. C5H5N, and 650 cc. OsO4 stirred overnight at room temp., and the product refluxed 5 hrs. with 5 g. Na2SO3, 75 cc. EtOH, and 25 cc. H2O yielded 310 mg. 17.alpha.-OH epimer (XIVa) of I, m. 140 and 168.degree. (solvate) (50% EtOH), [.alpha.]D 72.degree. (c 1, EtOH). XIVa (250 mg.), 375 mg. HIO4, and 5 cc. MeOH stirred 1 hr. at room temp. gave 177 mg. XI, m. 172.degree.. XIIa (600 mg.) oxidized with OsO4 gave 465 mg. 17.beta.-methylandrost-4-ene-16.alpha.,17.alpha.-diol-3-one (XV), m.

239.degree. (aq. EtOH), [.alpha.]D 46.degree. (c 0.85, EtOH). XV (130 mg.), 195 mg. HIO4, and 2.6 cc. MeOH stirred 3 hrs. at room temp. gave 102 mg. noncryst. product. VI with aq. alc. NaOH gave 17.beta.-hydroxymethylandrost-5-ene-3.beta.,17.alpha.-diol (XVI), m. 205.degree., [.alpha.]D -76.degree. (c 0.5, EtOH). XVI (200 mg.) was converted into the acetonide, m. 80.degree., which by an Oppenauer oxidn. gave the acetonide (XVII), m. 158.degree., [.alpha.]D 31.degree. (c 0.6, Me2CO), of XIVa. XVII with HCl and EtOH yielded XIVa.

L61 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1964:449186 HCAPLUS
DN 61:49186
OREF 61:**8583c-e**
TI Separation and characterization of .DELTA.4-3-keto steroids of the pregnane series by means of thin-layer chromatography. I. General method
AU Lisboa, B. P.
CS Karolinska S jukhuset, Stockholm
SO Acta Endocrinol. (1963), 43(No. 1), 47-66
DT Journal
LA English
CC 58 (Hormones)
AB A method is described involving thin-layer chromatography on Silica Gel G for the sepn. and identification of 32 .DELTA.4-3-keto steroids of the pregnane series. Good resolution and reproducibility are obtained. Nine different solvent systems may be used. Unidimensional, bidimensional, and multidimensional chromatography are employed. Seven color reactions are given for identification of sepd. steroids in situ. One-dimensional thin-layer chromatography in CHCl3-EtOH (90:10) (system D) sep. the steroids into 4 major groups. Group 1 (Rf less than 0.35) is sepd. by bidimensional chromatography in systems D and EtOAc-hexane-EtOH-HOAc (72: 13.5:4.5: 10) (system E). Group II (Rf between 0.35 and 0.50) is sepd. by 2-dimensional chromatography in systems E and C6H6-EtOH (40:10). Group III (Rf between 0.50 and 0.67) is sepd. by bidimensional chromatography in system E and cyclohexane-EtOAc-EtOH (45:45:10) (system A). Group IV is sepd. in system A and includes steroids with Rf values above 0.67 in the original sepn. Unidimensional thin-layer chromatography of some isoniazid and Girard T hydrazones is described.

L61 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1963:416649 HCAPLUS
DN 59:16649
OREF 59:**3053f-g**
TI Effect of hydrocortisone administration on the hyaluronic acid fractions of synovial fluid in rheumatoid arthritis
AU Nanto, V.; Seppala, P.; Kulonen, E.
CS Univ. Turku, Finland
SO Clin. Chim. Acta (1962), 7, 794-9
DT Journal
LA English
CC 58 (Hormones)
AB Hyaluronate in rheumatoid synovial fluids could be sepd. into fractions by a stepwise dissoln. of the cetylpyridinium-pptd. complex (CPC) in salt solns. Phys. data indicated that the CPC fractions which are sol. in 0.1N mgCl2 have a smaller particle wt. Their intrinsic viscosities are small in comparison to the values on normal bovine synovial fluid or normal human synovial fluid hyaluronate. The distributions of the fractions is continuous but skewed. Treatment with hydrocortisone reduced the concn. of the less polymerized fractions. Either some of the less polymerized fraction disappears totally during hydrocortisone treatment or it is polymerized to appear in the more polymerized fraction.

L61 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1962:417093 HCAPLUS

DN 57:17093
OREF 57:**3520b-i,3521a-b**
TI 4-Methyl-3-oxo-.DELTA.4-steroids
IN Kirk, David Neville; Petrow, Vladimir
PA British Drug Houses Ltd.
SO 8 pp.
DT Patent
LA Unavailable
NCL 120
CC 36 (Steroids)

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1124489		19620301	DE	19620301
	FR 1333712			FR	
	GB 888165			GB	
	US 3076822		1963	US	
PRAI	DE		19581111		

AB The title compds. were prepd. by introducing an organothiomethyl group in position 4 of the corresponding 4-unsubstituted .DELTA.4-3-ketones, through reaction with HCHO and a mercaptan in presence of a tertiary amine, followed by reductive desulfuration with Raney Ni or Zn dust-alkali in boiling Me2CO during 4-5 hrs. The organothio radical was preferently phenylthio, but could also be such as benzylthio, toluylene-3,4-dithio, p-tolylthio, 2-naphthylthio, methylthio, ethylthio, butylthio, .beta.-hydroxyethylthio, ethylenedithio, n-decylthio, n-dodecylthio, allylthio, furfurylthio, .beta.-carboxyethylthio or .beta.-ethylthiomethylthio. The Raney Ni was first partially inactivated through boiling in Me2CO during 1 hr. A reactive OH group was protected by esterification and a ketolic side chain by ketalization or formation of the bis(methylenedioxy) deriv., hydrolyzing after desulfuration. The following new compds. are valuable due to their activity or as intermediates (m.ps. indicated as far as reported): 2.alpha.,4-dimethyltestosterone 156-8.degree., its acetate 188-90.degree., propionate 136-8.degree., .beta.-phenylpropionate 135-8.degree. and p-chlorophenoxyacetate 133-4.degree., as well as 4,6.beta.-dimethyltestosterone 228-30.degree., its acetate 155-8.degree., propionate 126-8.degree. and .beta.-phenylpropionate 146-8.degree., all of favorable anabolic-androgenic ratio; 4-methyl-17.alpha.-hydroxyprogesterone 239-41.degree. and its progestationally active acetate 172-5.degree.; 4,6.beta.-dimethyl-17.alpha.-hydroxyprogesterone; 4,16.alpha.-dimethylprogesterone 152-3.degree., progestationally active; 4-methyl-17,20;20,21-bis(methylenedioxy)-4pregnen-11.beta.-ol-3-one 279-84.degree.; 4-methyltestosterone .beta.-phenylpropionate 142-5.degree.; 4-methyltestosterone phenoxyacetate 164.degree.; 4-methyltestosterone p-chlorophenoxyacetate 169-70.degree., of favorable anabolic-androgenic ratio; 4-methyl-17.alpha.-caproyloxyprogesterone 122-4.degree.; 4-methyl-16-methyl-ene-17.alpha.-acetoxyprogesterone 212-14.degree., progestationally active; 4,17.alpha.-dimethyl-9.alpha.-fluoro- 11.beta.-hydroxytestosterone 21316.degree. and 4-methyl-11.beta.-hydroxytestosterone 256.degree., of favorable anabolic-androgenic ratio; 4-methyl-11.alpha.-hydroxytestosterone 180.degree.. 4-methyl-4-androstene-3,11,17-trione 1668.degree.; 4-methyldeoxycorticosterone acetate 175-6.degree., a potent mineralocorticoid. Also were prepd.: 4-methyltestosterone acetate 158-60.degree., propionate 105-6.degree., valerate 63-4.degree. and caprylate 38-9.degree.; 4,17.alpha.-dimethyltestosterone 141-2.degree.; 4 methyl-17.alpha.-ethyltestosterone 154-6.degree.; 4-methyl-4-androstene-3,17-dione 138-40.degree.; 4,6.beta.-dimethyl-4-androstene-3,17dione 176-8.degree.; 4-methylprogesterone 164-6.degree.; 4-methyl4,9(11)-pregnadiene-3,20-dione 146-9.degree.; 4-methylcortisone 229-33.degree.; 4-methyl-25D-4-spirosten-3-one 210-12.degree.; 4-methyl-4,6-androstadien-17.beta.-ol-3-one 132-4.degree. and acetate 154-5.degree.; 4-methyl-D-homotestosterone and acetate; 4,6-dimethyl-4,6-androstadiene-

3,17-dione; 4-methyl-4-cholesten-3-one 101-3.degree.; 4-methyl-4,20-stigmastadien-3-one 81-6.degree.; 4-methyl-4,7,22-ergostatrien-3-one 125-7.degree.; 4-methyl-4-cholen-3-one 24-(methyl carbonate) 100-3.degree.; 4,7.beta.-dimethyltestosterone and acetate; 4,6.xi.-dimethyl-17.alpha.-hydroxyprogesterone and acetate; 16.alpha.,17.alpha.-(dimethylmethylenedioxy)progesterone 217-20.degree.; 4-methyl-4,11-pregnadiene-3,20-dione: 4-methyl-19-nortestosterone 156-7.degree. and acetate 122-3.degree.; 1,4-dimethyl-19-nortestosterone and acetate; 4-methyl-17.alpha.,20;20,21-bis(methylenedioxy)-9.alpha.-fluoro-4-pregnene3,11-dione and 4-methyl-9.alpha.-fluorocortisone; 4,14.alpha.-dimethyl-17.alpha.,20;20,21-bis(methylenedioxy)-4-pregnene-3,11-dione; 4,14.alpha.-dimethylcortisone and acetate; 4-methyl-4-pregnen-20.xi.-ol-3-one and acetate; 4-methyl-4,17(20)-pregnadien-21-ol-3-one and acetate; 4-methyl-4,17(20)-pregnadien-3-one-21-carboxylic acid; 4-methyl-17.alpha.,20;20,21-bis(methylenedioxy)-4-pregnen-11.beta.-ol-3-one 207-9.degree. and 4-methylhydrocortisone; 4-methyl-14.alpha.-hydroxyprogesterone; 4-methyl-17.alpha.,20;20,21-bis(methylenedioxy)-4,14-pregnadiene-3,11-dione and 4-methyl-4,14-pregnadiene-17.alpha.,21-diol-3,11,20-trione; 4,11.alpha.-dimethyl-11.beta.-hydroxytestosterone and 17-acetate; 4-methyl-20,20-ethylenedioxy-4-pregnen-3-one; 4-methyl-11.alpha.-hydroxyprogesterone 168-71.degree.; 4-methyl-4-pregnene-3,11,20-trione 179-81.degree.; 4-methyl-4,17(20)-pregnadien-3-one 21-(ethyl carbonate) 130-2.degree.; 4-methyl-16.alpha.-hydroxytestosterone and diacetate; 4-methyl-16.alpha.,17.alpha.-benzylidenedioxyprogesterone; 4-methyl-20,20-ethylenedioxy-4-pregnen-17.alpha.-ol-3-one 228-30.degree.; 4,7,7-trimethyl-4-cholesten-3-one; 4-methyl-20,20-ethylenedioxy-4-pregnen-21-ol-3-one, its acetate and 4-methyldeoxycorticosterone. Cf. CA 55, 16593c.

L61 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1959:23507 HCAPLUS
DN 53:23507
OREF 53:**4359g-i,4360a-c**
TI 3-Oxygenated bisnor-17(20)-cholen-22-ols
IN Pederson, Raymond L.; Jensen, Erik H.
PA Upjohn Co.
DT Patent
LA Unavailable
CC 10J (Organic Chemistry: Steroids)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2844601		19580722	US	

AB 3-Oxobisnor-4,17(20)-choladien-22-al (4.90 g.) in 50 cc. dioxane and 20 cc. H2O treated with 100 cc. 0.5N NaBH4 in N aq. NaOH, the mixt. treated after 1.5 hrs. with 100 cc. 4N H2SO4, and extd. with C6H6, the ext. worked up, and the residue (4.91 g.) chromatographed with petr. ether on 400 g. Florisil yielded 1.32 g. (crude) 3-oxo-bisnor-4,17(20)-choladien-22-ol (I), m. 166-8.degree. (Me2CO), [.alpha.]D 109.degree. (CHCl3). I (500 mg.), 8 cc. C5H5N, and 4 cc. Ac2O kept 16 hrs. at 22-5.degree., poured into 75 cc. iced H2O, and filtered gave 22-acetate of I. Similar examples without data are given for the prepn. of the benzoate, propionate, valerate, phenylacetate, and .beta.-cyclopentylpropionate of I. I (82 mg.), 0.5 cc. C5H5N, and 50 cc. CH2Cl2 ozonized during 13.6 min. at -70.degree. with 0.0275 millimole ozone in O, stirred with 0.5 g. Zn dust., dild. with 20 cc. AcOH, stirred 2 hrs., and filtered, and the filtrate worked up gave 79 mg. crude material which chromatographed on Florisil yielded pure 4-androstene-3,17-dione (II), m. 175-6.degree.. 22-Acetate (100 mg.) of I, 0.5 cc. C5H5N, and 50 cc. CH2Cl2 ozonized in the same manner gave also II. 3.alpha.-Acetoxybisnor-17(20)-cholen-22-ol in EtOH reduced in the usual manner with KBH4 in aq. KOH yielded 3.alpha.-acetoxybisnor-17(20)-cholen-22-ol which ozonolyzed in CH2Cl2 gave 3.alpha.-acetoxyetiocholen-17-one. 3,11-Dioxobisnor-4,17(20)-choladien-22-

al in tetrahydrofuran reduced with LiBH4 in the presence of aq. NaOH gave 3,11-dioxo-4,17(20)-choladien-22-ol which with (EtCO)2O gave the 22-propionate. Similar examples without data are given for the prepn. of 4-androstene-3,11,17-trione, 3.beta.-acetoxy-6-oxobisnor-17(20)-cholen-22-ol, 3.beta.-acetoxyetiocholane-6,17-dione, 3,6-dioxobisnor-17(20)-cholen-22-ol, etiocholane-3,6,17-trione, 3-oxobisnorallo-17(20)-cholen-22-ol, androstane-3,17-dione, 3.alpha.-hydroxybisnor-5,17(20)-choladien-22-ol, 3.alpha.-hydroxy-5-androsten-17-one, 3.alpha.-hydroxyallobisnor-17(20)-cholen-22-ol, 3.alpha.-hydroxyandrostan-17-one, 3.alpha.-acetoxyallobisnor-17(20)-cholen-22-ol, 3.alpha.-acetoxyandrostan-17-one, 3,11-dioxobisnor-17(20)-cholen-22-ol, etiocholane-3,11,17-trione, 3-oxobisnor-17(20)-cholene-11.alpha.,22-diol, 11.alpha.-hydroxyetiocholane-3,17-dione, 3-oxobisnor-17(20)-cholene-11.beta.,22-diol, 11.beta.-hydroxyetiocholane-3,17-dione, 3,11-dioxobisnorallo-17-(20)-cholen-22-ol, androstane-3,11,17-trione, bisnor-17(20)-cholene-3.alpha.,22-diol, 3.alpha.-hydroxyetiocholan-17-one, bisnor-5,7,17(20)-cholatriene-3.beta.,22-diol 5,8-maleic anhydride adduct, 3.beta.-hydroxy-5,7-androstadien-17-one 5,8-maleic anhydride adduct.

L61 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1959:11976 HCAPLUS
DN 53:11976
OREF 53:**2293c-h**
TI Cyclopentanophenanthrene derivatives
IN Sondheimer, Franz; Mancera, Octavia; Rosenkranz, Geo.
PA Syntex S.A.
DT Patent
LA Unavailable
CC 10J (Organic Chemistry: Steroids)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2846451		19580805	US	

AB The prepn. of the known (cf. Miescher and Klarar, C.A. 33, 82083) 17.beta.-methyl-4-androsten-17.alpha.-ol-3-one (I) starting from 5,17(20)-pregnadien-3.beta.-ol-21-carboxylic acid (II) and involving the prepn. of 17-methylene-5-androsten-3.beta.-ol(III), 17,20-oxido-17-methyl-4-androsten-3-one (IV), and 17.beta.-methyl-4-androsten-3.beta.,17.alpha.-diol (V) was reported. I (23 g.), 100 ml. quinoline, and 1.25 g. CuCr2O4 was refluxed 4.0 hrs., cooled, the mixt. poured into H2O, extd. with Et2O, the Et2O ext. washed several times with HCl, Na2CO3, and H2O until neutral, dried with Na2SO3, and evapd. to dryness. Chromatography of the residue on Al2O3 with C6H6 elution, evapn. of the C6H6, and crystn. (MeOH) yielded 14.5 g. III, m. 130-1.degree.. III (10 g.) and 75 ml. cyclohexanone was dissolved in 400 ml. PhMe and the system dried by distn. of 75 ml. PhMe. To the dried mixt. was then added 6 g. (iso-PrO)3Al in 50 ml. distd. PhMe, and the whole refluxed 1 hr., steam-distd. to remove org. solvents, the residue extd. with EtOAc, the ext. dried with Na2SO4, and evapd. to dryness. Recrystn. of the residue (Me2CO-pentane) yielded 7.8 g. 17-methylene-4-androsten-3-one(VI), m. 129-31.degree., [.alpha.]D 136.degree.(alc.). VI showed a selective ultraviolet absorption max. at 240 m.mu. (log .epsilon. 4.27). VI (3 g.) in 20 ml. HCCl3 was mixed with 18.8 ml. HCCl3 soln. contg. 1.17 g. PhCO3H. The soln. was kept in the dark 16 hrs. (all PhCO3H was consumed), washed with H2O, Na2CO3 soln., and H2O, dried with Na2SO4, and evapd. to dryness. The residue was chromatographed on 40 g. of washed Al2O3 and the fractions eluted with C6H6-C6H12 were evapd. to dryness. Crystn. from Me2CO-C6H12 yielded 1.96 g. IV, m. 183-5.degree.. IV (1 g.) in 30 ml. anhyd. tetrahydrofuran (THF) was added to 0.5 g. LiAlH4 in 50 ml. THF, refluxed 0.5 hr., the excess LiAlH4 destroyed with H2O, then 20 ml. of a satd. Na2SO4 soln. and 50 g. anhyd. Na2SO4 added, the mixt. filtered, the salts washed with HCCl3, and the combined soln. evapd. to dryness. The residue was dissolved in 100 ml. HCCl3, mixed with 10 g. MnO2, and shaken 20 hrs. at room temp.,

filtered, and the filtrate evapd. to dryness. The residue was chromatographed on a column of 50.0 g. washed Al2O3 and the fractions eluted with C6H6-Et2O were combined and recrystd. (Me2CO-C6H12) to yield I, m. 176-8.degree., [.alpha.]D 68.degree. (EtOH). The infrared spectrum was compatible with the assigned structure.

L61 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1957:99456 HCAPLUS
DN 51:99456
OREF 51:**18017a-i,18018a-d**
TI Neoglycogenetic compounds (11-oxygenated derivatives of 13-methyl-17-hydroxy-17-(hydroxyacetyl)-1,2,3,6,7,8,9,10,11,12,13,14,16,17-tetradecahydro-15H-cyclopenta [a]-phenanthren-3-ones)
IN Colton, Frank B.
PA G. D. Searle & Co.
DT Patent
LA Unavailable
CC 10 (Organic Chemistry)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2802015		19570806	US	

AB K tert-amylate 20 in anhyd. tert-amyl alc. 135 was added in 15 min. to 3-methoxy-13-methyl-17-oxo deriv. of A (I) (A represents 1,4,6,7,8,9,11,12,13,14,16,17-dodecahydro-15H-cyclopenta[a]phenanthrene throughout this abstr.). I 10.6 in anhyd. Et2O 700 and dry PhMe 45 parts at 0.degree. was satd. with dry C2H2. After 4 1/2 hrs. passage of C2H2 through the soln. and 16 hrs. at 0.degree., the mixt. was washed with aq. NH4Cl until neutral, then with H2O and satd. NaCl soln., the org. layer dried, filtered, concd. under vacuum to 250 parts, mixed with petr. ether 500 parts, and, after 1 hr. at 0.degree., filtered to give 3-methoxy-13-methyl-17-ethynyl-17-hydroxy deriv. of A (II), m. 181-82.degree., [.alpha.]D 65.degree. (1% CHCl3). II 47.5 in MeOH 3200, H2O 1000, concd. HCl 240 refluxed 5 min. and kept 15 min. at room temp., H2O 13,000 parts added, the mixt. cooled to 0.degree., kept several hrs. at room temp., the product filtered off, dried, and crystd. from AcOEt gave 3-oxo-13-methyl-17-ethynyl-17-hydroxy deriv. of A (III), m. 202-4.degree., [.alpha.]D -22.5.degree. (1% CHCl3), .lambda. 241 m.mu. (MeOH), .epsilon. 17,100. III 53.7, dioxane 1500, and pyridine 1000 reduced in H over 5% Pd on CaCO3 30, the mixt. filtered, concd. under vacuum to 500 parts, dild. with Et2O 3000, washed with N HCl until acidic to Congo red, then with H2O, 5% NaHCO3, H2O, and satd. NaCl soln., dried, concd. on a steam bath to 500, dild. with Et2O 800 parts, kept 16 hrs. at 0.degree., filtered, dried, and crystd. from AcOEt and Et2O gave 3-oxo-13-methyl-17-vinyl-17-hydroxy deriv. of A (IV), m. 169-71.degree., [.alpha.]D 36.degree. (alc.). PBr3 47.3 in anhyd. CHCl3 645 added dropwise to IV 142.9 in CHCl3 2250 and pyridine 10 parts at 20.degree., the mixt. kept 16 hrs. at room temp., washed with CHCl3, dil. HCl, NaHCO3, and H2O, and dried yielded 3-oxo-13-methyl-17-(.beta.-bromoethylidene) deriv. of A (V). V 45 and freshly fused AcOK 400 refluxed 5 hrs. in Me2CO 3200, cooled, filtered, and vacuum-distd. under N, the residue refluxed with petr. ether, vacuum-distd., chromatographed over silica gel 4500 parts, and eluted with 3% AcOEt in C6H6, and the evapd. eluate crystd. from Me2CO and petr. ether gave 3-oxo-13-methyl-17-vinyl deriv. of A, m. 100-1.degree., [.alpha.]D 111.degree. (0.66% CHCl3), .lambda., 237 m.mu., .epsilon. 30,200; crystn. from aq. Me2CO of the residue eluted from the column with 10% AcOEt in C6H6 gave 3-oxo-13-methyl-17-(.beta.-acetoxyethylidene) deriv. of A (VI), in two polymorphic cryst. forms, m. 49-50.degree. and 96-7.degree., [.alpha.]D 63.degree. (CHCl3), .lambda. 241 m.mu. (MeOH), .epsilon. 17,800. VI 45.9 in 2N KOH in 75% MeOH 1000 parts dild. with H2O, cooled to 0.degree., and filtered, the ppt. washed with H2O and dissolved in AcOEt the soln. decolorized with C, concd. to 1/3 vol., and treated with petr. ether gave 3-oxo-13-methyl-17-(.beta.-

hydroxyethylidene) deriv. of A, (VII), m. 151-53.degree., [.alpha.]D 51.degree. (CHCl3). 17-Hydroxy deriv. of VI 1 stirred with citrated beef blood 5000 and aq. 0.85% NaCl 5000 parts, the soln. perfused 3 times through a surviving beef adrenal which was cannulated through the vein and had a finely lacerated surface, the perfusate extd. with AcOCHMe2, the ext. dried by azeotropic distn., concd. to a residue 20, dild. with C6H6 380, chromatographed on silica gel 90 parts, eluted with 10, 20, and 33% AcOEt in C6H6 1200, 600, and 600 parts, and concd. gave VII; the column washed with 50 and 66% AcOEt in C6H6 1200 and 300 parts, eluted with 33 and 20% C6H6 in AcOEt 300 and 600 parts, and crystd. twice from AcOEt yielded 3-oxo-11.beta.-hydroxy-13-methyl-17-(.beta.-hydroxyethylidene) deriv. of A (VIII), m. 168-70.degree., [.alpha.]D20 89.degree., .lambda. 242 m.mu., .epsilon. 17,300, giving a neg. blue tetrazolium test. VIII 90, NaAcO 139, Ac2O 700, and glacial AcOH 1000 parts kept 4 hrs. at room temp., chipped ice gradually added, the mixt. kept 1 hr., and the crystals filtered off and dried gave 3-oxo-11.beta.-hydroxy-13-methyl-17-(.beta.-acetoxyethylidene) deriv. of A (IX), m. 123-24.degree., .lambda. 242 m.mu. (MeOH), .epsilon. 17,500. OsO4 11 in BuOH 1000 added in 12 min. to IX 119 in BuOH 2000 and H2O2 46, such addn. repeated in 2 hrs., the mixt. kept at room temp. 24 hrs., H2O 20,000 added and concd. under vacuum to 0.2 original vol., the residue extd. with AcOEt, dried, concd. under vacuum, dissolved in aq. MeOH, treated with Na2SO3 50 parts, refluxed 30 min., concd., dild. with H2O, extd. with AcOEt, dried, filtered, and evapd., and the residue dissolved in 10% AcOEt in C6H6, chromatographed on silica gel, and eluted with C6H6 and 10% and 50% AcOEt in C5H6 gave 3-oxo-11.beta.,17-dihydroxy-17-(.beta.-hydroxyacetyl) deriv. of A (X), .lambda. 242 m.mu., .epsilon. 17,300, and giving a pos. tetrazolium test. Ac2O 325 added to X 22 in pyridine 500 parts, kept 90 min. at room temp., ice added and after 45 min. the mixt. treated with 0.5N HCl, extd. with AcOEt, washed with H2O, satd. with NaHCO3, and H2O, dried, evapd. under vacuum, chromatographed on silica gel, eluted with 40% AcOEt in C6H6, and recrystd. from Me2CO and H2O gave the acetyl deriv. (XI), m. 208-11.degree., .lambda. 2.88, 5.71, 5.78, 6.03, 6.21, 6.90, 7.08, 7.30, 7.52, 7.88, 8.10, 8.32, 8.82, 9.03, 9.50, 10.06, 10.22, 10.78, 11.06, 11.22, 11.53, 11.77, 12.72, and 12.90 .mu.. XI 234 and CrO3 44 in 0.1N glacial AcOH stirred 30 min. at room temp., dild. with H2O, extd. with CHCl3, the ext. washed with 5% NaHCO3 and H2O, evapd., and recrystd. from AcOEt gave 3,11-dioxo-13-methyl-17-hydroxy-17-(.beta.-acetoxyacetyl) deriv. of A (XII), .lambda. 239 m.mu. (MeOH), .epsilon. 16,750, .lambda. 2.75, 2.85, 5.83, 6.02, and 6.20 .mu., and the inflection point at 5.76 .mu., and giving a pos. blue tetrazolium test. XII 29 in aq. 85% MeOH 1500 and hot aq. N HCl 1800 parts refluxed under N 5 hrs., chilled, concd. in vacuum to 0.25 original vol., cooled to 0.degree., filtered and recrystd. from AcOEt gave 3,11-dioxo-13-methyl-17-hydroxy-17-(.beta.-hydroxyacetyl) deriv. of A, .lambda. 239 m.mu., .epsilon. 16,900, a pos. blue tetrazolium test, and .lambda. 2.77, 2.83, 5.83, 6.02, and 6.20 .mu..

L61 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1957:66886 HCAPLUS
DN 51:66886
OREF 51:**12161f-i,12162a-d**
TI DL-11-Oxoprogesterone
IN Sarett, Lewis H.; Johns, Wm. F.
PA Merck & Co., Inc.
DT Patent
LA Unavailable
CC 10 (Organic Chemistry)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2786064		19570319	US	

AB DL-11-Oxoprogesterone was prepd. from 2,4b-dimethyl-1-(carboxymethyl)-2-methallyl-7-ethylenedioxy-1,2,3,4,4a,4b,5,6,7,8,10,10a-

dodecahydrophenanthren-4-ol (I), m. 157-8.degree., by treatment with an alkali metal, an org. sulfonyl halide in the presence of a tertiary amine, oxidation, and reaction with alkali. To 8 ml. tetrahydrofuran (II) contg. 0.80 mg. LiAlH4 was added 2.58 g. I in 200 ml. abs. II, the mixt. stirred 20 hrs., H2O added, filtered, and the solid dried in vacuo and recrystd. from C6H6 to yield 2,4b-dimethyl-1-(2-hydroxyethyl)-2-methallyl-7-ethylenedioxy-1,2,3,4,4a,4b,5,6,7,8,10,10a-dodecahydrophenanthren-4-ol (III) in two cryst. forms, m. 200-1.degree. and 210-11.degree.. III (10 mg.), m. 210-11.degree., in 1 ml. II and 0.5 ml. 3M HClO4 neutralized with KHCO3 after 4 hrs. at room temp., extd. with CHCl3, evapd., and crystd. from EtAcO yielded 2,4b-dimethyl-1-(2-hydroxyethyl)-2-methallyl-7-oxo-1,2,3,4,4a,4b,5,6,7,8,10,10a-dodecahydrophenanthren-4-ol (IV), m. 153-5.degree.. IV (302 mg.) and 168 mg. p-MeC6H4SO2Cl in anhyd. pyridine was treated after 20 hrs. at room temp. with few drops of NaHCO3, dild. with H2O, extd. with Et2O, dried in vacuo, and fractionally crystd. from C6H6-petr. ether to give 2,4b-dimethyl-1-(2-p-tosyloxyethyl)-2-methallyl-7-ethylenedioxy-1,2,3,4,4a,4b,5,6,7,8,10,10a-dodecahydrophenanthren-4-ol (V), m. 157-8.degree.. V (160 mg.) in 1 ml. anhyd. pyridine and 160 mg. CrO3 in 1 ml. pyridine mixed and kept at room temp. 16 hrs., dild. with H2O, extd. with Et2O, washed with H2O, dried in vacuo, dissolved in C6H6-petr. ether, chromatographed on acid washed alumina, and eluted with Et2O gave 2,4b-dimethyl-1-(2-p-tosyloxyethyl)-2-methallyl-7-ethylenedioxy-1,2,3,4,4a,4b,5,6,7,8,10,10a-dodecahydrophenanthren-4-one (VI), m. 156-8.degree.. After 1 hr. at room temp., 445 mg. VI in 5 ml. C6H6 and 208 mg. OsO4 was shaken 20 min. with 7 ml. EtOH and 0.7 g. Na2SO3 in 4 ml. H2O, filtered, the two layers combined, concd. in vacuo to 10% vol., dild. with H2O, extd. with CHCl3, washed, dried in vacuo, dissolved in 4 ml. MeOH and 1 ml. pyridine, 250 mg. HIO4 in 0.5 ml. H2O added, after standing 30 min. at room temp. dild. with H2O, extd. with CHCl3, washed, dried in vacuo to an oil, chromatographed on acid washed alumina, and eluted with Et2O to yield 2,4b-dimethyl-1-(2-p-tosyloxyethyl)-2-(2,3-dihydroxy-2-methylpropyl)-7-ethylenedioxy-1,2,3,4,4a,4b,5,6,7,8,10,10a-dodecahydrophenanthren-4-one (VII), m. 104-8.degree. (decomp.). After standing in a closed flask 1 hr. at room temp., 80 mg. VII in 1 ml. MeOH and 0.08 ml. 2N NaOMe in MeOH was dild. with H2O, extd. with CHCl3, washed, dried in vacuo, chromatographed on alumina, and eluted with Et2O-petr. ether to give 3-ethylenedioxy-11,20-dioxo-17-isopregn-5-ene (VIII), m. 212-15.degree.. After standing 2 hrs. at room temp., 165 mg. VIII in 5 ml. C6H6 treated with 2 ml. MeOH and 3 ml. 2N NaOMe-MeOH, dild. with H2O, extd. with CHCl3, washed, dried, concd. in vacuo, and chromatographed gave 3-ethylenedioxy-11,20-dioxo-3-pregnene, m. 181-2.5.degree., which hydrolyzed with HClO4, gave dl-11-oxoprogesterone. From 2,4b-dimethyl-1-(2-p-tosyloxyethyl)-2-acetonyl-7-ethylenedioxy-1,2,3,4,4a,4b,5,6,7,8,10,10a-dodecahydrophenanthren-4-ol was obtained by crystg. from EtOAc a mixt., m. 190-200.degree., contg. dl-11-hydroxyprogesterone and 3,20-dioxo-11-hydroxyisopreg-4-nene.

L61 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1957:66885 HCAPLUS
DN 51:66885
OREF 51:**12161f**
TI 17.alpha.-Hydroxy-20-oxopregnenes
PA Upjohn Co.
DT Patent
LA Unavailable
CC 10 (Organic Chemistry)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 771344		19570327	GB	

AB See U.S. 2,769,823 (C.A. 51, 8821c).

L61 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2002 ACS

AN 1957:66884 HCAPLUS
DN 51:66884
OREF 51:**12161f**
TI 21-Halo steroids
IN Julian, Percy L.; Karpel, Wm. J.
PA Glidden Co.
DT Patent
LA Unavailable
CC 10 (Organic Chemistry)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2789989		19570423	US	

AB See Brit. 748,914 (C.A. 51, 2077e).

L61 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1957:51924 HCAPLUS
DN 51:51924
OREF 51:**9659i,9660a-i,9661a**
TI 17-Alkyl-19-nortestosterones
AU Colton, Frank B.; Nysted, Leonard N.; Riegel, Byron; Raymond, Albert L.
CS G. D. Searle & Co., Chicago
SO J. Am. Chem. Soc. (1957), 79, 1123-7
DT Journal
LA Unavailable
CC 10 (Organic Chemistry)
AB 17-Ethynyl-19-nortestosterone (8.6 g.) in 350 cc. dry dioxane hydrogenated over 1.1 g. 5% Pd-C until 2 moles H were absorbed, filtered, and evapd. to dryness in vacuo, and the residue chromatographed with 20-30% EtOAc in C6H6 on 450 g. silica gel yielded 6.12 g. 17-ethyl-19-nortestosterone (I), m. 137-8.degree. (from aq. MeOH), [.alpha.]D 25.degree. (c 1, CHCl3). A slow stream of C2H2 passed over the surface of a stirred soln. of 5.0 g. K in 100 cc. Me3COH and 100 cc. dry Et2O at 0.degree. until satd., treated with 5.0 g. Me estrone, the addn. of C2H2 continued 3-4 hrs. at 0.degree., the mixt. kept 18 hrs. at room temp., treated with 100 cc. 10% aq. NH4Cl, steam distd., and filtered, and the residue crystd. from Me2CO gave 5.1 g. 17-ethynylestradiol 3-Me ether (II), m. 150-1.5.degree.. II (5.0 g.) in 75 cc. purified dioxane hydrogenated over 0.5 g. 5% Pd-C until 2 moles H was absorbed, filtered, and evapd. to dryness in vacuo yielded 4.8 g. 17-ethylestradiol 3-Me ether (III), m. 85-7.degree. (from Me2CO-petr. ether). III (4.0 g.) in 100 cc. dry Et2O and 300 cc. liquid NH3 stirred 1 hr. with 4.0 g. Li, treated dropwise during 1.5 hrs. with 30 g. EtOH dild. with an equal vol. of dry Et2O while using an addnl. 100 cc. dry Et2O to wash the sides of the flask during the EtOH addn., the NH3 evapd. with gentle warming, the mixt. dild. with 100 cc. cold H2O, and the product isolated by extn. gave 3.4 g. 17-ethyl-1,4-dihydroestradiol 3-Me ether (IV), m. 126-8.degree. (from Et2O-MeOH). IV (1.25 g.) in 20 cc. MeOH refluxed 5 min. with 2.2 cc. glacial AcOH and dild. with 100 cc. H2O gave 1.15 g. 17.alpha.-ethyl-17-hydroxy-5(10)-estren-3-one, m. 134-6.degree. (from Me2CO-petr. ether). IV (2.0 g.) added with stirring to 2.4 cc. concd. HCl and 1.6 cc. H2O in 36 cc. MeOH, allowed to stand 2 hrs. at room temp., and filtered gave 1.7 g. I, m. 136-9.degree. (from Me2CO-petr. ether). 17-Octynylestradiol 3-Me ether (3.0 g.) in 75 cc. purified dioxane hydrogenated over 0.5 g. 5% Pd-C until 2 moles H was absorbed, filtered, and evapd., and the residue triturated with MeOH gave 1.9 g. 17-octylestradiol 3-Me ether (V), m. 79-81.degree., [.alpha.]D 40.degree. (c 1.25, CHCl3). V (1.5 g.) subjected to a Birch reduction gave 1.2 g. solvated cryst. material which became amorphous on drying in vacuo; the amorphous material cleaved and isomerized in the usual manner yielded 0.8 g. 17-octyl-19-nortestosterone, m. 120-2.degree. (from aq. MeOH). II (4.0 g.) reduced in the usual manner yielded 3.1 g. 3-methoxy-19-norpregna-2,5(10),17-(20)-triene (VI), m. 111-12.degree.. VI (1.0 g.) isomerized in the usual manner with HCl gave 0.76 g. 19-norpregna-4,17-(20)-dien-3-one,

m. 124-5.degree.. Mg (8.5 g.) (activated with iodine) covered with 200 cc. dry Et2O, treated dropwise with 5.0 g. CH2: CHCH2Br in 20 cc. dry Et2O, and then during 45 min. with 20.0 g. estrone Me ether in 95 g. CH2:CHCH2Br and 400 cc. Et2O, refluxed 2.5 hrs., cooled, and treated with 500 cc. 10% aq. NH4Cl, and the Et2O layer worked up yielded 18.4 g. 17-allylestradiol 3-Me ether (VII), m. 91-1.5.degree. (from Et2O-petr. ether), [.alpha.]D 57.4.degree. (c 1.02, CHCl3). VII (11.5 g.) in 200 cc. EtOH hydrogenated over 5 g. 5% Pd-C until 1 mole H had been absorbed, filtered, aad evapd. in vacuo yielded 10.1 g. 17-propylestradiol 3-Me ether (VIII), m. 93-4.degree. (from Et2O-MeOH], [.alpha.]D 47.7.degree.. VIII (6.0 g.) reduced with Li in NH3 gave 4.7 g. 17-propyl-1,4-dihydroestradiol 3-Me ether (IX), m. 150-2.degree., [.alpha.]D 105.degree. (c 1.16, CHCl3). VII (5.0 g.) hydrogenated in dioxane over 5% Pd-C yielded 4.0 g. IX, m. 149-51.degree.. IX (1.0 g.) in MeOH heated with glacial AcOH gave 0.8 g. 17.alpha.-propyl-17-hydroxy-5(10)-estren-3-one, m. 90.0-1.5.degree.. IX (1.8 g.) cleaved and isomerized in the usual manner yielded 1.4 g. 17-propyl-19-nortestosterone, m. 122-3.degree., [.alpha.]D 21.degree. (c 0.98, CHCl3). 1,4-Dihydroestradiol 3-Me ether (25 g.) in 242 cc. cyclohexane and 860 cc. PhMe refluxed 2 hrs. with 25 g. (iso-PrO)3Al in 347 cc. PhMe, treated dropwise during 10 min. with 169 cc. satd. aq. Rochelle salt, and steam distd., the aq. distn. residue filtered, and the solid product triturated with 100 cc. MeOH and cooled to 0.degree. gave 21.0 g. 1,4-dihydroestrone 3-Me ether (X), m. 141-1.5.degree. (from MeOH). Mg (1.7 g.) (activated with iodine) treated with 9.0 g. CH2:CHCH2Br in 100 cc. Et2O, refluxed 15 min., treated with 2.0 g. X in 100 cc. Et2O, refluxed 1.5 hrs., and treated slowly with 100 cc. 10% aq. Rochelle salt, the Et2O layer worked up, the residue dissolved in 40 cc. MeOH, 1.5 cc. concd. HCl, and 5 cc. H2O, kept 2 hrs. at room temp., and dild. with 200 cc. cold H2O, and the crude ppt. chromatographed on 150 g silica gel yielded 1.1 g. 17-allyl-19-nortestosterone, m. 93-5.degree.. 1-Octyne (24 g.) in 125 cc. dry Et2O stirred 1 hr. at 0.degree. with 7.8 g. EtMe2COK (from 7.8 g. K), treated with 5.7 g. estrone Me ether, warmed to room temp., stirred 24 hrs., and treated with 150 cc. 10% NH4Cl, the org. layer worked up, and the residue chromatographed with 0.5% C6H6 in CHCl3 on silica gel gave 4.6 g. 17-octynylestradiol Me ether, oil. BuLi (from 9.0 cc. BuBr and 0.67 g. Li) added with stirring to 1.65 g. estrone Me ether in 40 cc. dry Et2O, stirred 1 hr., decompd. with MeOH and dil. H2SO4, and dild. with Et2O, the Et2O layer worked up, and the residue chromatographed with 20% Skellysolve A in C6H6 on 100 g. Al2O3 gave 426 mg. 17-butylestradiol 3-Me ether (XI), m. 52-5.degree. partially solidified and remelted at 92-4.degree.. XI subjected to a Birch reduction, cleaved and rearranged, and the crude product chromatographed with 20% EtOAc in C6H6 on 35 g. silica gel yielded 118 mg. 17-butyl-19-nortestosterone, m. 126-7.degree. (from aq. MeOH).

L61 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2002 ACS
AN 1957:47336 HCAPLUS
DN 51:47336
OREF 51:**8821c-i,8822a-e**
TI 17.alpha.-Hydroxy-20-oxopregnenes
IN Schneider, Wm. P.; Hanze, Arthur R.
PA Upjohn Co.
DT Patent
LA Unavailable
CC 10 (Organic Chemistry)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2769823		19561106	US	

AB .DELTA.17(20)-21-Acyloxy steroids treated with OsO4 and an amine oxide peroxide gave 17-hydroxy-20-oxo-21-acyloxy steroids. Et3N (50.6 g.) treated 15 min. by addn. of 68 g. (1 mole) 50% H2O2 with cooling, the mixt. stirred 4 hrs. at 30.degree., and then distd. at 40-50.degree./15

mm. until most of the H2O was removed, then at 35.degree./1 mm. until crystn. occurred, and volatilization of the residue ceased, and the residue triturated with Me2CO gave 57.1 g. triethylamine oxide peroxide (I) (from CH2Cl2 and ligroine). Similarly 26 g. N-methylmorpholine treated with 34 g. 50% H2O2 gave N-methylmorpholine oxide peroxide (II). Other amine oxide peroxides similarly prepd. were trimethylamine oxide peroxide, N-methylpyrrolidine oxide peroxide, etc. Alternatively, an amine oxide peroxide may be prepd. by treating an anhyd. amine oxide with a tert-BuOH soln. of a molar equiv. of anhyd. H2O2; this was suitable for the prepn. of pyridine oxide, quinoline oxide, picoline oxide, and other tertiary aromatic N-heterocyclic amine oxides. 11-Oxoprogesterone (6.56 g.) and 4.6 ml. (CO2Et)2 in 76 ml. dry C6H6 stirred 1.5 hrs. in the cold with 6.4 ml. MeOH-NaOMe, 0.9 ml. abs. alc., and 40 ml. dry C6H6, and treated with Et2O gave 81% Na enolate of 21-ethoxalyl-11-oxoprogesterone (III) and 1.08 g. unreacted starting material. The Na enolates of 11.alpha.-hydroxy-21-ethoxalylprogesterone, 11.beta.-hydroxy-21-ethoxalylprogesterone, 11.alpha.-acetoxy-21-ethoxalylprogesterone, and 21-ethoxalylprogesterone were similarly prepd. III (4.5 g.) and 2 g. KOAc in 150 ml. MeOH treated dropwise with 3.09 g. Br, then 3.24 g. NaOMe in 40 ml. MeOH added, and the mixt. kept 16 hrs. at 25.degree. yielded 1.2 g. Me 3,11-dioxo-4,17(20)-pregnadien-21-oate (IV), m. 207-12.degree. (from Me2CO-ligroine). Similarly Me 3-oxo-11.alpha.-hydroxy-4,17(20)-pregnadien-21-oate (V) and Me 3-oxo-4,17(20)-pregnadien-21-oate (VI) were prepd. IV (1.5 g.) in 150 ml. C6H6 refluxed 5.5 hrs. with 7.5 ml. (CH2OH)2 and 0.15 g. p-MeC6H4SO3H and the product chromatographed on Florisil gave 1.08 g. 3-ethylene glycol ketal of Me 3,11-dioxo-4,17(20)-pregnadien-21-oate (VII), m. 188-90.degree. (from EtOAc-ligroine), and 0.39 g. unchanged IV. Similarly V yielded 3-ethylene glycol ketal of Me 3-oxo-11.alpha.-hydroxy-4,17(20)-pregnadien-21-oate (VIII) and VI gave the 3-ethylene glycol ketal of Me 3-oxo-4,17(20)-pregnadien-21-oate (IX). VII (1.5 g.) in 70 ml. C6H6 refluxed 0.5 hr. with 1.5 g. LiAlH4 in Et2O gave 1.003 g. 3-ethylene glycol ketal of 11.beta.,21-dihydroxy-4,17(20)-pregnadien-3-one (X), m. 191-4.degree. (from EtOAc-ligroine). Similarly VIII and IX gave 3-ethylene glycol ketal of 11.alpha.,21-dihydroxy-4,17(20)-pregnadien-3-one (XI) and 3-ethylene glycol ketal of 21-hydroxy-4,17(20)-pregnadien-3-one (XII). X (0.572 g.) in 40 ml. Me2CO dild. with H2O to a vol. of 50 ml., and kept at room temp. 24 hrs. with 8 drops concd. H2SO4 yielded 0.518 g. 11.beta.,21-dihydroxy-4,17(20)-pregnadien-3-one (XIII). Similarly XI and XII gave 11.alpha.,21-dihydroxy-4,17(20)-pregnadien-3-one (XIV) and 21-hydroxy-4,17(20)-pregnadien-3-one (XV), resp. XIII (0.518 g.) in 5 ml. C5H5N left 17 hrs. at room temp. with Ac2O and the product chromatographed on Florisil gave 0.253 g. 11.beta.-hydroxy-21-acetoxy-4,17(20)-pregnadien-3-one (XVI), m. 183-6.degree.. Similarly XV gave 21-acetoxy-4,17(20)-pregnadien-3-one (XVI), m. 183-6.degree.. Similarly XV gave 21-acetoxy-4,17(20)-pregnadien-3-one (XVII) and XIV gave 11.alpha.-hydroxy-21-acetoxy-4,17(20)-pregnadien-3-one (XVIII) and 11.alpha.,21-diacetoxy-4,17(20)-pregnadien-3-one, when treated with a molar equiv. and a large molar excess of Ac2O, resp. Other esters of XIII, XIV, and XV were prepd. by substituting other acid anhydrides or acid chlorides in the above reaction. XVI (372 mg.) in 20 ml. tert-BuOH stirred 45 min. with 12.5 mg. OsO4 and 0.5 ml. C5H5N, 385 mg. I added during 1 hr., the soln. stirred 20 min., treated with Na2SO3 soln., the mixt. concd., and extd. with CH2Cl2, and the product chromatographed yielded 34 mg. unchanged XVI, 54 mg. 11.beta.,17.alpha.,20-trihydroxy-21-acetoxy-4-pregnen-3-one (XIX), and 294 mg. 11.beta.,17.alpha.-dihydroxy-21-acetoxy-4-pregnene-3,20-dione (XX). In similar reactions, similar yields of XX were obtained when I was added over a period of 0.5 hr. or all at once. When OsO4 was reduced to 6 mg. there was no decrease in the yield of XX. A further expt. with all the conditions identical to the above except that only 3 mg. OsO4 was used gave 70.5% XX and 11.5% XIX. Other esters of XX were prepd. following this procedure. XVI (5.58 g.) similarly treated witb OsO4 and II yielded 74.2% XX, m. 215-18.5.degree. (from EtOAc) and 5.8% XIX. Similar reactions using 0.3 mg. OsO4/millimole

XVI and treated 8 hrs. gave 66% XX. Other expts. using quinoline or collidine gave similar results. Following these procedures 21-acetoxy-4,17(20)-pregnadiene-3,11-dione gave 50% 17.alpha.-hydroxy-21-acetoxy-4-pregnene-3,11,20-trione, 3.alpha.,21-diacetoxy-17(20)-pregnane gave 3.alpha.,21-diacetoxy-17.alpha.-hydroxypregnan-20-one, and XVII gave 17.alpha.-hydroxy-21-acetoxy-4-pregnene-3,20-dione. XVIII was converted into 11.alpha.,17.alpha.-dihydroxy-21-acetoxy-4-pregnene-3,20-dione and 3.beta.,21-diacetoxy-17(20)-allopregnene gave 3.beta.,21-diacetoxy-17.alpha.-hydroxyallopregnan-20-one with OsO4 and I. The following compds. were similarly converted into the 17.alpha.-hydroxy-20-oxo steroids: 21-acetoxy-4,9(11),17(20)-pregnatrien-3-one, 21-(.beta.-cyclopentylpropionyloxy)-17(20)-pregnane-3,11-dione, 21-acetoxy-4,17(20)-pregnadien-3-one, 3.alpha.-hydroxy-21-acetoxy-17(20)-pregnene, 21-acetoxy-9-chloro-11.beta.-hydroxy-4,17(20)-pregnadien-3-one, 3-hydroxy-21-acetoxy-19-normethyl-1,3,5(10),17(20)-pregnatetraene, 3,21-diacetoxy-19-normethyl-1,3,5(10),17(20)-pregnatetraene.

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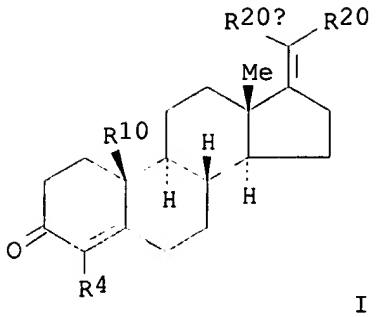
L66 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS
AN 2002:184859 HCAPLUS
DN 136:247741
TI Method for the production of 17-methylene steroids and pharmaceutical compositions containing them
IN **Menzenbach, Bernd; Elger, Walter; Droescher, Peter; Hillisch, Alexander; Kaufmann, Guenter; Schweikert, Hans-Udo; Mueller, Gerd**
PA **Jenapharm G.m.b.H. & Co. K.-G., Germany**
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002019971	A1	20020314	WO 2001-EP9943	20010829 <--
	W: AE, AG, AU, BA, BB, BG, BR, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LS, MA, MG, MN, MX, NO, NZ, PL, SG, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10043846	A1	20020404	DE 2000-10043846	20000904 <--
PRAI	DE 2000-10043846	A	20000904 <--		

OS CASREACT 136:247741; MARPAT 136:247741
GI



I

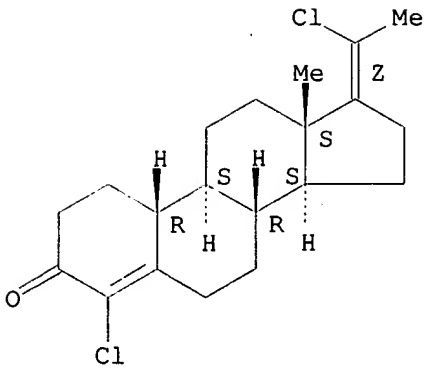
AB The inventive compds., e.g. I [R4 = halogen, pseudohalogen (CN, N3); R10 = H, straight or branched C1-4-alkyl; R20, R20a = H, straight or branched C1-4-alkyl, hydroxy-C1-4-alkyl or one of R20, R20a = H, straight or branched C1-4-alkyl, hydroxy-C1-4-alkyl and the other is a halogen, pseudohalogen], have an active profile with a hybrid character of such that they act as inhibitors of the 5.alpha.-reductase and, at the same time, as gestagens. Thus, I (R4= R20 = Cl, R10 = H, R20a = H) was prepd. from 17.alpha.-(chloromethyl)-17-hydroxyestr-4-en-3-one via dehydration with SOCl2 in pyridine, regioselective epoxidn. and chlorination/dehydration. Said compds. are thus suited for treating medical disorders that, in men and women, are a result of an increased androgen level in certain organs and tissues. The inventive compds. combined with other hormonal substances such as estrogen, testosterone or a potent androgen are suited as contraceptives for women and men. Thus, I (R4= R20 = Cl, R10 = H, R20a = H) showed IC50 = 250 nM vs. 5.alpha.-reductase.

IT **403822-56-0P**, (Z)-4,20-Dichloro-19-norpregna-4,17(20)-dien-3-one
403822-57-1P, (Z)-20-Bromo-4-chloro-19-norpregna-4,17(20)-dien-3-one **403822-64-0P**, (E)-17-(Chloromethylene)-4-chloroestr-4-en-3-one **403822-65-1P**, (E)-17-(Cyanomethylene)-4-chloroestr-4-en-3-one **403822-66-2P**, (Z)-17-(Cyanomethylene)-4-chloroestr-4-en-3-one **403822-67-3P**, (Z)-17-(1-Cyanoethylidene)-4-chloroestr-4-en-3-one **403822-68-4P**, (Z)-17-Ethylidene-4-chloroestr-4-en-3-one **403822-69-5P**, (E)-17-Ethylidene-4-chloroestr-4-en-3-one **403822-70-8P**, (E)-17-(Bromomethylene)-4-chloroestr-4-en-3-one **403822-71-9P**, (E)-17-(Chloromethylene)-4-cyanoandrost-4-en-3-one **403822-72-0P**, (E)-17-(Chloromethylene)-4-chloroandrost-4-en-3-one **403822-73-1P**, (E)-17-(2-Hydroxyethylidene)-4-chloroestr-4-en-3-one **403822-76-4P**, (Z)-17-(2-Hydroxyethylidene)-4-chloroestr-4-en-3-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 17-methylene steroids and pharmaceutical compns. contg. them)

RN 403822-56-0 HCAPLUS

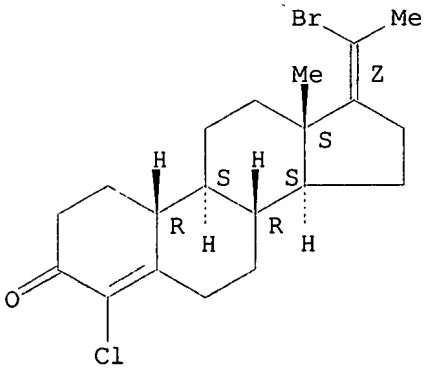
CN 19-Norpregna-4,17(20)-dien-3-one, 4,20-dichloro-, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



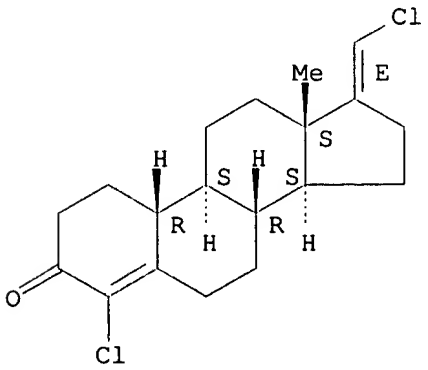
RN 403822-57-1 HCAPLUS
CN 19-Norpregna-4,17(20)-dien-3-one, 20-bromo-4-chloro-, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



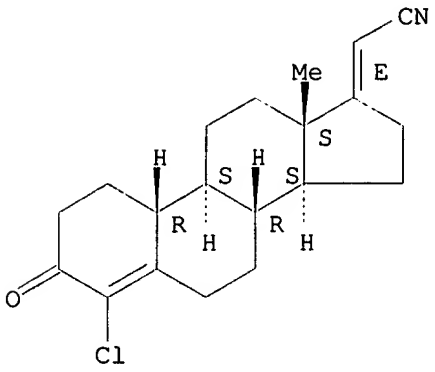
RN 403822-64-0 HCAPLUS
CN Estr-4-en-3-one, 4-chloro-17-(chloromethylene)-, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



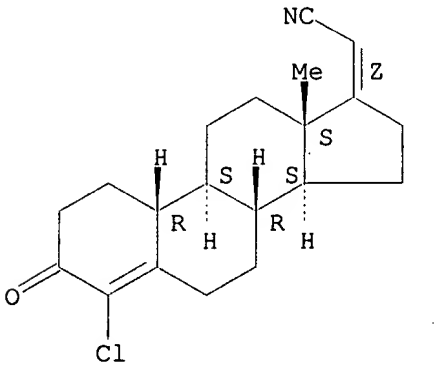
RN 403822-65-1 HCAPLUS
CN 19-Norpregna-4,17(20)-diene-21-nitrile, 4-chloro-3-oxo-, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



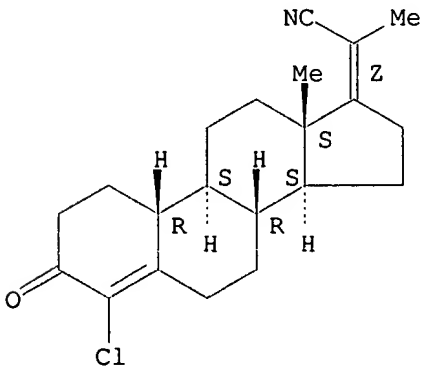
RN 403822-66-2 HCAPLUS
CN 19-Norpregna-4,17(20)-diene-21-nitrile, 4-chloro-3-oxo-, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



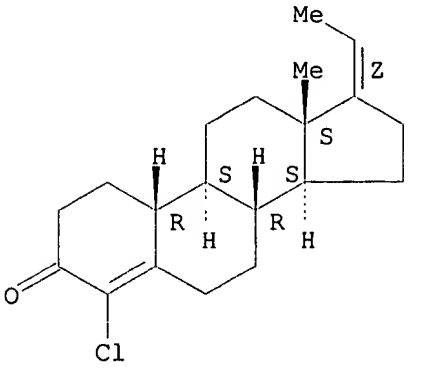
RN 403822-67-3 HCAPLUS
CN 19-Norpregna-4,17(20)-diene-20-carbonitrile, 4-chloro-3-oxo-, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



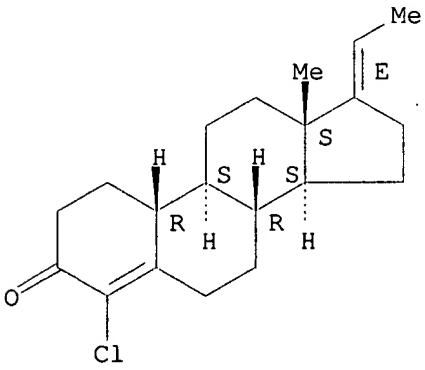
RN 403822-68-4 HCAPLUS
CN 19-Norpregna-4,17(20)-dien-3-one, 4-chloro-, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



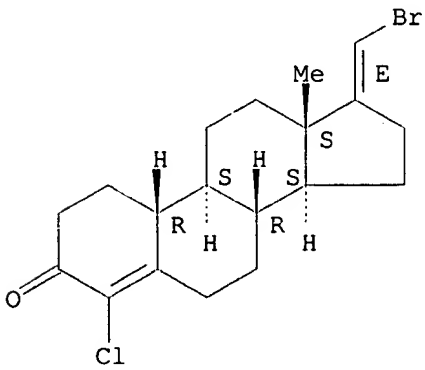
RN 403822-69-5 HCAPLUS
CN 19-Norpregna-4,17(20)-dien-3-one, 4-chloro-, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



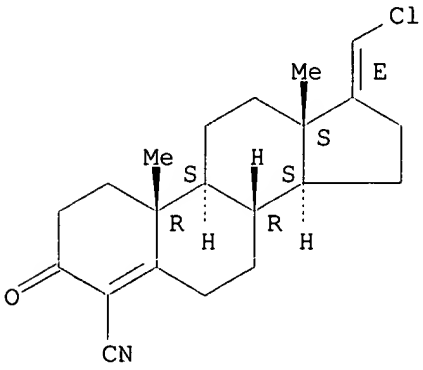
RN 403822-70-8 HCAPLUS
CN Estr-4-en-3-one, 17-(bromomethylene)-4-chloro-, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



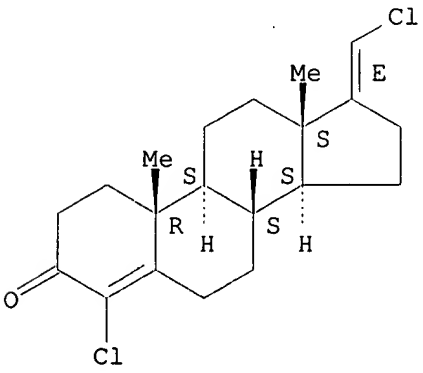
RN 403822-71-9 HCAPLUS
CN Androst-4-ene-4-carbonitrile, 17-(chloromethylene)-3-oxo-, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



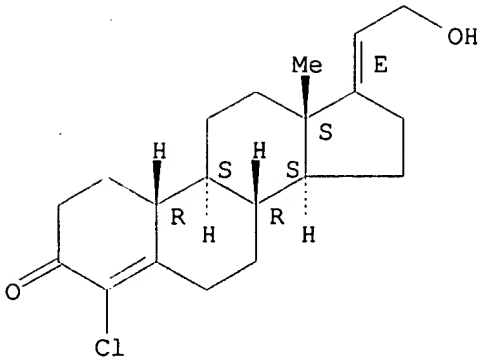
RN 403822-72-0 HCAPLUS
CN Androst-4-en-3-one, 4-chloro-17-(chloromethylene)-, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



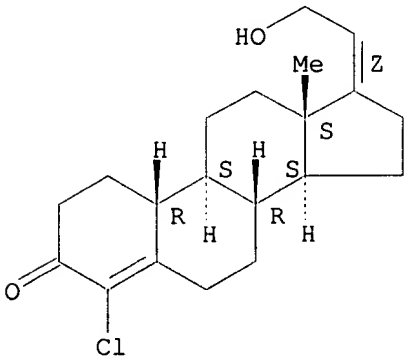
RN 403822-73-1 HCAPLUS
CN 19-Norpregna-4,17(20)-dien-3-one, 4-chloro-21-hydroxy-, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



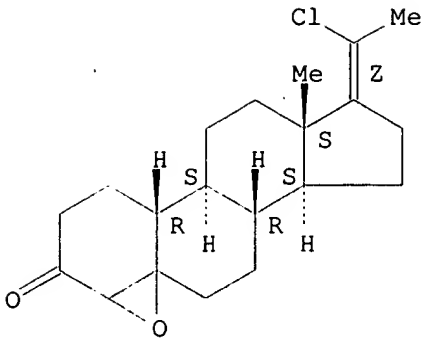
RN 403822-76-4 HCAPLUS
CN 19-Norpregna-4,17(20)-dien-3-one, 4-chloro-21-hydroxy-, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



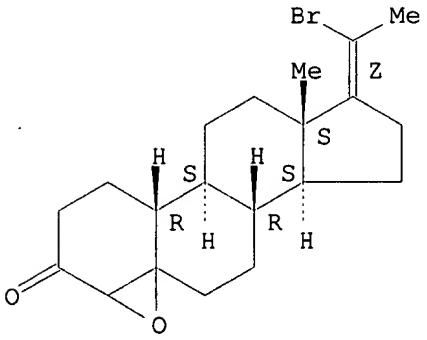
IT **403696-59-3P 403696-60-6P 403696-61-7P**
403696-62-8P 403822-58-2P, (Z)-20-Chloro-19-norpregna-4,17(20)-dien-3-one **403822-59-3P**, (Z)-20-Bromo-19-norpregna-4,17(20)-dien-3-one **403822-60-6P**, (E)-17-(Chloromethylene)estr-4-en-3-one **403822-61-7P**, (E)-17-(Bromomethylene)estr-4-en-3-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 17-methylene steroids and pharmaceutical compns. contg. them)
RN 403696-59-3 HCAPLUS
CN 19-Norpregn-17(20)-en-3-one, 20-chloro-4,5-epoxy-, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



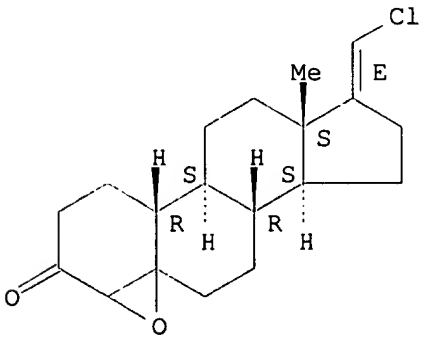
RN 403696-60-6 HCAPLUS
CN 19-Norpregn-17(20)-en-3-one, 20-bromo-4,5-epoxy-, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



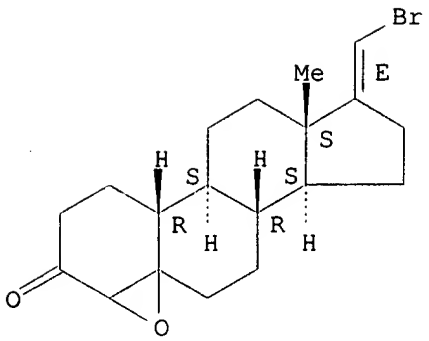
RN 403696-61-7 HCAPLUS
CN Estran-3-one, 17-(chloromethylene)-4,5-epoxy-, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



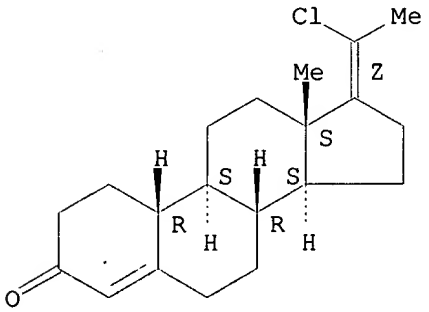
RN 403696-62-8 HCAPLUS
CN Estran-3-one, 17-(bromomethylene)-4,5-epoxy-, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



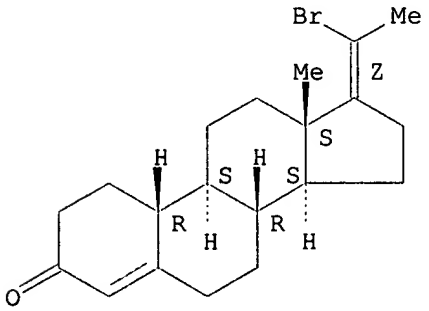
RN 403822-58-2 HCAPLUS
CN 19-Norpregna-4,17(20)-dien-3-one, 20-chloro-, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



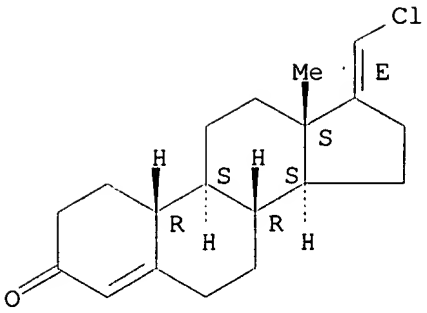
RN 403822-59-3 HCAPLUS
CN 19-Norpregna-4,17(20)-dien-3-one, 20-bromo-, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



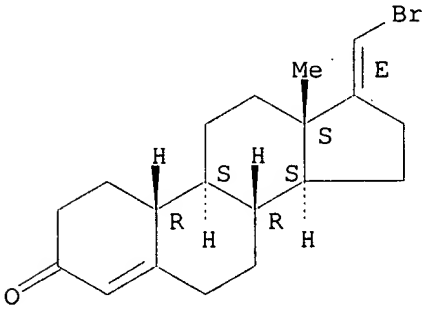
RN 403822-60-6 HCAPLUS
CN Estr-4-en-3-one, 17-(chloromethylene)-, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



RN 403822-61-7 HCAPLUS
CN Estr-4-en-3-one, 17-(bromomethylene)-, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



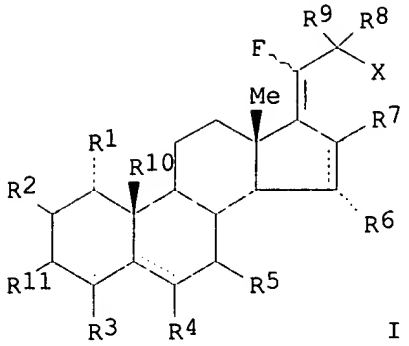
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr tot l70

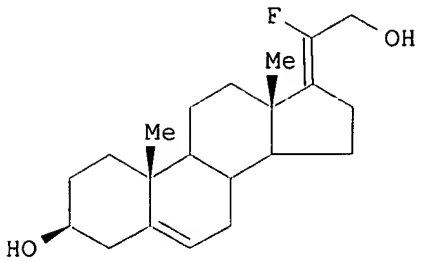
L70 ANSWER 1 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 2002:123622 HCAPLUS
DN 136:167562
TI Preparation of 20-fluoro-17(20)-pregnenes as C17,20 lyase and 5.alpha.-reductase inhibitors
IN Peet, Norton P.; Weintraub, Philip M.; Burkhart, Joseph P.; Gates, Cynthia A.
PA USA
SO U.S. Pat. Appl. Publ., 41 pp.
CODEN: USXXCO
DT **Patent**
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2002019548	A1	20020214	US 2001-886818	20010621
PRAI US 2000-214561P	P	20000627		
GB 2001-1523	A	20010119		
US 2001-290881P	P	20010514		

OS CASREACT 136:167562; MARPAT 136:167562
GI



I



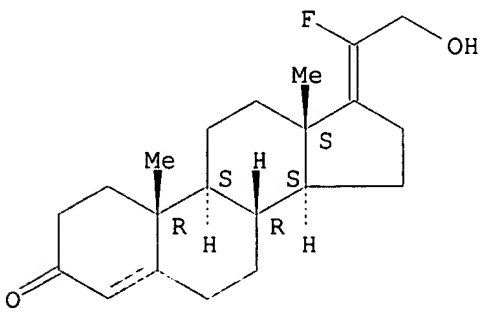
II

AB The invention relates to 20.xi.-fluoropregna-4,17(20)-dien-3-on-21-oic acid Et ester, 20.xi.-fluoro-3.beta.-hydroxypregna-4,17(20)-dien-21-oic acid Et ester, 20.xi.-fluoro-21-hydroxypregna-4,17(20)-dien-3-one, 20.xi.-fluoropregna-4,17(20)-dien-3.beta.,21-diol and related compds. of formula I [R1, R2, R4, R5 = H, alkyl; R3 = H, Cl, nitro, amino, alkyl; R6-R10 = H, Me; R8R9 = oxo; R11 = OH, oxo; X = H, OH, OMe], and to compns. incorporating these compds., as well as the inhibition of C17,20 lyase, 5.alpha.-reductase and C17-hydroxylase, and to the use of these compds. in the treatment of androgen and estrogen mediated or dependent disorders, including benign prostatic hyperplasia, prostate cancer, breast cancer and DHT-mediated disorders such as acne and hirsutism. Treatment of disorders related to the over synthesis of cortisol, for example, Cushing's Syndrome are also included. The treatment of androgen-dependent disorders also includes a combination therapy with known androgen-receptor antagonists, such as flutamide. Thus, II was prepd. from dehydroepiandrosterone and triethyl-2-fluoro-2-phosphonoacetate in several steps. The inhibition of cynomologous monkey testicular lyase by II was 100% at 10 mM.

IT **383858-78-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fluoropregnenes as C17,20 lyase and 5.alpha.-reductase inhibitors)

RN 383858-78-4 HCAPLUS
CN Pregna-4,17(20)-dien-3-one, 20-fluoro-21-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L70 ANSWER 2 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 2002:10500 HCAPLUS
DN 136:70002
TI Preparation of 20-fluoro-pregnadiene derivatives as inhibitors of

C17,20-lyase and 5.alpha.-reductase

IN Peet, Norton P.; Weintraub, Philip M.; Burkhart, Joseph P.; Gates, Cynthia A.

PA Aventis Pharmaceuticals Inc., USA

SO PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DT **Patent**

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000681	A1	20020103	WO 2001-US19889	20010621

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

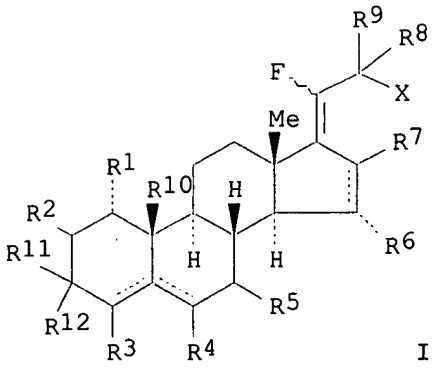
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-214561P P 20000627

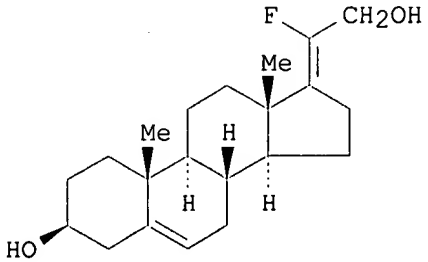
US 2001-290881P P 20010514

OS MARPAT 136:70002

GI



I



II

AB 20-Fluoro-pregnadiene derivs., such as I [R1,R2,R4,R5 = H, alkyl; R3 = H, Cl, NO2, NH2, alkyl; R6-R10 = H, Me; R11 = H, R12 = OH; R8R9 = R11R12 = oxo; X = H, OH, OMe; dashed line = single or double bond], or a pharmaceutically acceptable salt thereof, were prepd. as inhibitors of C17,20-lyase and 5.alpha.-reductase. These compds. were useful in the treatment of androgen and estrogen mediated or dependent disorders, including benign prostatic hyperplasia, prostate cancer, breast cancer and DHT-mediated disorders such as acne and hirsutism, and disorders relating to the over synthesis of cortisol, for example, Cushing's Syndrome. The treatment of androgen-dependent disorders also includes a combination therapy with known androgen-receptor antagonists, such as flutamide. Thus, 20-fluoropregnadiene deriv. II was prepd. via a multistep synthetic sequence starting from dehydroepiandrosterone and tri-Et 2-fluoro-2-phosphonoacetate. In an in vitro study, II at 1 mM showed 94% inhibition against Cynomolgus monkey testicular C17-20 lyase.

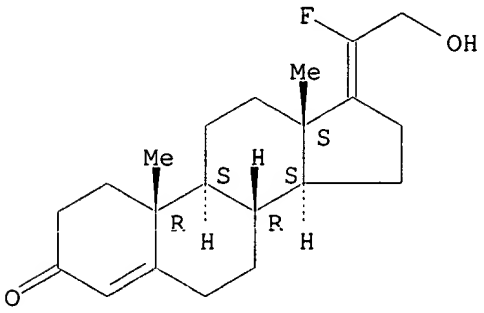
IT **383858-78-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(prepn. of 20-fluoro-pregnadiene derivs. as inhibitors of C17,20-lyase and 5.alpha.-reductase)

RN 383858-78-4 HCAPLUS
CN Pregna-4,17(20)-dien-3-one, 20-fluoro-21-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

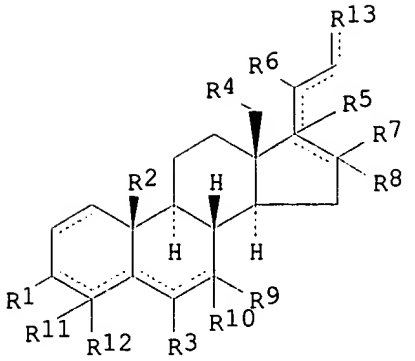


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 3 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 2001:916405 HCAPLUS
DN 136:37829
TI Steroids as neurochemical stimulators of the VNO to alleviate pain
IN Berliner, David L.; Monti-Bloch, Luis
PA Pherin Pharmaceuticals, Inc., USA
SO U.S., 286 pp., Cont.-in-part of U.S. Ser. No. 725,862, abandoned.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6331534	B1	20011218	US 1997-919621	19970828
	US 5563131	A	19961008	US 1994-286073	19940804
	US 6066627	A	20000523	US 1996-625268	19960329
	US 6057439	A	20000502	US 1996-686092	19960723
PRAI	US 1994-286073	A2	19940804		
	US 1996-625268	A2	19960329		
	US 1996-686092	A2	19960723		
	US 1996-725862	B2	19961004		

OS MARPAT 136:37829
GI



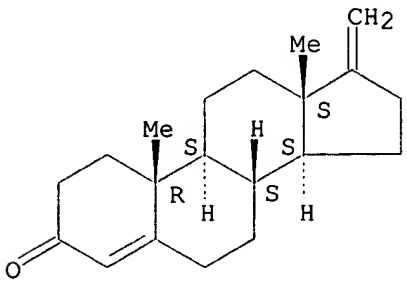
I

AB Steroids such as formula I [R1 = oxo, (substituted)OH; R2 = (substituted)alkyl; R3 = H, oxo, halo, (substituted)OH; R4-R12 = independently H, halo, (halo-substituted)methyl; R2R3 may = cyclic ether; R13 = H, Me, methylene, etc.] are prepd. Thus, 3.alpha.- and 3.beta.-pregna-4,20-dien-3-ols were prepd. in 14 and 23% yields, resp., by redn. of pregna-4,20-dien-3-one using lithium trisiamylborohydride in dry THF. The invention relates to a method of alleviating pain. The method comprises nasally administering a steroid which is a human vomeropherin, such that the vomeropherin binds to a specific neuroepithelial receptor. The steroid or steroids is/are preferably administered in the form of a pharmaceutical compn. contg. one or more pharmaceutically acceptable carriers. Autonomic responses to stimulation of the vomeronasal organ (VNO) by the prepd. compds. was measured.

IT **846-45-7P 161061-86-5P 379738-50-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(steroids as neurochem. stimulators of the VNO to alleviate pain)

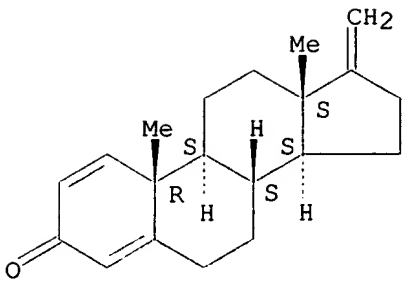
RN 846-45-7 HCAPLUS
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



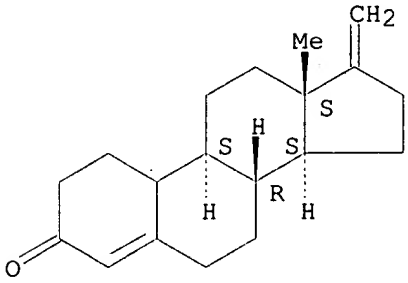
RN 161061-86-5 HCAPLUS
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



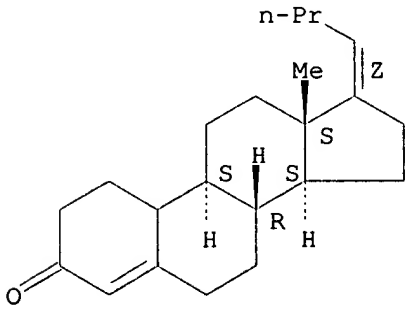
RN 379738-50-8 HCAPLUS
CN Estr-4-en-3-one, 17-methylene-, (10.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **379738-52-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(steroids as neurochem. stimulators of the VNO to alleviate pain)
RN 379738-52-0 HCAPLUS
CN 19,21-Dinorchola-4,17(20)-dien-3-one, (10.xi.,17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



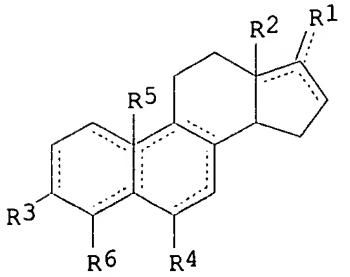
RE.CNT 125 THERE ARE 125 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 4 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 2000:635218 HCAPLUS
DN 133:208036
TI Preparation of steroids as neurochemical stimulators of the VNO to alleviate symptoms of PMS and anxiety
IN Jennings-white, Clive L.; Berliner, David L.; Adams, Nathan W.;

Monti-bloch, Luis
PA Pherin Pharmaceuticals, Inc., USA
SO U.S., 299 pp., Cont.-in-part of U.S. Ser. No. 725,862.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6117860	A	20000912	US 1997-899094	19970723
	US 5563131	A	19961008	US 1994-286073	19940804
	US 6066627	A	20000523	US 1996-625268	19960329
	US 6057439	A	20000502	US 1996-686092	19960723
	WO 9814194	A1	19980409	WO 1997-US18086	19971006
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9748103	A1	19980424	AU 1997-48103	19971006
PRAI	US 1994-286073	A2	19940804		
	US 1996-625268	A2	19960329		
	US 1996-686092	A2	19960723		
	US 1996-725862	A2	19961004		
	US 1997-899094	A	19970723		
	WO 1997-US18086	W	19971006		

OS MARPAT 133:208036
GI



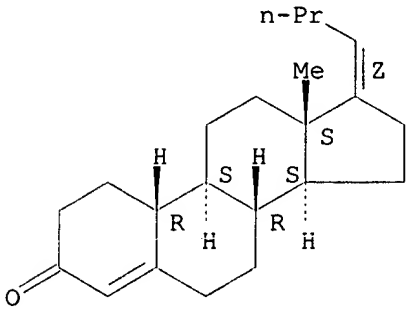
I

AB The invention relates to a method of alleviating the symptoms of PMS and anxiety. The method comprises nasally administering a steroid which is a human vomeropherin, e.g. a compd. of formula I [R1 = H, Me, CH2, halo; R2 = absent, H, Me; R3 = oxo, OH, alkoxy, acyloxy, benzoyl, etc.; R4 = H, OH, alkoxy, acyloxy, oxo, halo; R5 = absent, H, OH, alkoxy, acyloxy; R6 = H, halo], such that the vomeropherin binds to a specific neuroepithelial receptor. Thus, 10.beta.-hydroxy-16.alpha.,17.alpha.-epoxyestr-4-en-3-one is prepd. from estra 5(10),16-dien-3-one, and is used in pharmaceutical compns. The compds. of the invention are tested for their effect on EEG and autonomic activity in women and men. The steroid or steroids is/are preferably administered in the form of a pharmaceutical compn. contg. one or more pharmaceutically acceptable carriers.

IT **200511-34-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

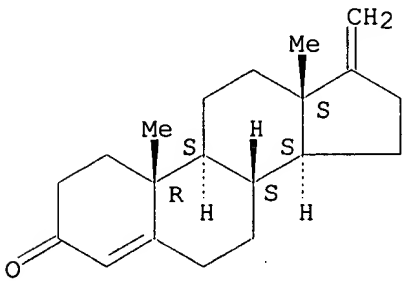
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of steroids as neurochem. stimulators of VNO to alleviate symptoms of PMS and anxiety)
RN 200511-34-8 HCAPLUS
CN 19,21-Dinorchola-4,17(20)-dien-3-one, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



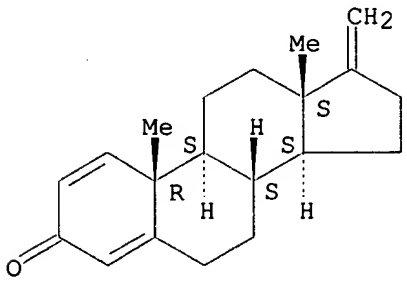
IT **846-45-7P 161061-86-5P 177856-18-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of steroids as neurochem. stimulators of VNO to alleviate symptoms of PMS and anxiety)
RN 846-45-7 HCAPLUS
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



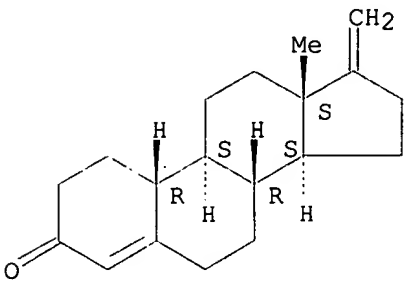
RN 161061-86-5 HCAPLUS
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177856-18-7 HCAPLUS
CN Estr-4-en-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

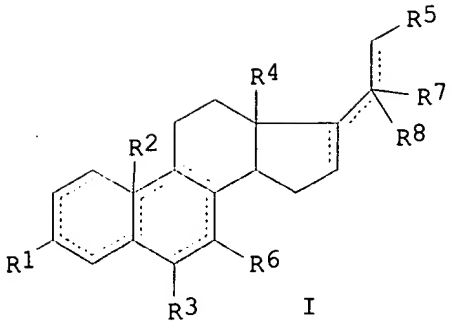


RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

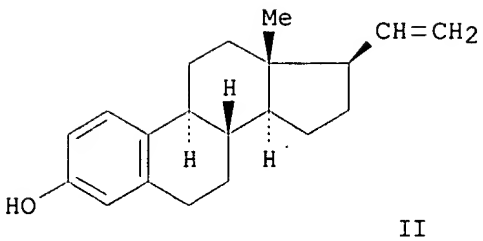
L70 ANSWER 5 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 2000:344112 HCAPLUS
DN 132:347795
TI Preparation of steroids as neurochemical initiators of change in human blood levels of LH
IN Jennings-White, Clive L.; Berliner, David L.; Adams, Nathan W.
PA Pherin Corporation, USA
SO U.S., 255 pp., Cont.-in-part of U.S. 5,563,131.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6066627	A	20000523	US 1996-625268	19960329
	US 5563131	A	19961008	US 1994-286073	19940804
	HU 77600	A2	19980629	HU 1997-327	19950804
	US 6057439	A	20000502	US 1996-686092	19960723
	CA 2250309	AA	19971009	CA 1997-2250309	19970328
	WO 9736596	A1	19971009	WO 1997-US6061	19970328
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9726650	A1	19971022	AU 1997-26650	19970328
	AU 735804	B2	20010712		
	EP 891188	A1	19990120	EP 1997-918578	19970328
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	BR 9708399	A	19990803	BR 1997-8399	19970328
	JP 2000504025	T2	20000404	JP 1997-535629	19970328
	US 6117860	A	20000912	US 1997-899094	19970723
	US 6331534	B1	20011218	US 1997-919621	19970828
PRAI	US 1994-286073	A2	19940804		
	US 1996-625268	A2	19960329		
	US 1996-686092	A2	19960723		
	US 1996-725862	A2	19961004		
	WO 1997-US6061	W	19970328		

OS MARPAT 132:347795
GI



I



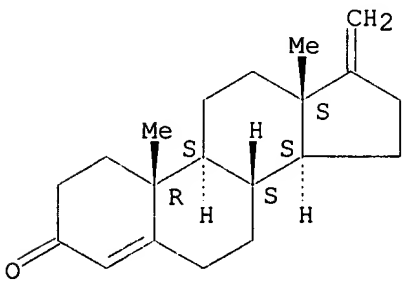
II

AB The invention relates to a method of altering the blood levels of LH or FSH in an individual. The method comprises nasally administering a steroid which is a human vomeropherin, such that the vomeropherin binds to a specific neuroepithelial receptor. Steroids, e.g. of formula I [R1 = oxo, OH, OAc, propionyloxy, alkoxy, acyloxy, benzyloxy; R2 = H, OH, alkoxy, absent; R3 = oxo, OH, alkoxy, halo; R4 = Me, Et; R5 = H, Me, halo; R6 = H, Me; R7, R8 = H, halo, absent], are prepd. as vomeropherins. Thus, II was prepd. from ethynylestradiol diacetate. The prepd. 19-norpregnane vomeropherins were tested for autonomic activity in women.

IT **846-45-7P 161061-86-5P 177856-18-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of steroids as neurochem. initiators of change in human blood levels of LH)

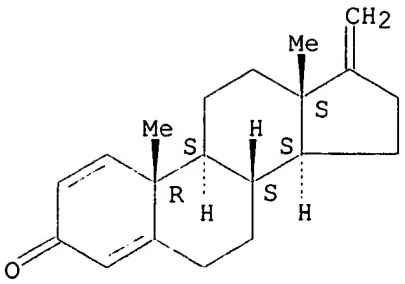
RN 846-45-7 HCAPLUS
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



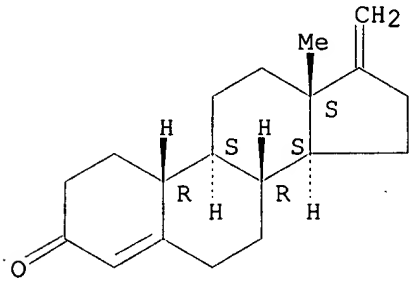
RN 161061-86-5 HCAPLUS
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177856-18-7 HCAPLUS
CN Estr-4-en-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



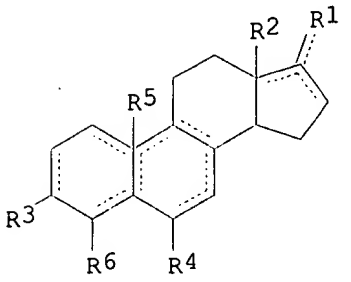
RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 6 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 2000:284021 HCAPLUS
DN 132:308544
TI Preparation of steroids as neurochemical stimulators of the VNO to alleviate symptoms of PMS and anxiety
IN Jennings-white, Clive L.; Berliner, David L.; Adams, Nathan W.; Monti-bloch, Luis
PA Pherin Corporation, USA
SO U.S., 284 pp., Cont.-in-part of U.S. Ser. No. 625,268.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6057439	A	20000502	US 1996-686092	19960723
	US 5563131	A	19961008	US 1994-286073	19940804
	US 6066627	A	20000523	US 1996-625268	19960329
	CA 2260253	AA	19980129	CA 1997-2260253	19970723
	WO 9803207	A1	19980129	WO 1997-US13035	19970723
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9739637	A1	19980210	AU 1997-39637	19970723
	AU 726625	B2	20001116		

	EP 914165	A1	19990512	EP 1997-937019	19970723
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9711812	A	19990824	BR 1997-11812	19970723
	CN 1226837	A	19990825	CN 1997-196761	19970723
	US 6117860	A	20000912	US 1997-899094	19970723
	JP 2000513000	T2	20001003	JP 1998-507230	19970723
	US 6331534	B1	20011218	US 1997-919621	19970828
	NO 9900305	A	19990322	NO 1999-305	19990122
PRAI	US 1994-286073	A2	19940804		
	US 1996-625268	A2	19960329		
	US 1996-686092	A	19960723		
	US 1996-725862	A	19961004		
	WO 1997-US13035	W	19970723		

GI



I

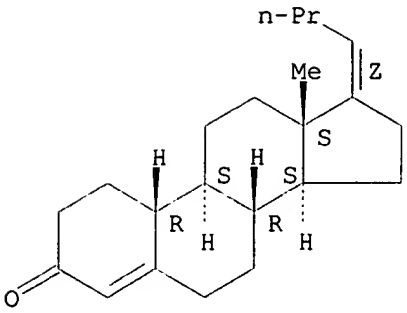
AB The invention relates to a method of alleviating the symptoms of PMS and anxiety. The method comprises nasally administering a steroid which is a human vomeropherin, e.g. a compd. of formula I [R1 = H, Me, CH2, halo; R2 = absent, H, Me; R3 = oxo, OH, alkoxy, acyloxy, benzoyl, etc.; R4 = H, OH, alkoxy, acyloxy, oxo, halo; R5 = absent, H, OH, alkoxy, acyloxy; R6 = H, halo], such that the vomeropherin binds to a specific neuroepithelial receptor. Thus, 10.beta.-hydroxy-16.alpha.,17.alpha.-epoxyestr-4-en-3-one is prepd. from estra 5(10),16-dien-3-one, and is used in pharmaceutical compns. The compds. of the invention are tested for their effect on EEG and autonomic activity in women and men. The steroid or steroids is/are preferably administered in the form of a pharmaceutical compn. contg. one or more pharmaceutically acceptable carriers.

IT **200511-34-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of steroids as neurochem. stimulators of VNO to alleviate symptoms of PMS and anxiety)

RN 200511-34-8 HCAPLUS

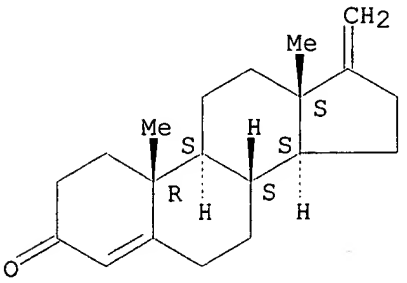
CN 19,21-Dinorchola-4,17(20)-dien-3-one, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



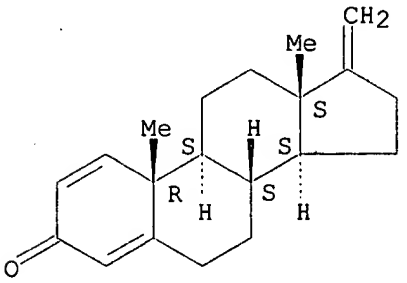
IT **846-45-7P 161061-86-5P 177856-18-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of steroids as neurochem. stimulators of VNO to alleviate symptoms of PMS and anxiety)
RN 846-45-7 HCAPLUS
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



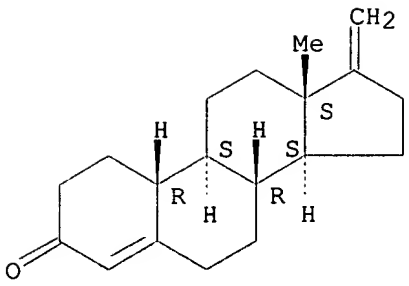
RN 161061-86-5 HCAPLUS
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177856-18-7 HCAPLUS
CN Estr-4-en-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

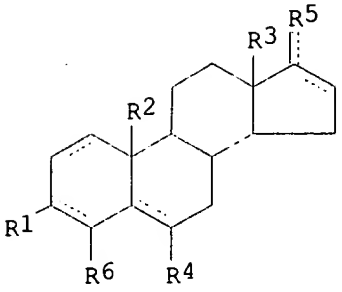


RE.CNT 119 THERE ARE 119 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 7 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1999:671044 HCAPLUS
DN 131:286700
TI Preparation of androstanes for inducing hypothalamic effects
IN Berliner, David L.; Adams, Nathan W.; Jennings-White, Clive L.
PA Pherin Corp., USA
SO U.S., 49 pp., Cont.-in-part of U. S. Ser. No. 127,908, abandoned.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5969168	A	19991019	US 1994-316435	19940929
	CN 1101649	A	19950419	CN 1994-106650	19940615
	CN 1057531	B	20001018		
	CA 2199043	AA	19960404	CA 1995-2199043	19950929
	WO 9610031	A1	19960404	WO 1995-US12538	19950929
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9537329	A1	19960419	AU 1995-37329	19950929
	AU 702704	B2	19990304		
	EP 783512	A1	19970716	EP 1995-935234	19950929
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	BR 9509173	A	19971125	BR 1995-9173	19950929
	CN 1166836	A	19971203	CN 1995-196354	19950929
	CN 1057767	B	20001025		
	HU 77665	A2	19980728	HU 1998-293	19950929
	JP 10509692	T2	19980922	JP 1995-512065	19950929
	RU 2160740	C2	20001220	RU 1997-107006	19950929
	US 5883087	A	19990316	US 1996-654021	19960528
	NO 9701418	A	19970523	NO 1997-1418	19970325
	FI 9701314	A	19970327	FI 1997-1314	19970327
	US 5965552	A	19991012	US 1998-212735	19981215
PRAI	US 1991-638185	B2	19910107		
	US 1991-708936	B2	19910531		
	US 1992-903604	B2	19920624		
	US 1993-127908	B2	19930928		
	US 1993-77359	A	19930615		
	US 1994-316435	A	19940929		
	WO 1995-US12538	W	19950929		
	US 1996-654021	A1	19960528		

OS MARPAT 131:286700
GI



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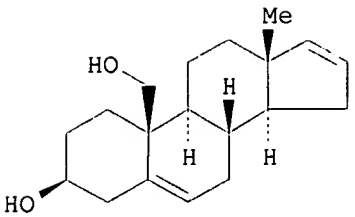
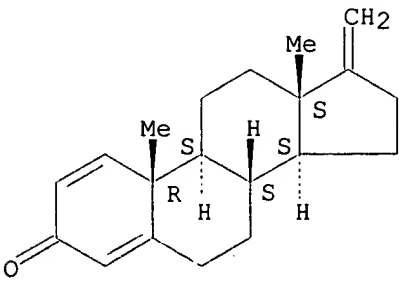


II

AB The invention relates to novel androstane steroids of formula I [R1 = OH, oxo; R2 = Me, CH2OH, acyloxymethyl, alkyl, etc.; R3 = H, Me, CH2OH, acyloxymethyl, alkyl, etc.; R4 = H, halo, OH, alkoxy, acyloxy; R5 = H, Me, halo; R6 = H, halo], which are the ligand semiochems. which bind to neuroepithelial receptors. The steroids are useful as ligands to neuroepithelial receptors in the human vomeronasal gland to stimulate autonomic and hypothalamic activity. Thus, androst-5-en-3.beta.,19-diol-17-one was transformed into the 17-tosylhydrazone, which was then reacted to form II. The electroencephalog., respiratory frequency and ECG response for II was stronger in females than in males.

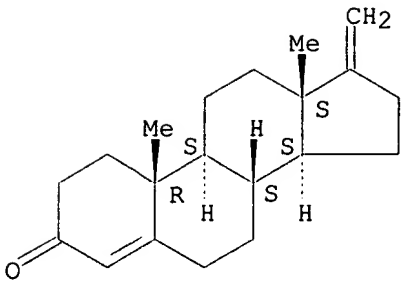
IT **161061-86-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of androstanes for inducing hypothalamic effects)
RN 161061-86-5 HCAPLUS
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **846-45-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of androstanes for inducing hypothalamic effects)
RN 846-45-7 HCAPLUS
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 8 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1998:542974 HCAPLUS
DN 129:156928
TI Pregnene derivatives as androgen synthesis inhibitors
IN Brodie, Angela; Ling, Yangzhi
PA University of Maryland At Baltimore, USA
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

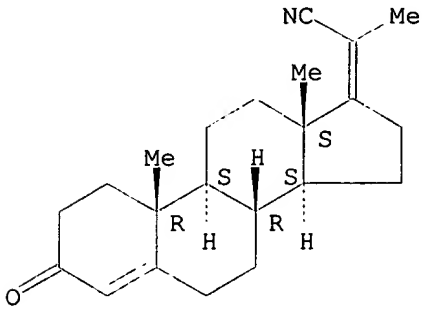
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9833506	A1	19980806	WO 1998-US1569	19980205
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5994334	A	19991130	US 1997-795932	19970205
	AU 9860453	A1	19980825	AU 1998-60453	19980205
	EP 1019060	A1	20000719	EP 1998-903769	19980205
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2001510471	T2	20010731	JP 1998-533015	19980205
	US 6133280	A	20001017	US 1999-307714	19990510
PRAI	US 1997-795932	A	19970205		
	WO 1998-US1569	W	19980205		

AB This invention relates to novel inhibitors of androgen synthesis that are useful in the treatment of prostate cancer and benign prostatic hypertrophy. The present invention also provides methods of synthesizing these novel compds., pharmaceutical compns. contg. these novel compds., and methods of treating prostate cancer and benign prostatic hypertrophy using the androgen synthesis inhibitors of the present invention. Over 70 20-substituted and other pregnene derivs. were synthesized and evaluated as inhibitors of human 17.alpha.-hydroxylase/C17,20-lyase and of 5.alpha.-reductase.

IT **68550-57-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(17.alpha.-hydroxylase/C17,20-lyase and 5.alpha.-reductase inhibitory activity of; pregnene derivs. as androgen synthesis inhibitors)
RN 68550-57-2 HCAPLUS

CN Pregna-4,17(20)-diene-20-carbonitrile, 3-oxo- (9CI) (CA INDEX NAME)

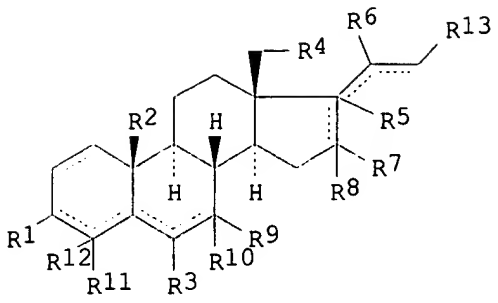
Absolute stereochemistry.
Double bond geometry unknown.



L70 ANSWER 9 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1998:219719 HCAPLUS
DN 128:294938
TI Preparation of steroids as neurochemical stimulators of the vomeronasal organ (VNO) to alleviate symptoms of anxiety
IN Jennings-White, Clive L.; Berliner, David L.; Adams, Nathan W.; Monti-Bloch, Luis
PA Pherin Pharmaceuticals, USA
SO PCT Int. Appl., 540 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9814194	A1	19980409	WO 1997-US18086	19971006
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6117860	A	20000912	US 1997-899094	19970723
	AU 9748103	A1	19980424	AU 1997-48103	19971006
PRAI	US 1996-725862	A	19961004		
	US 1997-899094	A	19970723		
	US 1994-286073	A2	19940804		
	US 1996-625268	A2	19960329		
	US 1996-686092	A2	19960723		
	WO 1997-US18086	W	19971006		

GI



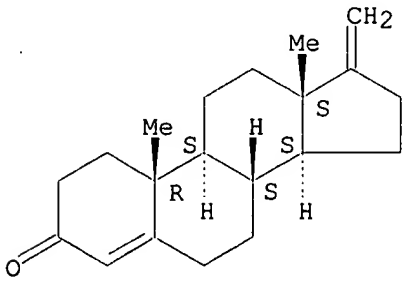
I

AB Steroids, such as I [R1 = oxo, OH, alkoxy; R2 = alkyl, etc.; R3 = H, oxo, halo, OH, etc.; R4 - R12 = H, Me, etc.; R13 = H, Me, methylene, etc.; R2R3 = cyclic ether], were prepd. for nasal administration to alleviate symptoms of anxiety. The nasally administered steroid, which is a human vomeropherin, binds to a specific neuroepithelial receptor. Thus, 3.alpha.- and 3.beta.-pregna-4,20-dien-3-ols were prepd. in 14 and 23% yields, resp., by redn. of pregna-4,20-dien-3-one using lithium trisamylborohydride in THF. Autonomic responses to stimulation of the VNO by the prepd. compds. was measured.

IT **846-45-7P 161061-86-5P 177856-18-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of steroids as neurochem. stimulators of the vomeronasal organ (VNO) to alleviate symptoms of anxiety)

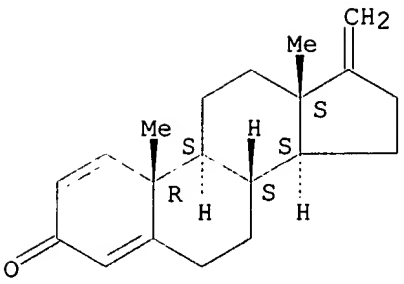
RN 846-45-7 HCAPLUS
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



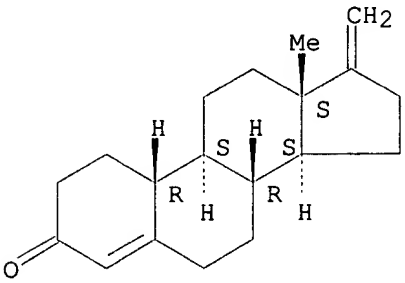
RN 161061-86-5 HCAPLUS
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



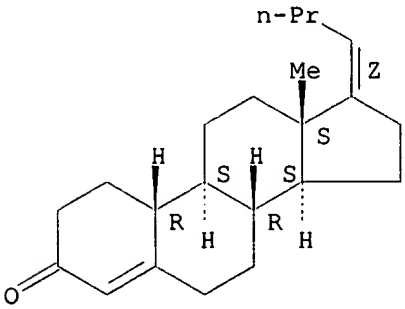
RN 177856-18-7 HCAPLUS
CN Estr-4-en-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **200511-34-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of steroids as neurochem. stimulators of the vomeronasal organ (VNO) to alleviate symptoms of anxiety)
RN 200511-34-8 HCAPLUS
CN 19,21-Dinorchola-4,17(20)-dien-3-one, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

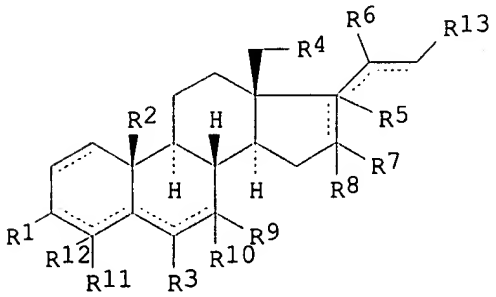


L70 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1998:87643 HCAPLUS
DN 128:154277
TI Preparation of steroids as neurochemical stimulators of the VNO to alleviate symptoms of PMS and anxiety
IN Jennings-White, Clive L.; Berliner, David L.; Adams, Nathan W.; Bloch-Monti, Luis

PA Pherin Corp., USA
SO PCT Int. Appl., 551 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9803207	A1	19980129	WO 1997-US13035	19970723
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6057439	A	20000502	US 1996-686092	19960723
	AU 9739637	A1	19980210	AU 1997-39637	19970723
	AU 726625	B2	20001116		
	EP 914165	A1	19990512	EP 1997-937019	19970723
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9711812	A	19990824	BR 1997-11812	19970723
	JP 2000513000	T2	20001003	JP 1998-507230	19970723
	NO 9900305	A	19990322	NO 1999-305	19990122
PRAI	US 1996-686092	A	19960723		
	US 1996-725862	A	19961004		
	US 1994-286073	A2	19940804		
	US 1996-625268	A2	19960329		
	WO 1997-US13035	W	19970723		

OS MARPAT 128:154277
GI



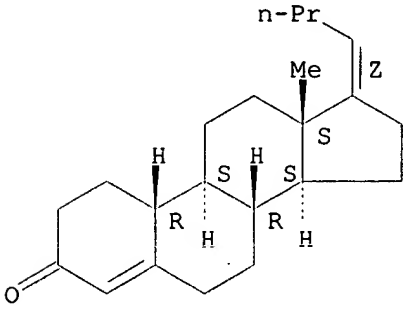
I

AB Compds. such as formula I [R1 = oxo, (substituted) OH; R2 = alkyl, etc.; R3 = H, oxo, halo, OH, etc.; R4-R12 = H, halo, Me; R13 = H, Me, methylene, etc.; R2R3 = cyclic ether] are prepd. The invention relates to a method of alleviating the symptoms of PMS and anxiety. The method comprises nasally administering a steroid which is a human vomeropherin, such that the vomeropherin binds to a specific neuroepithelial receptor. The steroid or steroids is/are preferably administered in the form of a pharmaceutical compn. contg. one or more pharmaceutically acceptable carriers.

IT **200511-34-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

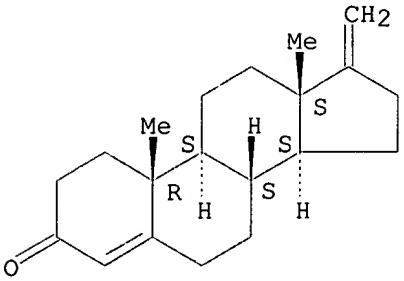
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of steroids as neurochem. stimulators of the vomeronasal organ)
RN 200511-34-8 HCAPLUS
CN 19,21-Dinorchola-4,17(20)-dien-3-one, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



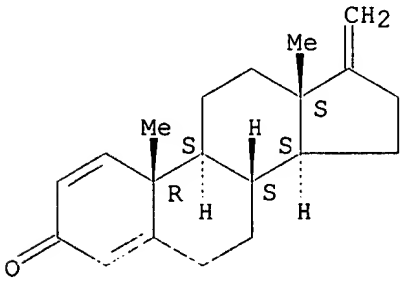
IT **846-45-7P 161061-86-5P 177856-18-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of steroids as neurochem. stimulators of the vomeronasal organ)
RN 846-45-7 HCAPLUS
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



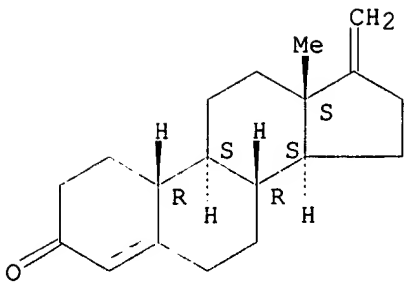
RN 161061-86-5 HCAPLUS
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177856-18-7 HCAPLUS
CN Estr-4-en-3-one, 17-methylene- (9CI) (CA INDEX NAME)

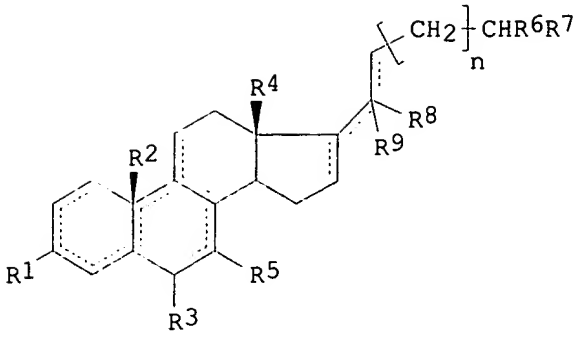
Absolute stereochemistry.



L70 ANSWER 11 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1998:1493 HCAPLUS
DN 128:71179
TI 19-norcholane steroids as neurochemical initiators of change in human hypothalamic function
IN Jennings-White, Clive L.; Berliner, David L.; Adams, Nathan W.
PA Pherin Corporation, USA
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9746574	A1	19971211	WO 1997-US9992	19970609
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5922699	A	19990713	US 1996-660804	19960607
	CA 2258177	AA	19971211	CA 1997-2258177	19970609
	AU 9733073	A1	19980105	AU 1997-33073	19970609
	AU 732960	B2	20010503		
	CN 1225098	A	19990804	CN 1997-196225	19970609
	EP 948521	A1	19991013	EP 1997-928921	19970609
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	NO 9900498	A	19990203	NO 1999-498	19990203
PRAI	US 1996-660804	A	19960607		
	WO 1997-US9992	W	19970609		

OS MARPAT 128:71179
GI



I

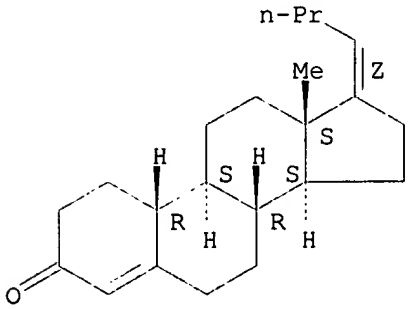
AB The invention relates to a method of altering hypothalamic function in an individual. The method comprises nasally administering a human vomeropherin, e.g. a 19-norcholane steroid of formula I [R1 = oxo, (substituted) OH; R2 = H, OH, alkoxy, or absent; R3 = oxo, H, OH, alkoxy, halo; R4,R7 = Me, Et; R5 = H, Me; R6 = H, Me, halo; R8, R9 = H, halo, absent; R8R9 = CH2; n = 0-2], or a pharmaceutical compn. contg. a vomeropherin, such that the vomeropherin binds to a specific neuroepithelial receptor. The steroid or steroids is/are preferably administered in the form of a pharmaceutical compn. contg. one or more pharmaceutically acceptable carriers. Other embodiments of the invention include pharmaceutical compns. contg. the steroids.

IT **200511-34-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 19-norcholanes as neurochem. initiators of change in human hypothalamic function)

RN 200511-34-8 HCAPLUS

CN 19,21-Dinorchola-4,17(20)-dien-3-one, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L70 ANSWER 12 OF 41 HCAPLUS COPYRIGHT 2002 ACS

AN 1997:672282 HCAPLUS

DN 127:293468

TI Preparation of steroids as neurochemical initiators of change in human blood levels of LH or FSH

IN Jennings-White, Clive L.; Berliner, David L.; Adams, Nathan W.

PA Pherin Corp., USA

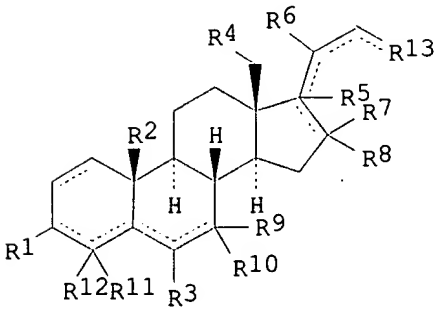
SO PCT Int. Appl., 498 pp.
CODEN: PIXXD2

DT **Patent**

LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9736596	A1	19971009	WO 1997-US6061	19970328
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6066627	A	20000523	US 1996-625268	19960329
	AU 9726650	A1	19971022	AU 1997-26650	19970328
	AU 735804	B2	20010712		
	EP 891188	A1	19990120	EP 1997-918578	19970328
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	BR 9708399	A	19990803	BR 1997-8399	19970328
	JP 2000504025	T2	20000404	JP 1997-535629	19970328
PRAI	US 1996-625268	A	19960329		
	US 1994-286073	A2	19940804		
	WO 1997-US6061	W	19970328		

GI



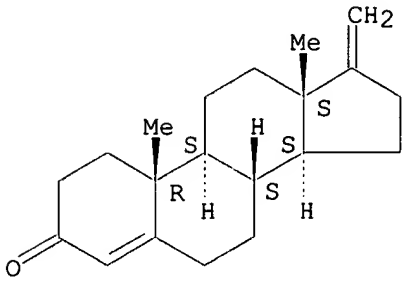
I

AB The invention relates to a method of altering the blood levels of LH or FSH in an individual. Steroids of formula I [R1 = oxo, OH, OAc, O2CEt, methoxy, etc.; R2 = Me, HOCH2, acyloxymethyl, alkyl, etc.; R3 = H, oxo, halo, OH, alkoxy, acyloxy; R4-R12 = H, halo, Me, halomethyl; R13 = H, Me, methylene, Et, ethenyl, acetylenyl, etc.], and others are prepd. The method comprises nasally administering a steroid which is a human vomeropherin, such that the vomeropherin binds to a specific neuroepithelial receptor. The steroid or steroids is/are preferably administered in the form of a pharmaceutical compn. contg. one or more pharmaceutically acceptable carriers. Thus, 1,3,5(10),16-estratetraen-3-ol is prepd. from estrone via hydrazone formation and redn. 1,3,5(10),16-Estratetraen-3-ol is shown to have autonomic activity.

IT **846-45-7P 161061-86-5P 177856-18-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of steroids as neurochem. initiators of change in human blood levels of LH or FSH)

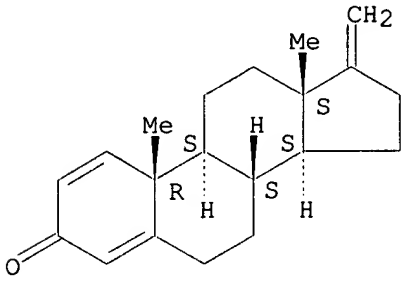
RN 846-45-7 HCAPLUS
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



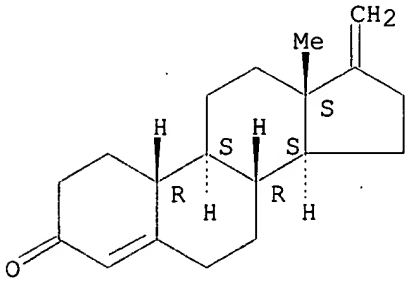
RN 161061-86-5 HCAPLUS
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177856-18-7 HCAPLUS
CN Estr-4-en-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L70 ANSWER 13 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1997:394816 HCAPLUS
DN 127:17859
TI Preparation of estrenes for inducing hypothalamic effects
IN Berliner, David L.; Adams, Nathan W.; Jennings-White, Clive L.
PA Pherin Corporation, USA
SO U.S., 63 pp., Division of U.S. Ser. No. 316,050.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5633392	A	19970527	US 1995-454917	19950531

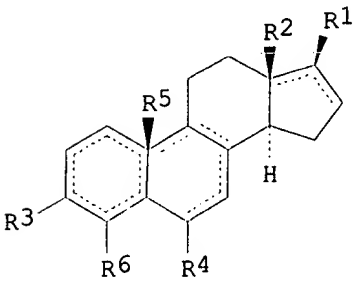
	US 5783571	A	19980721	US 1993-127980	19930928
	EP 924219	A2	19990623	EP 1998-203950	19950929
	EP 924219	A3	20020123		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV

PRAI	US 1991-638743	B2	19910107
	US 1991-707862	B2	19910531
	US 1992-903525	B2	19920624
	US 1993-127980	A2	19930928
	US 1994-316050	A3	19940929
	EP 1995-935237	A3	19950929

OS MARPAT 127:17859

GI



I

AB The invention relates to estrene steroids, which bind to neuroepithelial receptors. Title compds. I [R1 = CH2, Me; R2 = null, H, Me; R3 = oxo, OH, alkoxy, acyloxy, benzoyl, etc.; R4 = H, OH, alkoxy, acyloxy, oxo, halo; R5 = null, H, OH, alkoxy, acyloxy; R6 = H, halo; with provisos] are prepd. and tested for their effect on olfactory receptors. Refluxing a mixt. of estrone, p-toluenesulfonylhydrazide in methanol for 20 h gave estrone p-toluenesulfonylhydrazone, which was treated with BuLi in hexane-THF with ice cooling to give the title compd. estra-1,3,5(10),16-tetraen-3-ol. Stimulation on human vomeronasal organ by this gave a local elec. potential response of ca. 22 mV-seconds vs. ca. 8 mV-seconds for androstadien-3-one.

IT **177856-18-7P**

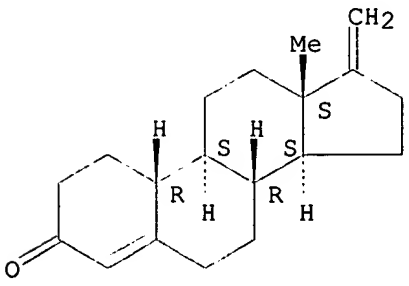
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of estrenes for inducing hypothalamic effects)

RN 177856-18-7 HCAPLUS

CN Estr-4-en-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

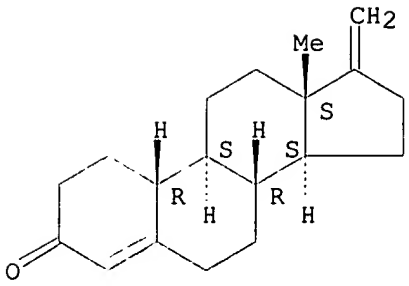


L70 ANSWER 14 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1996:369795 HCAPLUS
DN 125:58846
TI Novel estrenes for inducing hypothalamic effects
IN Berliner, David L.; Adams, Nathan W.; Jennings-White, Clive L.
PA Pherin Corporation, USA
SO PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9610032	A1	19960404	WO 1995-US12542	19950929
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2199044	AA	19960404	CA 1995-2199044	19950929
	AU 9537331	A1	19960419	AU 1995-37331	19950929
	AU 705422	B2	19990520		
	EP 783513	A1	19970716	EP 1995-935237	19950929
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1167489	A	19971210	CN 1995-196518	19950929
	HU 76856	A2	19971229	HU 1997-1508	19950929
	BR 9509098	A	19980714	BR 1995-9098	19950929
	JP 10509423	T2	19980914	JP 1995-512067	19950929
	EP 924219	A2	19990623	EP 1998-203950	19950929
	EP 924219	A3	20020123		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
	RU 2160279	C2	20001210	RU 1997-107609	19950929
	NO 9701417	A	19970523	NO 1997-1417	19970325
	FI 9701315	A	19970327	FI 1997-1315	19970327
PRAI	US 1994-316050	A	19940929		
	EP 1995-935237	A3	19950929		
	WO 1995-US12542	W	19950929		

OS MARPAT 125:58846
AB The invention relates to estrene steroid, which bind to neuroepithelial receptors. Thus,estrone is converted to its tosylhydrazone which is subjected to elimination reaction to give 1,3,5(10),16-estratetraen-3-ol (I). I elicits a response in the vomeronasal organ that is stronger in males than females.
IT **177856-18-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of estrenes for inducing hypothalamic effects)
RN 177856-18-7 HCAPLUS
CN Estr-4-en-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



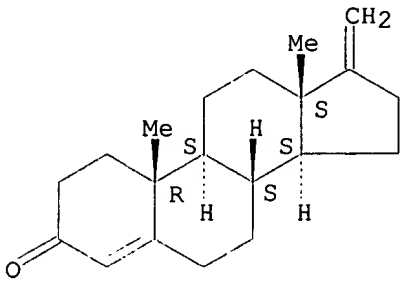
L70 ANSWER 15 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1996:367792 HCAPLUS
DN 125:86979
TI Novel androstanes for inducing hypothalamic effects
IN Berliner, David L.; Adams, Nathan W.; Jennings-White, Clive L.
PA Pherin Corporation, USA
SO PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9610031	A1	19960404	WO 1995-US12538	19950929
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5969168	A	19991019	US 1994-316435	19940929
	AU 9537329	A1	19960419	AU 1995-37329	19950929
	AU 702704	B2	19990304		
	EP 783512	A1	19970716	EP 1995-935234	19950929
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	BR 9509173	A	19971125	BR 1995-9173	19950929
	JP 10509692	T2	19980922	JP 1995-512065	19950929
	RU 2160740	C2	20001220	RU 1997-107006	19950929
	NO 9701418	A	19970523	NO 1997-1418	19970325
	FI 9701314	A	19970327	FI 1997-1314	19970327
PRAI	US 1994-316435	A	19940929		
	US 1991-638185	B2	19910107		
	US 1991-708936	B2	19910531		
	US 1992-903604	B2	19920624		
	US 1993-127908	B2	19930928		
	WO 1995-US12538	W	19950929		

OS MARPAT 125:86979
AB The invention relates to novel, androstane steroids which are the ligand semiochems. which bind to neuroepithelial receptors. Thus, testosterone was treated with ClCO2Me to give the 17.beta.-ol Me carbonate which was pyrolyzed to give androsta-4,16-dien-3-one (I). I generates a significantly stronger vomeronasal organ response in females than males and can be used as an anxiolytic and in the treatment of premenstrual stress.
IT **846-45-7P 161061-86-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of androstane derivs. for use as anxiolytics and in treatment of premenstrual stress)

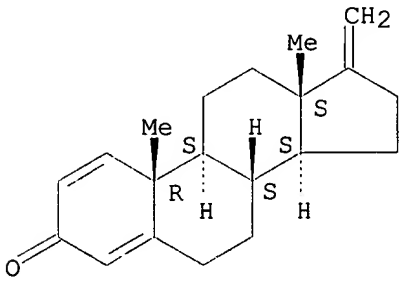
RN 846-45-7 HCAPLUS
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 161061-86-5 HCAPLUS
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L70 ANSWER 16 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1995:367728 HCAPLUS
DN 122:152289
TI Androstane steroids as neurochemical initiators of change in human hypothalamic function and related pharmaceutical compositions and methods
IN Berliner, David L.; Adams, Nathan William; Jennings-White, Clive L.
PA Pherin Corp., USA
SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9428904	A1	19941222	WO 1993-US9349	19930928
	W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9453504	A1	19950103	AU 1994-53504	19930928
	AU 691474	B2	19980521		
	EP 711169	A1	19960515	EP 1993-923753	19930928
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	BR 9307867	A	19960730	BR 1993-7867	19930928
	HU 74172	A2	19961128	HU 1995-3578	19930928
	CN 1101649	A	19950419	CN 1994-106650	19940615
	CN 1057531	B	20001018		

	FI 9506029	A	19960214	FI 1995-6029	19951214
	NO 9505085	A	19960214	NO 1995-5085	19951214
PRAI	US 1993-77359	A	19930615		
	US 1993-127908	A	19930928		
	WO 1993-US9349	W	19930928		

OS MARPAT 122:152289

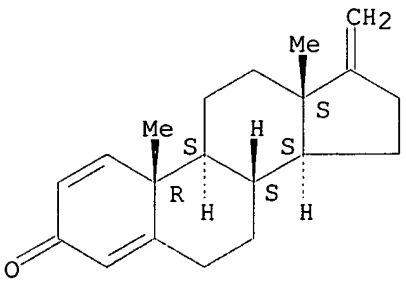
AB A method for altering hypothalamic function in an individual is presented. The method comprises nasally administering a human semiochem., e.g. an androstane steroid, or a pharmaceutical compn. contg. a semiochem., such that the ligand semiochem. binds to a specific neuroepithelial receptor. The steroid or steroids is/are preferably administered in the form of a pharmaceutical compn. contg. one or more pharmaceutically acceptable carriers. Other embodiments of the invention include pharmaceutical compns. contg. the steroids.

IT **161061-86-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(androstane-induced human hypothalamic function alteration via nasal administration)

RN 161061-86-5 HCAPLUS

CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

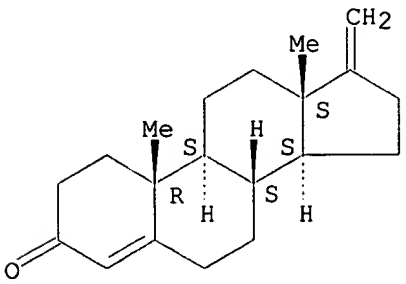


IT **846-45-7**
RL: RCT (Reactant)
(in methyleneandrostenol prepn.)

RN 846-45-7 HCAPLUS

CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



L70 ANSWER 17 OF 41 HCAPLUS COPYRIGHT 2002 ACS

AN 1993:671491 HCAPLUS

DN 119:271491

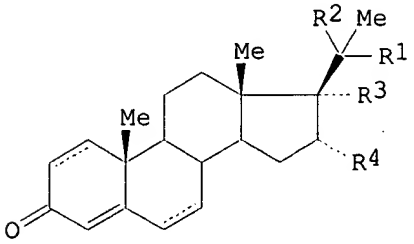
TI 20-Substituted pregnene derivatives and their use as androgen synthesis inhibitors

IN Brodie, Angela; Li, Jisong

PA Research Corp. Technologies, Inc., USA
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9315104	A1	19930805	WO 1993-US760	19930128
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5264427	A	19931123	US 1992-827040	19920129
	AU 9335968	A1	19930901	AU 1993-35968	19930128
PRAI	US 1992-827040		19920129		
	WO 1993-US760		19930128		

OS MARPAT 119:271491
GI



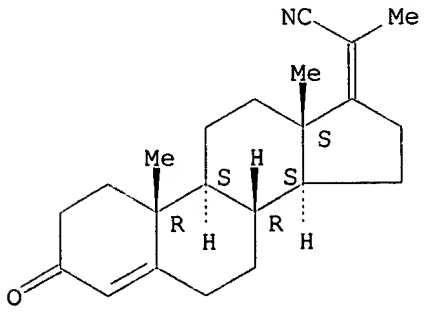
I

AB Pregnenes I [R1 = H, R2 = CH:NOY, CH:NNMe2, cyano, Y = H, C1-5 alkyl, R3 = R4 = H, or R3R4 = bond; or R1R3 = bond, R2 = as above, R4 = H; dotted lines = optional double bonds] and salts are claimed as androgen biosynthesis inhibitors. Androgen prodn.-inhibiting compns. contg. a broader group of I are also claimed, as are methods of use and synthesis. Thus, 4-pregnen-3-one-20.beta.-carboxaldehyde was treated with either H2NNMe2 or NH2OH.HCl to give its N,N-dimethylhydrazone and its oxime (II). In enzyme inhibition tests, II had Ki values as follows: rat testicular 17.alpha.-hydroxylase, 31.20 .mu.M (vs. 39.50 for ketoconazole); C17,20-lyase, 1.07 .mu.M (vs. 3.60 for ketoconazole); and human prostatic 5.alpha.-reductase, 9.10 nM (vs. 5.41 for the known inhibitor 4-MA).

IT **68550-57-2**
RL: RCT (Reactant)
(prepn. as androgen biosynthesis inhibitor)

RN 68550-57-2 HCAPLUS
CN Pregna-4,17(20)-diene-20-carbonitrile, 3-oxo- (9CI) (CA INDEX NAME)

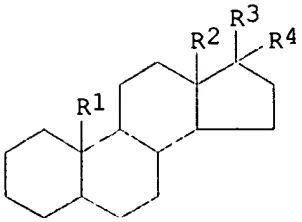
Absolute stereochemistry.
Double bond geometry unknown.



L70 ANSWER 18 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1993:650248 HCAPLUS
DN 119:250248
TI Preparation of 20-oxo-17.alpha.,21-dihydroxypregnenes
IN Buendia, Jean; Vivat, Michel
PA Roussel-UCLAF, Fr.
SO Can. Pat. Appl., 18 pp.
CODEN: CPXXEB
DT **Patent**
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2082284	AA	19930509	CA 1992-2082284	19921106
	FR 2683530	A1	19930514	FR 1991-13777	19911108
	FR 2683530	B1	19940121		
	FR 2683820	A1	19930521	FR 1992-4564	19920414
	FR 2683820	B1	19950519		
	RU 2106354	C1	19980310	RU 1992-4389	19921103
	EP 546875	A2	19930616	EP 1992-402996	19921105
	EP 546875	A3	19940518		
	EP 546875	B1	19960911		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	US 5352808	A	19941004	US 1992-972228	19921105
	AT 142637	E	19960915	AT 1992-402996	19921105
	ES 2091426	T3	19961101	ES 1992-402996	19921105
	AU 9228190	A1	19930513	AU 1992-28190	19921106
	AU 666504	B2	19960215		
	JP 05194583	A2	19930803	JP 1992-321370	19921106
	ZA 9208577	A	19931108	ZA 1992-8577	19921106
	HU 64360	A2	19931228	HU 1992-3491	19921106
	HU 213610	B	19970828		
	HU 64969	A2	19940328	HU 1993-2803	19921106
	PL 173273	B1	19980227	PL 1992-296513	19921106
	PL 173451	B1	19980331	PL 1992-315752	19921106
	CN 1072182	A	19930519	CN 1992-112854	19921107
	CN 1036719	B	19971217		
PRAI	FR 1991-13777	A	19911108		
	HU 1992-3491	A	19921106		

OS MARPAT 119:250248
GI

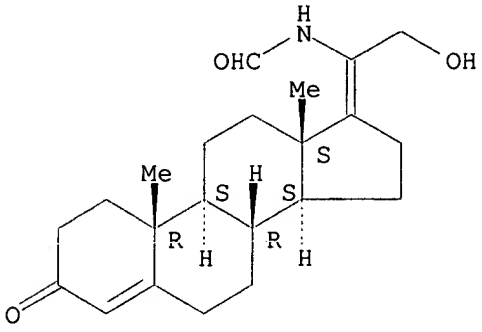


I

AB Title compds. [(unsatd.) (substituted)-)I; R3 = .beta.-COCH2OH; R4 = .alpha.-OH] [II; R1 = H, (substituted)alkyl, alkenyl, alkynyl; R2 = alkyl] were prepd. by oxidn. of I [R3R4 = C(CH2OH) followed by sapon. This reaction sequence applied to 20-formamido-11.beta.,21-dihydroxypregna04,17(20)-diene-3-one gave hydrocortisone.
IT **150690-18-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. and reaction of, in prepn. of oxodihydroxypregnene)
RN 150690-18-9 HCAPLUS
CN Formamide, N-(21-hydroxy-3-oxopregna-4,17(20)-dien-20-yl)- (9CI) (CA INDEX NAME)

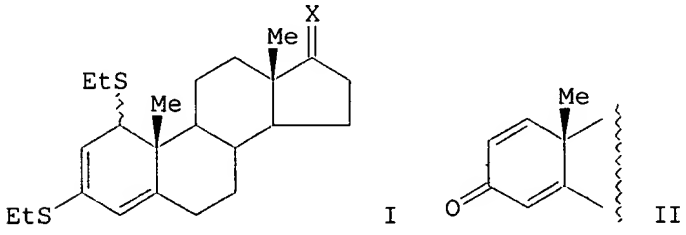
Absolute stereochemistry.
Double bond geometry unknown.



L70 ANSWER 19 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1992:174530 HCAPLUS
DN 116:174530
TI Preparation of 21-acyloxypregna-1,4,16-triene-3,20-diones
IN Wunderwald, Manfred; Ponsold, Kurt
PA Akademie der Wissenschaften der DDR, Patentabteilung, Germany
SO Ger. (East), 5 pp.
CODEN: GEXXA8
DT **Patent**
LA German
FAN.CNT 1

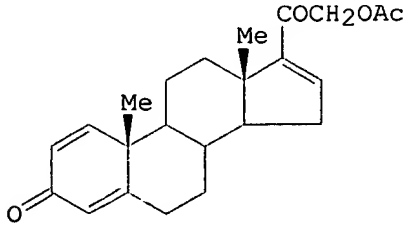
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 295856	A5	19911114	DD 1988-315257	19880502

OS MARPAT 116:174530
GI



I

II



III

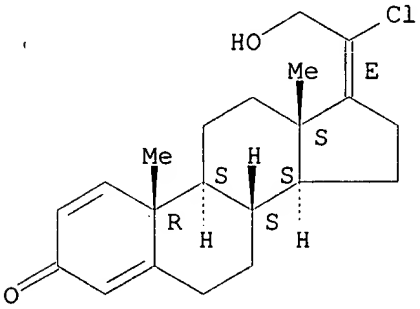
AB Title compds. were prepd. Thus, 1,4-androstadiene-3,17-dione was treated

with EtSH-TiCl4 to give the mercapto deriv. I (X = O) which was treated with Cl3CCO2Me to give I (X = CClCO2Me). Redn. of the ester group then gave I (X = CClCH2OH) which was hydrolyzed to the ketone II (X = CClCH2OH). Oxidn. of the hydroxyl group gave II (X = CClCHO) which was treated with Bu4N+OAc- to give the title compd. III.

IT **139499-63-1P 139499-67-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and oxidn. of)

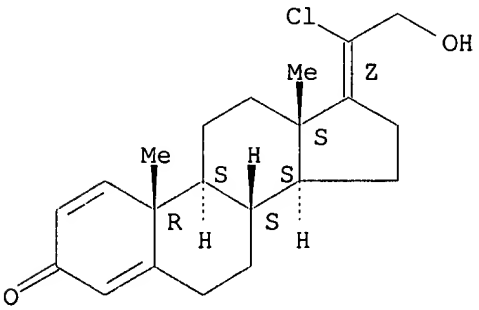
RN 139499-63-1 HCAPLUS
CN Pregna-1,4,17(20)-trien-3-one, 20-chloro-21-hydroxy-, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 139499-67-5 HCAPLUS
CN Pregna-1,4,17(20)-trien-3-one, 20-chloro-21-hydroxy-, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



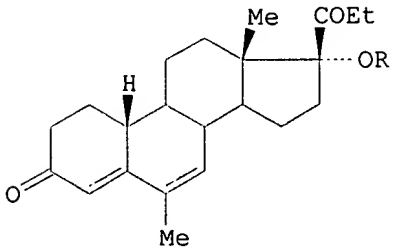
L70 ANSWER 20 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1989:614812 HCAPLUS
DN 111:214812
TI Progestogenic 19-norprogesterone derivatives and their preparation, intermediates, and pharmaceutical compositions
IN Piasco, Alain; Nasraoui, Mohamed Nejib
PA Laboratoire Theramex S. A., Monaco
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DT **Patent**
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 8903839	A1	19890505	WO 1988-FR527	19881027
	W: AU, DK, FI, JP, KR, NO, SU, US				
	RW: AT, BE, BJ, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
	FR 2622194	A1	19890428	FR 1987-14806	19871027
	FR 2622194	B1	19900323		
	AU 8826295	A1	19890523	AU 1988-26295	19881027
	AU 624096	B2	19920604		
	EP 338065	A1	19891025	EP 1988-909777	19881027
	EP 338065	B1	19940126		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	ES 2013806	A6	19900601	ES 1988-3288	19881027
	JP 02501931	T2	19900628	JP 1988-509057	19881027
	AT 100814	E	19940215	AT 1988-909777	19881027
	DK 8903035	A	19890822	DK 1989-3035	19890620
	NO 8902652	A	19890823	NO 1989-2652	19890626
	NO 170545	B	19920720		
	NO 170545	C	19921028		
	RU 2009146	C1	19940315	RU 1989-4614494	19890626
	FI 8903121	A	19890627	FI 1989-3121	19890627
	FI 91158	B	19940215		
	FI 91158	C	19940525		
	KR 9705317	B1	19970415	KR 1989-71171	19890627
	US 5223492	A	19930629	US 1991-749925	19910826
PRAI	FR 1987-14806	A	19871027		
	EP 1988-909777	A	19881027		
	WO 1988-FR527	A	19881027		
	US 1989-381742	B3	19890905		

OS MARPAT 111:214812

GI



I

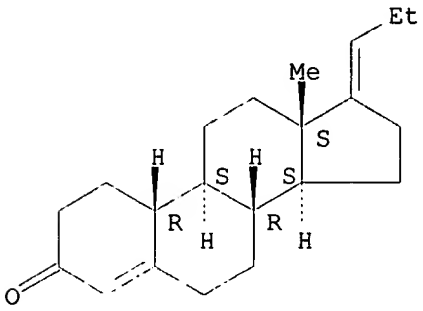
AB Title derivs. I (R = H, alkyl, CH2OMe, tetrahydropyranyl, C1-10 acyl group from an org. carboxylic or carbonic acid) are prepd. as progestogens. 3-Methoxyestra-1,3,5(10)-trien-17-one was subjected to Wittig reaction with Ph3P:CHEt, followed by Birch-Nelson redn. and treatment with HC(OEt)3 and p-MeC6H4SO3H to give 79% 3-ethoxy-21-methyl-19-norpregna-3,5,17(20)-triene. This underwent 6-formylation by Vilsmeier reagent (62%), redn. of formyl by NaBH4 and dehydration/deprotection with HCl (71%), isomerization of the resultant 6-methylene 4,17(20)-diene to the 4,6,17(20)triene over Pd/C (88%), and oxidn. of .DELTA.17(20) to 17.alpha.-OH and 20-oxo by OsO4 and triethylamine N-oxide hydroperoxide (52%) to give I (R = H). Acetylation of this compd. by Ac2O and p-MeC6H4SO3H gave 69% I (R = Ac). The affinity of I for uterine progesterone receptors was 2.5-fold that of progesterone itself. Tablets (1000) were prepd. from I (R = Ac) 2.50, lactose 110, corn starch 17.5, wheat starch 8.1, Na carboxymethyl starch 4.5, and Mg stearate 12.4 g.

IT **123482-05-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conversion of, to enol ether)

RN 123482-05-3 HCAPLUS

CN Estr-4-en-3-one, 17-propylidene- (9CI) (CA INDEX NAME)

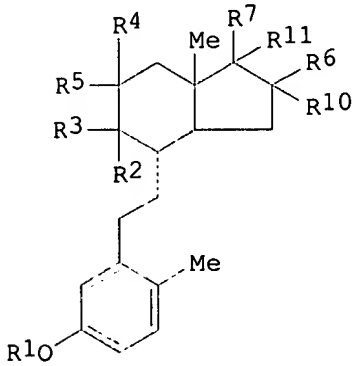
Absolute stereochemistry.
Double bond geometry unknown.



L70 ANSWER 21 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1989:115188 HCAPLUS
DN 110:115188
TI Preparation of amino-9,10-secosteroids as drugs
IN Gall, Martin; Higuchi, Robert I.
PA Upjohn Co., USA
SO PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8807527	A1	19881006	WO 1988-US817	19880318
	W: AU, DK, FI, JP, KR, NO, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AU 8817045	A1	19881102	AU 1988-17045	19880318
	EP 354920	A1	19900221	EP 1988-904015	19880318
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 02502824	T2	19900906	JP 1988-503877	19880318
	US 4996318	A	19910226	US 1989-438480	19890919
PRAI	US 1987-34256		19870403		
	WO 1988-US817		19880318		

OS MARPAT 110:115188
GI



I

AB The title compds [I; R2,R4 = H, R3R5 = bond; or R2,R3 = H, R4R5 = O; or

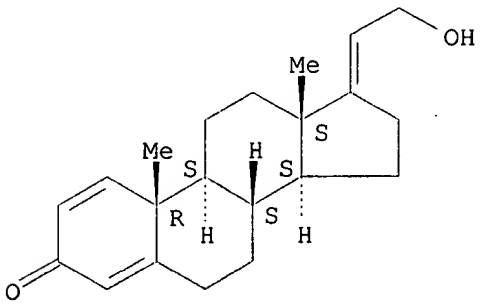
R2,R3 = H, R4,R5 = H, OH; R6 = H, Me; R7 = C(:Z)(CH2)nNR8R9; R8 = (substituted) heteroarylaminoalkyl; R10R11 = bond; R9 = H, C1-3 alkyl, C5-7 cycloalkyl, heteroarylaminoalkyl, (substituted) piperazinylalkyl, etc; n = 0-6; Z = O, CH2, (H2), (H, Me)] useful in treating head injury, spinal cord trauma, or stroke (no data), were prepd. 11.beta.,17.alpha.,21-Trihydroxypregna-1,4-diene-3,20-dione 17,21-acetonide (prepn. given) was treated with pyridinium chlorochromate/NaOAc in CH2Cl2 to give the 3,11,20-trione. The latter in THF/liq. NH3 was treated with Li metal to give octahydro-4'-[2-(5-hydroxy-2-methylphenyl)ethyl]-2,2,7'a-trimethylspiro[1,3-dioxane-4,1'[1H]indene]-5,6'(2'H)-dione. The latter was benzylated and treated with HCl in THF over 4 d at room temp. to give 3a-methyl-3.alpha.-hydroxy-7-[2-(5-phenylmethoxy-2-methylphenyl)-ethyl]-3-(.alpha.-hydroxyacetyloctahydro-5H-indene-5-one. The latter was treated with tosyl chloride in pyridine to give a mixt. of 3-chloroacetyl and 3-tosyloxyacetyl derivs., which were refluxed with [2,6-bis(1-pyrrolidinyl)-4-pyrimidinyl]piperazine and K2CO3 in MeCN. The product was refluxed with 10% Pd/C in cyclohexene/EtOAc to give 3a-methyl-3.alpha.-hydroxy-[2-(5-hydroxy-2-methylphenyl)ethyl]-3-[2-[4-(2,6-bis(1-pyrrolidinyl)-4-pyrimidinyl)-1-piperazinyl]acetyl]octahydro-5H-indene-5-one.

IT **119364-22-6**
RL: RCT (Reactant)
(reaction of, in prepn. of secosteroid drug)

RN 119364-22-6 HCAPLUS

CN Pregna-1,4,17(20)-trien-3-one, 21-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L70 ANSWER 22 OF 41 HCAPLUS COPYRIGHT 2002 ACS

AN 1986:6076 HCAPLUS

DN 104:6076

TI 17.alpha.-Hydroxy-19-norprogesterone derivatives

IN Tchernatinsky, Claude

PA Theramex S. A., Monaco

SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2

DT **Patent**

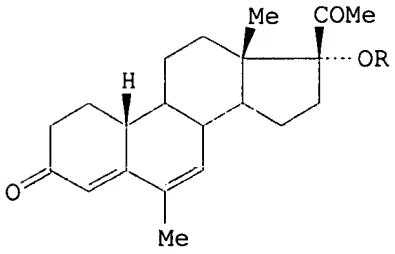
LA French

FAN.CNT 1

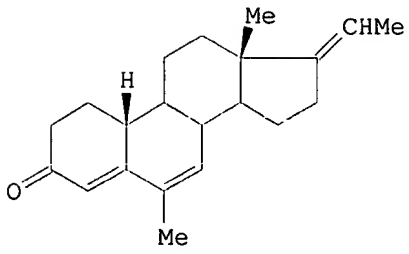
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 8501504	A1	19850411	WO 1984-FR219	19841004
W: DK, JP, US				
RW: AT, BE, CH, DE, FR, GB, LU, NL, SE				
FR 2552766	A1	19850405	FR 1983-15759	19831004
FR 2552766	B1	19870626		
ES 536488	A1	19850816	ES 1984-536488	19841003
CA 1231939	A1	19880126	CA 1984-464639	19841003

	EP 157842	A1	19851016	EP 1984-903643	19841004
	EP 157842	B1	19890719		
	R: AT, BE, CH, DE, FR, GB, LI, LU, NL, SE				
	AT 44749	E	19890815	AT 1984-903643	19841004
	US 4720357	A	19880119	US 1985-766481	19850819
PRAI	FR 1983-15759		19831004		
	EP 1984-903643		19841004		
	WO 1984-FR219		19841004		

GI



I

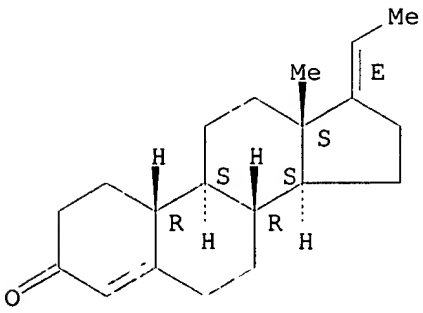


II

AB Methylnorprogesterones I (R = H, acyl) were prepd. from 3-alkoxy-19-norpregna-3,5,17(20)-trienes. Thus, Vilemeier formylation of (E)-3-methoxy-19-norpregna-3,5,17(20)-triene gave the corresponding C-6 formyl deriv. which was reduced by NaBH4 to give the hydroxymethyl deriv. which was dehydrated in MeOH contg. HCl to give (E)-6-methylene-19-norpregna-4,17(20)-dien-3-one. Isomerization of the latter in EtOH contg Pd/C gave the methylpregnatriene II which was oxidized by Me3COH contg. OsO4 and Et3N(O)-hydroperoxide complex to give II (R = H).

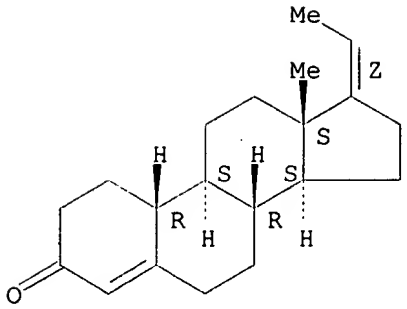
IT **98576-37-5**
RL: RCT (Reactant)
(enolization-ethylation of)
RN 98576-37-5 HCAPLUS
CN 19-Norpregna-4,17(20)-dien-3-one, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **98576-39-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and enolization-methylation of)
RN 98576-39-7 HCAPLUS
CN 19-Norpregna-4,17(20)-dien-3-one, (17Z)- (9CI) (CA INDEX NAME)

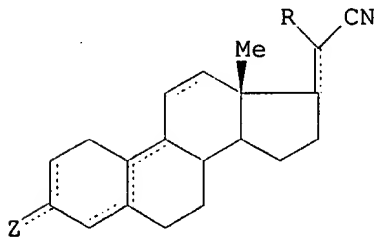
Absolute stereochemistry.
Double bond geometry as shown.



L70 ANSWER 23 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1983:540244 HCAPLUS
DN 99:140244
TI 3-Oxaestra-17-acetonitrile and unsaturated analogs and pharmaceutical compositions containing them
IN Lenz, George Richard
PA Searle, G. D., and Co., USA
SO Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 77040	A1	19830420	EP 1982-109309	19821008
	R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
	US 4389345	A	19830621	US 1981-310204	19811009
	DK 8204469	A	19830410	DK 1982-4469	19821008
	NO 8203374	A	19830411	NO 1982-3374	19821008
	AU 8289232	A1	19830414	AU 1982-89232	19821008
	JP 58072600	A2	19830430	JP 1982-177471	19821008
	ZA 8207381	A	19831130	ZA 1982-7381	19821008
	ES 516372	A1	19831216	ES 1982-516372	19821008
PRAI	US 1981-310204		19811009		

OS CASREACT 99:140244
GI



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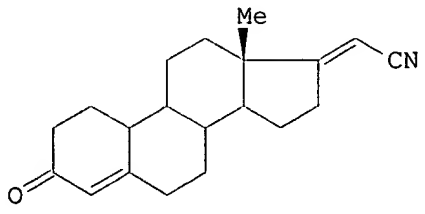
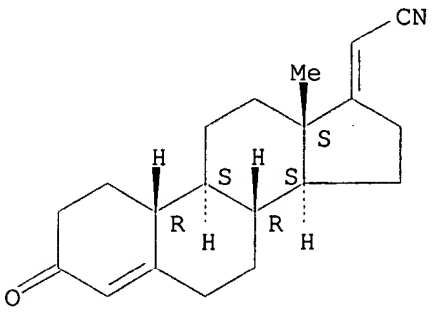


II

AB Progestational (no data) estraneacetonitriles I (R = H, H2, CO2H, alkoxycarbonyl; Z = O, HON: alkoxy, acyloxy; dotted line = optional double bond) were prepd. by Wittig condensations. Thus, condensation of (EtO)2P(O)CH2CN in MeOCH2CH2OMe contg. NaH with 19-norandrostenedione Et enol ether and subsequent hydrolysis gave norpregnadienenitrile II.
IT **87301-76-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 87301-76-6 HCAPLUS
CN 19-Norpregna-4,17(20)-diene-21-nitrile, 3-oxo- (9CI) (CA INDEX NAME)

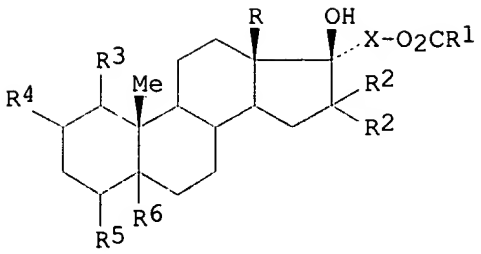
Absolute stereochemistry.
Double bond geometry unknown.



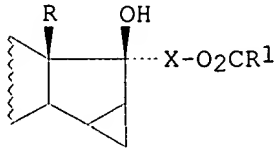
L70 ANSWER 24 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1983:198596 HCAPLUS
DN 98:198596
TI Androstane derivatives and pharmaceutical preparations containing them
IN Albring, Manfred; Bittler, Dieter; Laurent, Henry; Nickisch, Klaus; Schleusener, Annerose; Wiechert, Rudolf
PA Schering A.-G. , Fed. Rep. Ger.
SO Ger. Offen., 44 pp.
CODEN: GWXXBX
DT **Patent**
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3130644	A1	19830217	DE 1981-3130644	19810729
	IL 66311	A1	19860331	IL 1982-66311	19820714
	EP 71153	A1	19830209	EP 1982-106524	19820720
	EP 71153	B1	19860910		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 22086	E	19860915	AT 1982-106524	19820720
	DK 8203270	A	19830130	DK 1982-3270	19820721
	DK 164325	B	19920609		
	JP 58049400	A2	19830323	JP 1982-129742	19820727
	JP 03003678	B4	19910121		
	AU 8286439	A1	19841018	AU 1982-86439	19820727
	AU 558412	B2	19870129		
	CA 1210754	A1	19860902	CA 1982-408184	19820727
	NO 8202596	A	19830131	NO 1982-2596	19820728
	NO 159661	B	19881017		
	NO 159661	C	19890125		
	FI 8202658	A	19830130	FI 1982-2658	19820729
	FI 78110	B	19890228		
	FI 78110	C	19890612		
	GB 2104899	A	19830316	GB 1982-21982	19820729
	GB 2104899	B2	19850130		
	ES 514492	A1	19830416	ES 1982-514492	19820729
	ZA 8205480	A	19831130	ZA 1982-5480	19820729
	US 4457925	A	19840703	US 1982-403279	19820729
	US 4587235	A	19860506	US 1984-625147	19840627
PRAI	DE 1981-3130644		19810729		
	EP 1982-106524		19820720		
	US 1982-403279		19820729		

GI



I



II

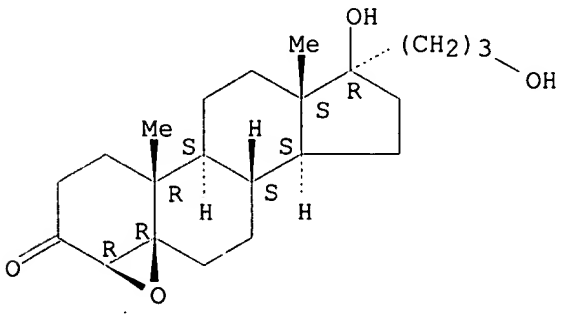
AB Androstanols I and II [R = Me, Et; R1 = H, alkyl; R2 = H, Me; R3 = H, Me, R4 = H, Cl, R3R4 = bond, CH2; R5 = H, HO, Cl, R6 = H, R5R6 = bond; X = (CH2)n, n = 2-6, CH:CH(CH2)m, C.tplbond.C(CH2)m, m = 1-4] and their 6-unsatd. derivs. were prepd. as antiseborrhea agents (no data). Thus, treating 3,3-(ethylenedioxy)-1.alpha.-methylandrost-5-en-17-one with HC.tplbond.CCH2OH in THF contg. KOEt gave 3,3-(ethylenedioxy-17-(3-hydroxy-1-propynyl)-1.alpha.-methylandrost-5-en-17.beta.-ol which underwent successive hydrogenation, acetylation, and hydrolysis to give 17-(3-acetoxypropyl)-17.beta.-hydroxy-1.alpha.-methylandrost-4-en-3-one.

IT **85756-00-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acylation of)

RN 85756-00-9 HCAPLUS

CN Androstan-3-one, 4,5-epoxy-17-hydroxy-17-(3-hydroxypropyl)-, (4.beta.,5.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L70 ANSWER 25 OF 41 HCAPLUS COPYRIGHT 2002 ACS

AN 1982:163050 HCAPLUS

DN 96:163050

TI Partial reduction of C21-steroid carboxylic acids and their esters into C21-steroid alcohols, as well as C21-steroid alcohols

IN Preuss, Wolfgang

PA Henkel K.-G.a.A., Fed. Rep. Ger.

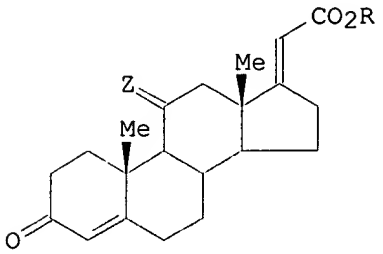
SO Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW

DT **Patent**

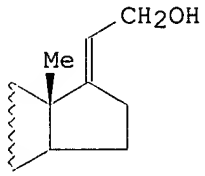
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 40355	A2	19811125	EP 1981-103421	19810506
	EP 40355	A3	19820120		
	EP 40355	B1	19840725		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AT 8002628	A	19830715	AT 1980-2628	19800516
	AT 373901	B	19840312		
	DE 3117562	A1	19820701	DE 1981-3117562	19810504
	JP 57009798	A2	19820119	JP 1981-71276	19810511
	US 4370271	A	19830125	US 1981-262969	19810512
PRAI	AT 1980-2628		19800516		

GI



I



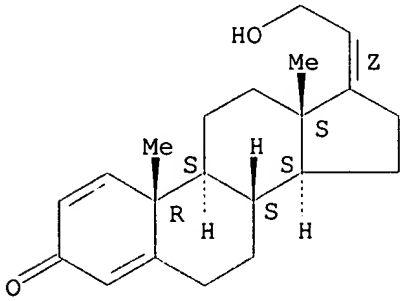
II

AB Pregnenoates I [Z = H2, HO, H, O; R = H, alkyl; optionally 1-unsatd. and/or 9(11)-unsatd.] underwent partial redn. to give the pregnenols II. Thus, redn. of Me 3-oxo-cis-pregna-1,4,17(20)-trien-21-oate by (Me2CHCH2)2AlH in toluene gave 72% 3-oxopregna-1,4,17(20)-trien-21-ol.

IT **81330-62-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acetylation of)

RN 81330-62-3 HCAPLUS
CN Pregna-1,4,17(20)-trien-3-one, 21-hydroxy-, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



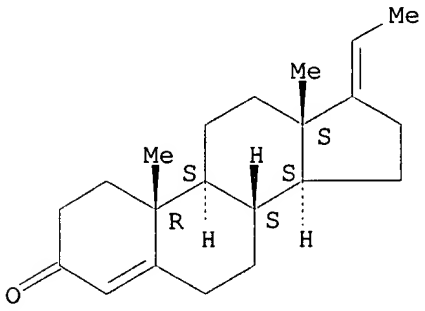
L70 ANSWER 26 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1981:587529 HCAPLUS
DN 95:187529
TI Dehydroformylation of steroidal aldehydes
IN McCombs, Charles A.; Foster, Charles H.
PA Eastman Kodak Co. , USA
SO U.S., 3 pp.

CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4272444	A	19810609	US 1980-178043	19800814

AB Dinorcholan-22-aldehydes and dinorcholen-22-aldehydes were dehydroformylated by heating at 160.degree. in the presence of a noble metal catalyst and a hydrogen acceptor. Thus, 3-oxodinor-4-cholen-22-aldehyde and benzalacetone were mixed with Pd/C and heated at 190-215.degree. to give 94% prepna-4,17(20)-dien-3-one.
IT **1667-83-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 1667-83-0 HCAPLUS
CN Pregna-4,17(20)-dien-3-one (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



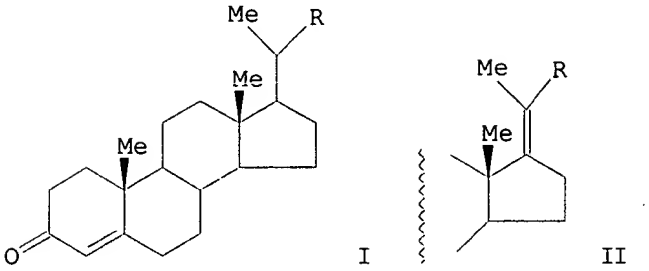
L70 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1981:407602 HCAPLUS
DN 95:7602
TI Steroid production
IN Krbechek, Leroy O.
PA Henkel Corp., USA
SO U.S., 5 pp.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 6

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4255345	A	19810310	US 1980-122397	19800219
EP 34248	A1	19810826	EP 1981-100145	19810110
EP 34248	B1	19840725		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
JP 56103200	A2	19810818	JP 1981-4558	19810114
JP 56128800	A2	19811008	JP 1981-3289	19810114
DD 156975	C	19821006	DD 1981-226986	19810114
DK 8100170	A	19810716	DK 1981-170	19810115
EP 34363	A2	19810826	EP 1981-101113	19810217
EP 34363	A3	19811104		
EP 34363	B1	19830727		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
EP 34364	A2	19810826	EP 1981-101114	19810217
EP 34364	A3	19811104		
EP 34364	B1	19841107		

R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE

	AT 4318	E	19830815	AT 1981-101113	19810217
	AT 10196	E	19841115	AT 1981-101114	19810217
PRAI	DE 1980-3001222		19800115		
	AT 1980-582		19800204		
	US 1980-122321		19800219		
	US 1980-122397		19800219		
	EP 1981-101113		19810217		
	EP 1981-101114		19810217		

GI



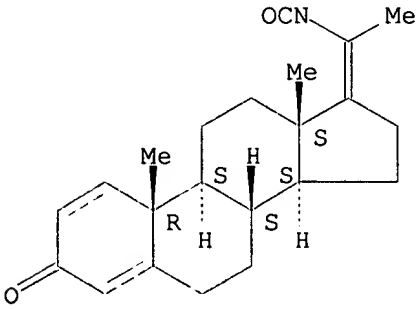
AB Pregnenes I, II, 1,2-didehydro-I, and 1,2-didehydro-II (R = NCO) were prepd. from the corresponding I and II (R = CO2H) by sequential acyl halogenation, ammonolysis or amidolysis, and treatment with Pb(OAc)4.

IT **77546-74-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 77546-74-8 HCAPLUS

CN Pregna-1,4,17(20)-trien-3-one, 20-isocyanato- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L70 ANSWER 28 OF 41 HCAPLUS COPYRIGHT 2002 ACS

AN 1981:407601 HCAPLUS

DN 95:7601

TI 17.alpha.-Hydroxypregna-1,4-diene-3,20-dione

IN Neuland, Peter; Ponsold, Kurt; Schubert, Gerd; Wunderwald, Manfred

PA Akademie der Wissenschaften der DDR, Ger. Dem. Rep.

SO Ger. (East), 6 pp.
CODEN: GEXXA8

DT **Patent**

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DD 142054	Z	19800604	DD 1979-211300	19790301

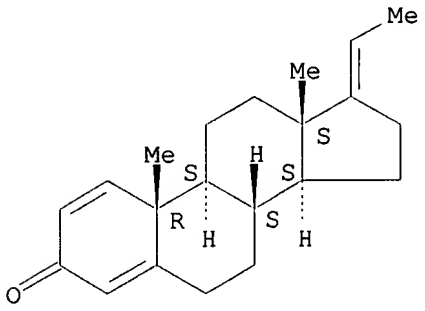
AB Treatment of 3-oxo-23,24-dinorchola-1,4-dien-22-oic acid with Pb(OAc)4 in CCl4 contg. pyridine and then with iodine gave 20-iodopregna-1,4-dien-3-one, which was treated with LiBr and Li2CO3 in DMF at 120.degree. to give pregna-1,4,17(20)-trien-3-one (I). Treatment of I with a catalytic amt. of OsO4 and excess N-methylmorpholine N-oxide peroxide gave after hydrolysis 17.alpha.-hydroxy pregna-1,4-diene-3,20-dione.

IT **77731-01-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydroxylation-oxidn. of)

RN 77731-01-2 HCAPLUS

CN Pregna-1,4,17(20)-trien-3-one (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L70 ANSWER 29 OF 41 HCAPLUS COPYRIGHT 2002 ACS

AN 1977:502532 HCAPLUS

DN 87:102532

TI Formylsteroids

IN Huebner, Michael; Schade, Wolfgang; Brendel, Hubertus; Ponsold, Kurt

PA E. Ger.

SO Ger. (East), 8 pp.
CODEN: GEXXA8

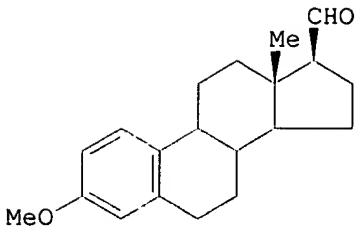
DT **Patent**

LA German

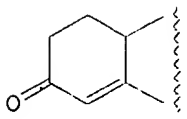
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DD 121781	Z	19760820	DD 1975-186709	19750618

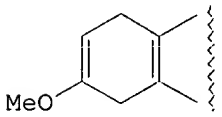
GI



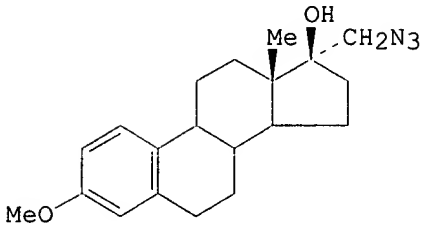
I



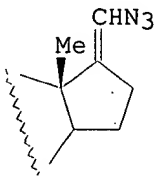
II



III



IV



V

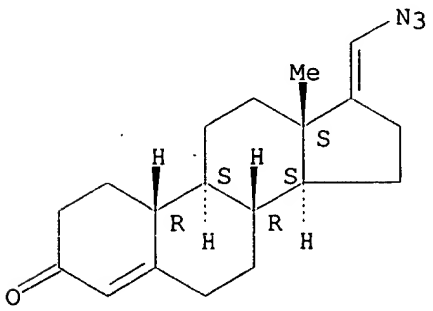
AB Formylsteroids I, II, and III, useful as intermediates in the synthesis of biol. and therapeutically active steroid derivs., were prepd. by dehydrating the corresponding 17.alpha.-(azidomethyl)-17.beta.-hydroxy deriv. and treating the resulting 17-azidomethylene deriv. with a trialkylphosphine. Thus, 17.alpha.-(azidomethyl)-17.beta.-hydroxy-3-methoxyestra-1,3,5(10)-triene (IV) was dehydrated in CH2Cl2 with MeSO2Cl to give 54% 17-azidomethylene deriv. V which was converted to 92% I in the presene of Bu3P. A mixt. of 3.alpha.- and 3.beta.-formylcholestane was also prepd.

IT **63795-54-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydration in presence of trialkylphosphine)

RN 63795-54-0 HCAPLUS

CN Estr-4-en-3-one, 17-(azidomethylene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

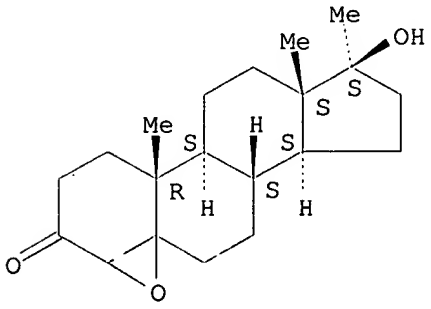


L70 ANSWER 30 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1974:37391 HCAPLUS
DN 80:37391
TI 3,5-Androstadieno-[3,4-d]-(2'-imino-3'-substituted)-thiazolines, isomers and intermediates
IN Popper, Thomas L.
PA Schering Corp.
SO U.S., 9 pp.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3772283	A	19731113	US 1973-328582	19730201

GI For diagram(s), see printed CA Issue.
AB Androstadienothiazolines I and II and their quaternary salts III (R, R1 = H, Me, Et, Pr; R = OHC; R2 = H, Me; R3 = OH; R4 = Me, C.tplbond.CH; R3R4 = O) (15 compds.) were prepd. by treating 4,5-epoxyandrostan-3-ones with RNHCSNHR1. Thus, 380 mg 4.alpha.,5-epoxy-5.alpha.-androstane-3,17-dione was refluxed with 570 mg MeNHCSNHMe to give 248 mg I (R-R2 = Me, R3R4 = O) which was treated with MeI to give III (R5 = me). Androstadienothiazolines I possessed contraceptive and antilipogenic activity, and their quaternary salts III possessed antibacterial activity.
IT **51154-09-7**
RL: RCT (Reactant)
(condensation of, with thioureas)
RN 51154-09-7 HCAPLUS
CN Androstan-3-one, 4,5-epoxy-17-hydroxy-17-methyl-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L70 ANSWER 31 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1974:27430 HCAPLUS
DN 80:27430
TI Steroid halohydrins and vinyl halides
IN Harris, Howard E.; Miskowicz, Carl J.
PA Schering A.-G.
SO U.S., 5 pp.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 1

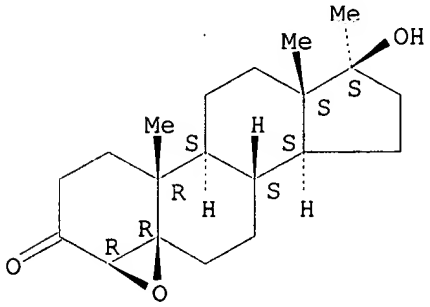
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3766225	A	19731016	US 1972-306781	19721115

GI For diagram(s), see printed CA Issue.
AB Epoxypregnenedione I reacted with MeCONMe2.HCl (II) in CHCl3 at

0-5.degree. for 2 hr to give chlorohydrin III; I reacted with II in Me2SO at 55.degree. for 100 hr to give pregnadienedione IV. Epoxysteroids V, VI (R = AcOCH2), and VII (R = H, R1 = C.tplbond.CH; R = R1 = Me) reacted analogously with II and MeCONMe2.HBr. Similarly, 6.alpha.,7.alpha.:16.alpha.,17.alpha.-diepoxy-16.beta.-methylpregn-4-ene-3,20-dione yielded 6-chloro-17-hydroxy-16-methylenepregna-4,6-diene-3,20-dione.

IT **2189-84-6**
RL: RCT (Reactant)
(reaction of, with N,N-dimethylacetamide hydrochloride)
RN 2189-84-6 HCAPLUS
CN Androstan-3-one, 4,5-epoxy-17-hydroxy-17-methyl-, (4.beta.,5.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



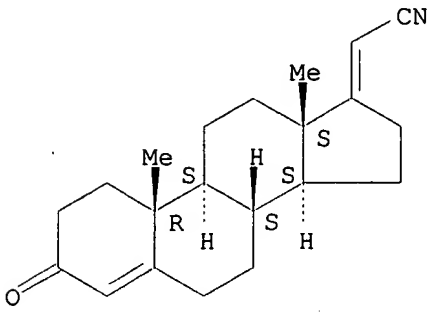
L70 ANSWER 32 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1972:462248 HCAPLUS
DN 77:62248
TI 3.beta.-Hydroxy A/B cis cholestane steroids
IN Dias, Jerry R.; Pettit, George R.
SO U.S., 7 pp.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3661941	A	19720509	US 1970-79667	19701009
	DE 2150641	A	19721026	DE 1971-2150641	19711011
PRAI	US 1970-79667		19701009		

GI For diagram(s), see printed CA Issue.
AB B-(Formyloxy)androst-5-en-17-one was treated with (EtO)2POCH2CN and NaH to give 3.beta.-(formyloxy)pregna-5,17(20)-diene-21-nitrile (I). Successive hydrogenation, Oppenauer oxidn., redn., sapon., and acylation of I gave 3.beta.-(acetyloxy)-5.beta.-pregnan-21-oic acid (II). II was reduced to the corresponding aldehyde, which was alkylated with CH2:CHCO2Me to yield the cholanate deriv. (III, R = Ac). The latter was successively hydrolyzed, lactonized, and dehydrogenated to yield 3.beta.-(acetyloxy)-5.beta.,14.alpha.-bufa-20,22-dienolide (IV). Hydroxylation of IV by Helminthosporium buchloes gave its 14-hydroxy deriv., which was dehydrogenated and epoxidized to yield resibufogenin acetate (V, R = Ac). The latter was sapond. on basic alumina and treated with LiAlH4 to give bufalin (VI, R = H).

IT **31020-63-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 31020-63-0 HCAPLUS
CN Pregna-4,17(20)-diene-21-nitrile, 3-oxo- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

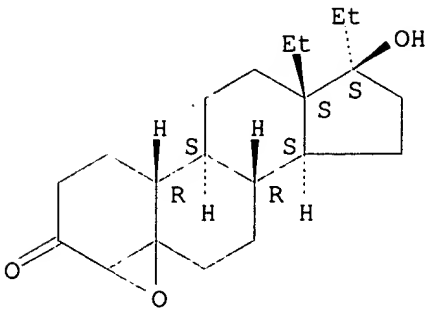


L70 ANSWER 33 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1970:43999 HCAPLUS
DN 72:43999
TI Antimicrobial amidinohydrazones of 4-halogonan-3-ones
IN Ledig, Kurt W.; Wendt, Gerhard R.
PA American Home Products Corp.
SO U.S., 7 pp.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3479341	A	19691118	US 1968-699793	19680123

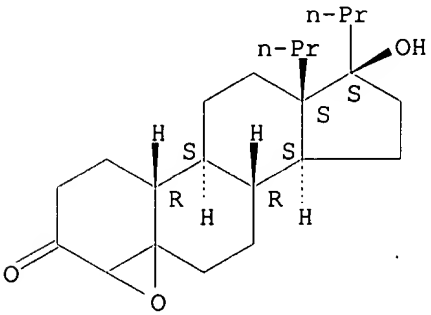
GI For diagram(s), see printed CA Issue.
AB The title compds. show activity as antimicrobial agents. A soln. of 5 g dl-13-ethyl-17.alpha.-ethynyl-17-hydroxygon-4-en-3-one in 600 ml MeOH was cooled to -7.degree., 50 ml 30% H2O2 slowly added, 16 ml 10% NaOH added at 8.degree., and the mixt. cooled to 3.degree. 30 min to yield dl-4,5-epoxido-13-ethyl-17.alpha.-ethynyl-17-hydroxygonan-3-one (I) m. 148-52.degree.. A soln. of 4.0 g I, 250 ml Me2CO, and 10 ml concd. HCl was stirred 2 hr to yield 2.4 g dl-4-chloro-13-ethyl-17.alpha.-ethynyl-17-hydroxygon-4-en-3-one (II), m. 169-70.degree.. II (500 mg) was added to a soln. of 500 mg aminoguanidine nitrate in 35 ml MeOH, 2.0 ml 7% HNO3 added, and the mixt. stirred overnight to yield 530 mg II amidinohydrazone nitrate salt hydrate (IIa) m. 270.degree. (decompn.). A soln. of 2.0 g I in 160 ml CHCl3 was cooled to -65.degree., a mixt. of 4.5 ml tetrahydrofuran and 3.2 ml HF added, and the mixt. stirred 4 hr and k ept .apprx.16 hr at 22.degree. to yield 13-ethyl-17.alpha.-ethynyl-4-fluoro-17-hydroxygon-4-en-3-one, m. 188-90.degree.. Similarly prepd. were dl-4,5-epoxido-13,17.alpha.-diethyl-17-hydroxygonan-3-one, dl-4-chloro-13,17.alpha.-diethyl-17-hydroxygon-4-en-3-one, m. 151-2.degree. [amidinohydrazone nitrate salt m. 240.degree. (decompn.)]; dl-4-bromo-13-ethyl-17.alpha.-ethynyl-17-hydroxygon-4-en-3-one, m. 114-15.degree. [amidinohydrazone nitrate salt m. 265.degree. (decompn.)]; dl-4,5-epoxido-17.beta.-hydroxy-13,17-dipropylgonan-3-one, m. 60-70.degree., and dl-4-chloro-17.beta.-hydroxy-13,17-dipropylgon-4-en-3-one, m. 93-9.degree. (amidinohydrazone nitrate salt m. 219.degree.).
IT **25073-78-3P 25073-83-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 25073-78-3 HCAPLUS
CN 18,19-Dinor-17.alpha.-pregnan-3-one, 4,5-epoxy-13-ethyl-17-hydroxy-, (.+-.)- (8CI) (CA INDEX NAME)

Relative stereochemistry.



RN 25073-83-0 HCAPLUS
CN Gonan-3-one, 4,5-epoxy-17.beta.-hydroxy-13,17-dipropyl-, (.+-.)- (8CI) (CA INDEX NAME)

Relative stereochemistry.



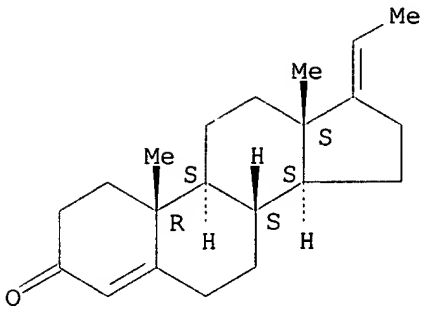
L70 ANSWER 34 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1969:461676 HCAPLUS
DN 71:61676
TI 3-Oxopregn-17(20)-enes
IN Krieger, Bernhard; Blanke, Egbert; Kaspar, Emanuel
PA Schering A.-G.
SO Ger., 3 pp. Addn. to Ger. 1211193
CODEN: GWXXAW
DT **Patent**
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1297603		19690619	DE	19640808

AB The title compds. are prepd. by the cleavage of the corresponding 20-halo compds. Thus, 200 mg. AcOK was added to a soln. of 75 mg. 20-iodopregn-4-en-3-one in 18 ml. AcOH. The mixt. was stirred 4 hrs. at 110.degree., then poured into ice water, CH2Cl2 added, the org. phase sepd., and worked up to give pregna-4,17(20)-dien-3-one, m. 130-4.degree.. Similarly prepd. were 5.beta.-pregn-17(20)-en-3-one, m. 140-1.degree., and pregna-4,6,17(20)-trien-3-one, m. 103-4.degree..
IT **1667-83-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 1667-83-0 HCAPLUS
CN Pregna-4,17(20)-dien-3-one (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



L70 ANSWER 35 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1969:461669 HCAPLUS
DN 71:61669
TI 13-Alkyl-10-methylgon-4-en-3-ones and their androgenic derivatives
IN Strike, Donald P.; Herbst, David R.; Smith, Herchel
PA American Home Products Corp.
SO Fr., 17 pp.
CODEN: FRXXAK
DT **Patent**
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 1529949		19680621		
PRAI	US		19660519		

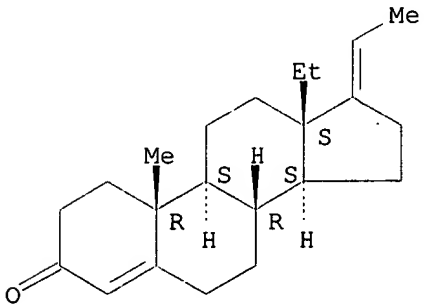
AB The title compds. (I) are prepd. from dl-13-ethyl-17.beta.-hydroxygon-4-ene (IIa), and d-estr-4-en-17.beta.-ol (IIb). Thus, 13.5 g. IIa was acetylated with Ac2O-C5H5N to give the 17-acetate, m. 93-4.degree. (hexane). This (4.7 g.) was treated in 100 ml. dioxane and 25 ml. H2O with 3.4 g. N-bromosuccinimide (NBS))and 1 ml. 70% HClO4 in 5 ml. H2O 75 min. and worked up, and the crude bromohydrin treated with CrO3 in aq. H2SO4 and acetone 20 min. to yield dl-5.xi.-bromo-13.beta.-ethyl-17.beta.-acetoxygonan-4-one (III), m. 137-8.degree. (acetone-hexane). A soln. of 27 g. III in 150 ml. C5H5N was refluxed 1 hr. and worked up to give 20.2 g. dl-13.beta.-ethyl-17.beta.-acetoxygon-5(10)-en-4-one (IV), m. 143-4.degree. (acetone-hexane). A soln. of 2.7 ml. HCN in 50 ml. tetrahydrofuran was added slowly under N to a cooled mixt. of 82 ml. 25% Et2AlBr in a mixt. of heptane and tetrahydrofuran (THF), followed by 7.9 g. IV in 75 ml. THF, and the mixt. kept 5 hrs. at room temp. and worked up to give 8.82 g. dl-10.beta.-cyano-13.beta.-ethyl-17.beta.-acetoxy-5.alpha.-gonan-4-one, m. 183-5.degree. (acetone-hexane), which (5 g.) was converted into the 4-ethylene ketal, refluxed 18 hrs. with LiAlH4 in THF under N, worked up, the crude 10.beta.-iminomethylene deriv. (6 g.) stirred 2 hrs. at 140-50.degree. with 30 g. KOH and 30 ml. NH2NH2.H2O in 420 ml. diethylene glycol, and the mixt. heated to 210.degree., refluxed 6 hrs., and worked up to give 4.3 g. 10.beta.-methyl deriv., and this refluxed 15 min. with acetone contg. 10 ml. concd. HCl to yield dl-13.beta.-ethyl-17.beta.-hydroxy-10.beta.-methyl-5.alpha.-gonan-4-one (V), m. 182-4.degree. (acetone-hexane); 17-acetate m. 174-6.degree.. A soln. of V 17-acetate (1.1 g.) in Et2O was kept 2 days with 10 ml. HCO2Et contg. 2 g. NaOMe, the mixt. worked up, and the resulting dl-17.beta.-acetoxy-13.beta.-ethyl-3-hydroxymethylene-10.beta.-methylgonan-4-one in a mixt. of AcOH, CH2Cl2, and H2O treated with aq. NaNO2 at 0.degree. 45 min. and worked up to give 0.9 g. dl-17.beta.-acetoxy-3-oximino-5.alpha.-androstan-4-one, which was refluxed 10 hrs. with 5 ml. AcCO2H, 35 ml. AcOH, and 15 ml. H2O and worked up to yield dl-13.beta.-ethyl-4,17.beta.-dihydroxy-10.beta.-methylgon-4-en-3-one 17-acetate (VI), m. 184-6.degree. (acetone-hexane).

A mixt. of 5 g. VI and 5 ml. MeSO2Cl in 100 ml. C5H5N was refluxed 16 hrs. and worked up to give 4.2 g. dl-13.beta.-ethyl-4,17.beta.-dihydroxy-10.beta.-methylgon-4-en-3-one 17-acetate 4-methanesulfonate, m. 211-13.degree. (acetone-hexane), which (1 g.) was hydrogenated in EtOAc over 0.2 g. 10% Pd/C to give dl-13.beta.-ethyl-4.xi., 17.beta.-dihydroxy-10.beta.-methyl-5.xi.-gonan-3-one 17-acetate 4-methanesulfonate (VII), m. 187-8.degree. (acetonehexane). A mixt. of 0.54 g. VII, 2 g. LiCl, 1.2 g. Li2CO3, and 75 ml. Me2NCHO was stirred 4 hrs. at 140.degree. under N and worked up to give dl-13.beta.-ethyl-17.beta.-hydroxy-10.beta.-methylgon-4-en-3-one 17-acetate, m. 159-60.degree. (acetone-hexane), which was refluxed with KOH in aq. MeOH to yield the free 17-ol analog (VIII), m. 198-200.degree. (acetone); subsequent treatment of 0.35 g. VIII with p-MeC6H4SO3H and HOCH2CH2OH in C6H6 gave the 3-ethylene ketal, which was refluxed with MeCOEt and C6H6 0.5 hr., concd., 0.5 g. (isoPrO)3Al in C6H6 added, and the mixt. refluxed 4 hrs. and worked up to give 0.26 g. dl-13.beta.-ethyl-3,3-ethylenedioxy-10.beta.-methylgon-5-en-17-one (IX), m. 162-6.degree.. A soln. of 1 g. IX in 35 ml. Me2NCHO was treated with 0.7 g. LiC.tplbond.CHNH2CH2CH2NH2 complex 2 hrs., worked up, and the 17.alpha.-ethynyl-17.beta.-ol ketal deriv. (X) treated with HClO4 in THF 2 hrs. to yield 0.31 g. dl-13.beta.-ethyl-17.alpha.-ethynyl-17.beta.-hydroxy-10.beta.-methylgon-4-en-3-one, m. 205-7.degree. (acetone-hexane). X (1.2 g.) in EtOAc and C6H6 was hydrogenated over 0.6 g. 2% PdO/SrCO3 for 2.5 hrs., and the resultant d,l-13.beta.,17.alpha.-diethyl-3,3-ethylenedioxy-17.beta.-hydroxy-10.beta.-methylgon-5-ene (XI) treated with HClO4 as above to yield dl-13.beta.,17.alpha.-diethyl-17.beta.-hydroxy-10.beta.-methylgon-4-en-3-one, m. 132-3.degree. (acetone-hexane). X was refluxed 2 hrs. with Ac2O, AcCl, and C5H5N to give the 17-acetate, which (10 g.) in 300 ml. EtOH was refluxed 6 hr. with 200 ml. Dowex 50 ion exchange resin [pretreated with H2SO4 and Hg(OAc)2], worked up, and the residue refluxed 5 hrs. with C6H6 and HOCH2CH2OH contg. p-MeC6H4SO3H and worked up to give 17.alpha.-acetyl-17.beta.-acetoxy-13.beta.-ethyl-3,3-ethylenedioxy-10.beta.-methyl-gon-5-ene (XII). A soln. of 2.4 g. XII in THF was added to a stirred mixt. of 1 g. Li in 100 ml. liq. NH3; after 30 min. 80 ml. MeOH and then 0.5 g. Li were added, and the soln. was stirred 10 min. and worked up to give 13.beta.-ethyl-3,3-ethylenedioxy-17.beta.-(1-hydroxyethyl)-10.beta.-methyl-gon-5-ene (XIII). Oxidn. of 9.7 g. XIII with 8.82 g. CrO3 in C5H5N at 10-15.degree. 10 min. gave 17.beta.-acetyl-13.beta.-ethyl-3,3-ethylenedioxy-10.beta.-methylgon-5-ene (XIV), which was treated 1.5 hrs. at 25.degree. with p-Me-C6H4SO3H.H2O in Me2CO and the resulting 17.beta.-acetyl-13.beta.-ethyl-10.beta.-methylgon-4-en-3-one stirred 4 hrs. at room temp. with Pb(OAc)4 in C6H6 and MeOH contg. BF3.Et2O and worked up to yield 17.beta.-(2-acetoxyacetyl)-13.beta.-ethyl-10.beta.-methylgon-4-en-3-one (XV). The corresponding 3-ethylene ketal deriv. was similarly prepd. from XIV, and then treated with p-MeC6H4-SO3H.H2O to give XV. POCl3 (20 ml.) was added dropwise (2 hrs.) to a stirred soln. of 10 g. XI in 50 ml. C5H5N, and the mixt. refluxed 2 hrs. and worked up to give 13.beta.,17-diethyl-3,3-ethylenedioxy-10.beta.-methylgona-5,17(20)-diene, which was treated with p-MeC6H4SO3H.H2O and the resulting 3-ketone (3.8 g.) in 200 ml. tert-BuOH contg. 9.3 ml. C5H5N and 1.9 ml. H2O was treated with 3.8 g. N-methylmorpholine oxide, 8 g. Ph iodosoacetate, and 40 mg. OsO4 at 0.degree. 2 days and worked up to give 17.beta.-acetyl-13.beta.-ethyl-17.alpha.-hydroxy-10.beta.-methylgon-4-en-3-one, which with Ac2O-AcOH-p-MeC6H4SO3H in 16 hrs. at 25.degree. yielded the 17-acetate. A stirred mixt. of 0.8 g. X in THF was treated with 6 ml. 3M MeMgBr in THF, and the mixt. refluxed 24 hrs. under CO2 and worked up to yield 3-(13.beta.-ethyl-17.beta.-hydroxy-10.beta.-methylgon-4-en-3-on-17.alpha.-yl)propynoic acid, which (0.37 g.) in 60 ml. MeOH was hydrogenated over 0.1 g. 2% Pd/SrCO3 to give the lactone (XVI) of 3-(13.beta.-ethyl-17.beta.-hydroxy-10.beta.-methylgon-4-en-3-on-17.alpha.-yl)propionic acid. A mixt. of 0.5 g. XVI, 9 ml. Ac2O, 3.5 ml. AcCl, and 0.35 ml. C5H5N was refluxed 2 hrs., worked up, and the resultant lactone of 3-(3-acetoxy-13.beta.-ethyl-17.beta.-hydroxy-10.beta.-methylgona-3,5-dien-17.alpha.-yl)propionic acid (XVII) in 47.3 ml. Me2CO treated with

0.275 g. NBS, then 15 ml. H2O contg. 0.32 ml. C5H5N, 1.5 ml. AcOH, and 1.5 g. NaOAc, and the mixt. stirred 2 hrs. and worked up to yield the lactone of 3-(13.beta.-ethyl-17.beta.-hydroxy-10.beta.-methylgona-4,6-dien-3-on-17.alpha.-yl)propionic acid, which was refluxed with AcSH 2 hrs. and concd. under vacuum to give the lactone of 3-(7.alpha.-acetylthio-13.beta.-ethyl-17.beta.-hydroxy-10.beta.-methylgon-4-en-3-on-17.alpha.-yl)propionic acid. A soln. of IX in THF was treated 2 hrs. at room temp. with 3M HClO4 and worked up to give dl-13.beta.-ethyl-10.beta.-methylgon-4-ene-3,17-dione. The above synthesis was repeated using IIb to give successively: its 17-acetate, m. 81-2.degree.; d-5.xi.-bromo-17.beta.-acetoxyestr-4-one, m. 151-3.degree.; d-17.beta.-acetoxyestr-5(10)-en-4-one, m. 140.5-42.degree.; d-10.beta.-cyano-17.beta.-acetoxy-5.alpha.-estr-4-one, m. 201-3.degree.; d-17.beta.-hydroxy-5.alpha.-androstan-4-one; its 17-acetate d-17.beta.-acetoxy-3-hydroxymethylene-5.alpha.-androstan-4-one; its 3-oximino analog; d-4-hydroxytestosterone 17-acetate, m. 187-9.degree., [.alpha.]D 82.4.degree. (CHCl3); d-4-mesyloxytestosterone 17-acetate, m. 185-7.degree.; d-4.xi.,17.beta.-dihydroxy-5.xi.-androstan-3-one 17-acetate [4-mesylate, m. 174-5.degree. (decompn.)]; and d-testosterone acetate, m. 137-9.degree. (acetonehexane). Many of the compds. display androgenic, anabolic, progestative and/or anti-estrogenic activity.

IT **23634-09-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 23634-09-5 HCAPLUS
CN 18-Norpregna-4,17(20)-dien-3-one, 13-ethyl- (8CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L70 ANSWER 36 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1968:497006 HCAPLUS
DN 69:97006
TI Pregnenes from ethyltris(alkylamino)phosphonium iodides and 17-ketosteroids
PA Hoffmann-La Roche, F., und Co., A.-G.
SO Brit., 6 pp.
CODEN: BRXXAA
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1117160		19680619		
PRAI	US		19660303		

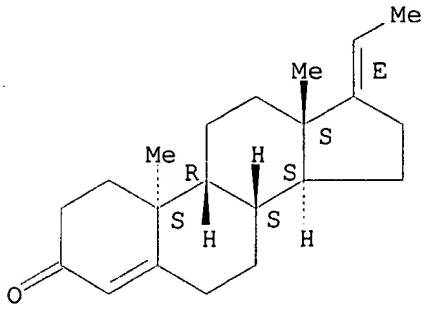
AB EtI (75 ml.) was stirred under N with 38.5 g. tris(dimethylamino)phosphine 0.75 hr. to give ethyltris(dimethylamino)phosphonium iodide (I). A soln. of 336 mg. NaH (54% dispersion) and 7 cc. Me2SO was stirred at 70-5.degree. under N for 0.75 hr. and treated with I in 15 cc. MeSO,

followed by 500 mg. estrone Me ether in 15 cc. C6H6. The mixt. was heated overnight at 105.degree. and chromatographed to give a mixt. of cis- and trans-3-methoxy-19-norpregna-1,3,5(10),17(20)-tetraene. Similarly prepd. were ethylbis(dimethylamino) phosphonium iodide; ethyl(diethylamino)diphenylphosphonium idodide; cis- and iodide; cis- and trans-5,5-ethylenedioxy-9.beta.,10.beta.-de-A-17(20)-pregnene; and trans-9.beta.,10.alpha.-pregna-4,17(20)-dien-3-one.

IT **19888-69-8P 19888-70-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

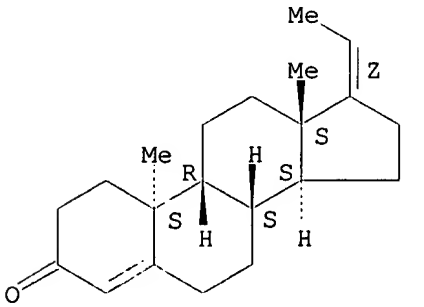
RN 19888-69-8 HCAPLUS
CN 9.beta.,10.alpha.-Pregna-4,17(20)-dien-3-one, (E)- (8CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 19888-70-1 HCAPLUS
CN 9.beta.,10.alpha.-Pregna-4,17(20)-dien-3-one, (Z)- (8CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L70 ANSWER 37 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1968:477614 HCAPLUS
DN 69:77614
TI Novel 9.beta.,10.alpha.-steroids
IN Reerink, Engbert H.; Scholer, Hendrik F. L.; Westerhof, Pieter
PA Hoffmann-La Roche, F., and Co., A.-G.
SO Brit., 10 pp.
CODEN: BRXXAA
DT **Patent**
LA English
FAN.CNT 1

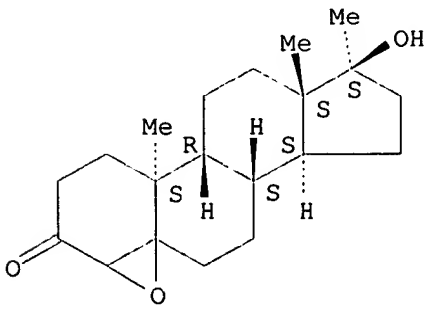
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1106099		19680313	GB	19650719

AB 3-Pyrrolidino-9.beta.,10.alpha.-androsta-3,5-dien-17-one (5 g.) was dissolved in 150 ml. tetrahydrofuran and 25 ml. H2O, cooled to -10.degree., a stream of perchloryl fluoride passed through the soln. 0.5 hr., excess fluoride blown out with a stream of N, the soln. poured into ice-H2O, extd. with CH2Cl2, the exts. washed, dried, evapd. to dryness, and the residue held at room temp. 16 hrs. with 50 ml. HCONMe2 and 5 ml. HCl to give 4-fluoro-9.beta.,10.alpha.-androst-4-ene-3,17-dione (I), m. 160-1.degree., [.alpha.]25D -49.degree. (dioxane). I (0.5 g.) and 450 mg. 2,3-dichloro-5,6-dicyanobenzoquinone in 20 ml. dioxane contg. 6.5% HCl was stirred 1 hr. at 25.degree., 4 g. NaHCO3 added, stirred 0.5 hr., and filtered through Al2O3 to give 4-fluoro-9.beta.,10.alpha.-androsta-4,6-diene-3,17-dione, m. 179-80.degree., [.alpha.]25D -400.degree. (dioxane). I (1 g.) was dissolved in 70 ml. anhyd. Et2O, 0.5 g. LiAlH4 in 17 ml. anhyd. Et2O added at 0.degree. over 5 min., and stirred 0.25 hr. at 0.degree. to give 4-fluoro-17.beta.-hydroxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 120-1.degree., [.alpha.]25D -129.degree. (dioxane). Prepd. similarly were: 4-fluoro-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one (II), m. 174-5.degree., [.alpha.]25D -555.degree. (dioxane), and 4-fluoro-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-1,4,6-trien-3-one, m. 189-90.degree., [.alpha.]25D -439.degree. (dioxane). II (0.5 g.), 50 mg. p-toluenesulfonic acid, 50 ml. isopropenyl acetate, and 17 ml. C6H6 was refluxed together 130 hrs. with H2O removal to give 200 mg. 3,17.beta.-diacetoxy-4-fluoro-9.beta.,10.alpha.-androsta-2,4,6-triene, m. 132-3.degree., [.alpha.]25D -426.degree. (dioxane). Prepd. similarly were: 3-pyrrolidino-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-3,5-diene; 4-fluoro-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4-en-3-one, m. 58-9.degree., [.alpha.]25D -115.degree. (dioxane); and 4-fluoro-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 150-2.degree., [.alpha.]25D -521.degree. (dioxane). Cl (1.5 g.) in 20 ml. HOAc was added over 10 min. to 6.3 g. 17.alpha.-ethyl-17.beta.-hydroxy-9.beta.,10.alpha.-androst-4-en-3-one in 100 ml. C5H5N at 10.degree., and stirred 1 hr. at room temp. to give 4-chloro-17.alpha.-ethyl-17.beta.-hydroxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 123-4.degree., [.alpha.]25D -139.degree. (dioxane). Prepd. similarly was 4-chloro-17.alpha.-ethyl-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 167-8.degree., [.alpha.]25D -596.degree. (dioxane). Sulfuryl chloride (410 mg.) was added dropwise at 15.degree. to 0.5 g. 17.beta.-acetoxy-9.beta.,10.alpha.-androst-4-en-3-one in 5 ml. C5H5N, stirred 1 hr. at room temp., and poured into dil. HCl to give 4-chloro-17.beta.-acetoxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 155-6.degree., [.alpha.]25D -107.degree. (dioxane). Prepd. similarly was 4-chloro-17.alpha.-methyl-17.beta.-acetoxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 139-41.degree., [.alpha.]25D 120.degree. (dioxane). 9.beta.,10.alpha.-Androst-4-ene-3,17-dione (10 g.) in 40 ml. C6H6 and 150 ml. MeOH was chilled, 10 l. 10% NaOH and 20 ml. 30% aq. H2O2 added, kept 90 hrs. at 4.degree., poured into 1 l. H2O, and extd. with 300 ml. C6H6 to give 5.7 g. 4.beta.,5.beta.-epoxy-9.beta.,10.alpha.-androstane-3,17-dione (III), m. 180-1.degree., [.alpha.]25D -69.degree. (dioxane). Concn. of the mother liquor gave 0.4 g. 4.alpha.,5.alpha.-epoxy-9.beta.,10.alpha.-androstane-3,17-dione, m. 153.5-4.5.degree., [.alpha.]25D 107.degree. (dioxane). HCl (4.4 ml.) was added to 4.4 g. III in 88 ml. Me2CO, and kept 4 hrs. at room temp. to give 1.2 g. 4-chloroandrost-4-ene-3,17-dione, m. 141.5-2.0.degree., [.alpha.]25D -17.degree. (dioxane). Prepd. similarly were: 4.xi.,5.xi.-epoxy-17.beta.-hydroxy-9.beta.,10.alpha.-androstan-3-one, m. 118-19.degree., [.alpha.]25D -110.degree. (dioxane); 4-bromo-17.beta.-acetoxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 154-6.degree., [.alpha.]25D -110.degree. (dioxane); 4-chloro-17.alpha.-methyl-17.beta.-acetoxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 132-4.degree., [.alpha.]25D -525.degree. (dioxane); and 4-chloro-17.alpha.-methyl-17.beta.-acetoxy-9.beta.,10.alpha.-androsta-1,4,6-trien-3-one, m. 165-7.degree., [.alpha.]25D -403.degree. (dioxane). N-Bromosuccinimide (0.75 g.) was added with stirring to 0.86 g. 17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one in 90 ml.

dioxane, 50 ml. H2O, and 0.1 ml. 70% perchloric acid, and held 3 days at room temp. to give 4-bromo-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 134.degree. (decompn.), [.alpha.]25D -536.degree. (dioxane). Prepd. similarly were: 4-bromo-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 121-2.degree. (decompn.), [.alpha.]25D -559.degree. (dioxane); 4-hydroxy-17.beta.-acetoxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 165-6.degree., [.alpha.]25D -131.degree. (dioxane); 4.xi.,5.xi.-epoxy-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androstan-3-one, m. 129-30.degree., [.alpha.]25D -154.degree. (dioxane); and 4,17.beta.-dihydroxy-17.alpha.-methyl-9.beta.,10.alpha.-androst-4-en-3-one, m. 120-2.degree., [.alpha.]25D -138.degree. (dioxane). Pt catalyst (300 mg.) was added to 3.02 g. 17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androst-4-en-3-one in 100 ml. toluene, shaken with H, and filtered to give 17.alpha.-methyl-17.beta.-hydroxy-5.alpha.,9.beta.,10.alpha.-androstan-3-one (IV), m. 121-3.degree., [.alpha.]25D -18.degree. (dioxane). IV (2.2 g.) in 70 ml. tert-BuOH was mixed with 3 g. tert-BuOK, and kept at room temp. 18 hrs. to give 4,17.beta.-dihydroxy-17.alpha.-methyl-9.beta.,10.alpha.-androst-4-en-3-one (V). V (2.2 g.), 35 ml. C5H5N, and 6 ml. Ac2O were kept 2 hrs. at room temp. to give 4-acetoxy-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 167-8.degree., [.alpha.]25D -132.degree. (dioxane). Prepd. similarly were: 4-methoxy-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 122-4.degree., [.alpha.]25D -137.degree. (dioxane); 4-methoxy-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 170-2.degree., [.alpha.]25D -566.degree. (dioxane); 4-hydroxy-9.beta.,10.alpha.-androsta-4,6-diene-3,17-dione, m. 257-9.degree., [.alpha.]25D -532.degree. (dioxane); 4,17.beta.-dihydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 178-9.degree., [.alpha.]25D -588.degree. (dioxane); and 4,17.beta.-dihydroxy-17.alpha.-methyl-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 193-4.degree., [.alpha.]25D -603.degree. (dioxane). Cl in CCl4 (45 ml. 2%) was added to 3 g. 17.beta.-acetoxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one in 60 ml. C5H5N and 120 ml. CHCl3 at -5.degree., and kept 10 min. to give 1.15 g. 4-chloro-17.beta.-acetoxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 191-4.degree.. Prepd. similarly was 4-chloro-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 155-6.degree..

IT **16318-59-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 16318-59-5 HCAPLUS
CN 9.beta.,10.alpha.-Androstan-3-one, 4,5-epoxy-17.beta.-hydroxy-17-methyl- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



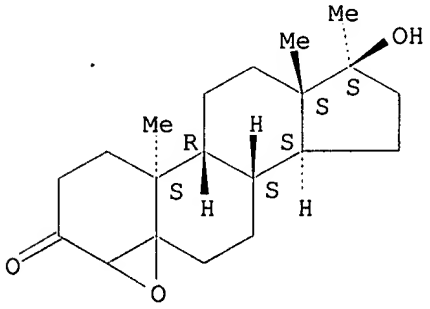
L70 ANSWER 38 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1968:477605 HCAPLUS
DN 69:77605

TI 4,5-Epoxy-9.beta.,10.alpha.-steroids
IN Reerink, Engbert H.; Scholer, Hendrik F. L.; Westerhof, Pieter
PA Hoffmann-La Roche, F., and Co., A-G.
SO Brit., 2 pp. Division of Brit. 1106099
CODEN: BRXXAA
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1106100		19680313	GB	19650719

AB Division of Brit. 1,106,099. 9.beta.,10.alpha.-Androst-4-en-3,17-dione (10 g.) in 40 cc. benzene and 150 cc. MeOH was cooled to 4.degree. and treated with 10 cc. 10% NaOH and 20 cc. 30% aq. H2O2 for 90 hrs. The mixt. was poured into 1 l. H2O and extd. with benzene to give 5.7 g. 4.beta.,5.beta.-epoxy-9.beta.,10.alpha.-androsta-3,17-dione, m. 180-1.degree., [.alpha.]25D -69.degree. (dioxane). Concn. of mother liquor gave 0.4 g. of the 4.alpha.,5.alpha.-epoxy isomer, m. 153.5-4.5.degree., [.alpha.]25D 107.degree. (dioxane). Similarly prepd. were 4.xi.,5.xi.-epoxy-17.beta.-hydroxy-9.beta.,10.alpha.-androstan-3-one, m. 118-19.degree., [.alpha.]25D-110.degree. (dioxane), and 4.xi.,5.xi.-epoxy-17.alpha.-methyl-17.beta.-hydroxyandrostan-3-one, m. 129-30.degree., [.alpha.]25D - 154.degree. (dioxane). Cf. CA 69:77614k.
IT **16318-59-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 16318-59-5 HCAPLUS
CN 9.beta.,10.alpha.-Androstan-3-one, 4,5-epoxy-17.beta.-hydroxy-17-methyl- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



L70 ANSWER 39 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1967:517083 HCAPLUS
DN 67:117083
TI 4-Substituted 9.beta.,10.alpha.-steroids
PA Hoffmann-La Roche, F., und Co., A.-G.
SO Neth. Appl., 19 pp.
CODEN: NAXXAN
DT **Patent**
LA Dutch
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6509353		19670123	NL	19650720

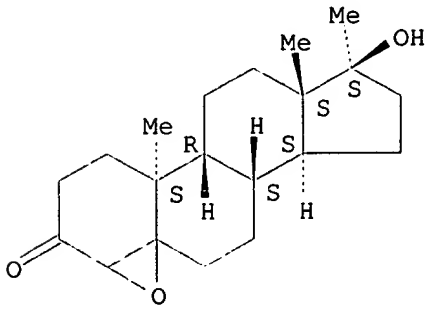
GI For diagram(s), see printed CA Issue.
AB By passing FClO3 30 min. through a soln. of 5.0 g. 3-pyrrolidinyl-9.beta.,10.alpha.-androsta-3,5-dien-17-one in 150 cc. tetrahydrofuran and 25 cc. H2O 3.5 g. product was obtained, which was dissolved in 50 cc.

HCONMe2 (DMF) and 5 cc. concd. HCl. The mixt. was kept 16 hrs. at room temp. to give 4-fluoro-9.beta.,10.alpha.-androst-4-ene-3,17-dione (I), m. 160-1.degree. (Me2CO-hexane), [.alpha.]D -49.degree. (all [.alpha.]D at 25.degree., dioxane). Also prepd. was 4-fluoro-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 58-9.degree. (Et2O), [.alpha.]D -115.degree.. To 1.0 g. I in 70 cc. abs. Et2O, was added at 0.degree. in 5 min. 0.5 g. LiAlH4 in 70 cc. abs. Et2O and the mixt. stirred 15 min. To the resulting product in 60 cc. CHCl3 was added 6.0 g. MnO2 and the mixt. stirred 6 hrs. to give 4-fluoro-17.beta.-hydroxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 120-1.degree., [.alpha.]D -129.degree.. Similarly prepd. was 4-fluoro-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one (II), m. 174-5.degree., [.alpha.]D -555.degree.. A soln. of 0.5 g. I and 450 mg. 2,3-dichloro-5,6-dicyanobenzoquinone (DDQ) in 20 cc. dioxane contg. 6.5% gaseous HCl was stirred 1 hr. at 25.degree. to give 4-fluoro-9.beta.,10.alpha.-androsta-4,6-diene-3,17-dione, m. 179-80.degree. (Me2CO-hexane, [.alpha.]D -400.degree.. Similarly prepd. were 4-fluoro-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 150-2.degree. (Et2O-iso-Pr2O), [.alpha.]D -521.degree., 4-methoxy-17-.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 170-2.degree., [.alpha.]D -566.degree., and 4-acetoxy-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 201-2.degree. (Me2CO-hexane), [.alpha.]D -516.degree.. A soln. of 1.7 g. II and 1.7 g. DDQ in 100 cc. dioxane contg. 100 mg. gaseous HCl was stirred 1.5 hrs. to give 4-fluoro-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-1,4,6-trien-3-one, m. 189-90.degree. (Me2CO-hexane), [.alpha.]D -439.degree.. A mixt. of 0.5 g. II, 50 mg. p-MeC6H4SO3H, 15 cc. isopropenyl acetate, and 70 cc. C6H6 was refluxed 130 hrs., H2O being sepd., to give 200 mg. 3,17.beta.-diacetoxy-4-fluoro-9.beta.,10.alpha.-androsta-2,4,6-triene, m. 132-3.degree. (MeOH), [.alpha.]D -426.degree.. To 6.3 g. 17.alpha.-ethyl-17.beta.-hydroxy-9.beta.,10.alpha.-androst-4-en-3-one in 100 cc. C5H5N was added at 10.degree. in 10 min. 1.5 g. Cl in 20 cc. AcOH. The mixt. was stirred 1 hr. at room temp. to give 4-chloro-17.alpha.-ethyl-17.beta.-hydroxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 123-4.degree. (Me2CO-iso-Pr2O), [.alpha.]D -139.degree.. 17.alpha.-Ethyl-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one yielded the corresponding 6-chloro compd., m. 167-8.degree. (Me2CO-hexane), [.alpha.]D -596.degree.. To 0.5 g. 17.beta.-acetoxy-9.beta.,10.alpha.-androst-4-en-3-one in 5 cc. C5H5N was added dropwise at 15.degree. 410 mg. SO2Cl2. The mixt. was stirred at room temp. 1 hr. to give 4-chloro-17.beta.-acetoxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 155-6.degree. (Me2CO-hexane), [.alpha.]D -107.degree.. Similarly prepd. were 4-chloro-17.alpha.-methyl-17.beta.-acetoxy-9b,10.alpha.-androst-4-en-3-one (IIa), m. 139-41.degree., [.alpha.]D -120.degree., 4-chloro-17.alpha.-methyl-17.beta.-acetoxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 132-4.degree. (Me2CO-hexane), [.alpha.]D -525.degree., and 4-chloro-17.alpha.-methyl-17.beta.-acetoxy-9.beta.,10.alpha.-androsta-1,4,6-trien-3-one, m. 165-7.degree., [.alpha.]D -403.degree.. DMF may be used instead of C5H5N. To 10 g. 9.beta.,10.alpha.-androst-4-ene-3,17-dione (III) in 40 cc. C6H6 and 150 cc. MeOH at 0.degree. was added 10% NaOH and 20 cc. 30% H2O2, and the mixt. kept 90 hrs. at 4.degree. to give 5.7 g. 4.beta.,5.beta.-epoxy-9.beta.,10.alpha.-androstane-3,17-dione (IV), m. 180-1.degree. (EtOH), [.alpha.]D -69.degree., and 0.4 g. 4.alpha.,5.alpha.-epoxy-9.beta.,10.alpha.-androstane-3,17-dione (V), m. 153.5-4.5.degree. (EtOH), [.alpha.]D 107.degree.. From the mother liquor 0.6 g. IV and 1.2 g. V were obtained. Similarly prepd. were 4.xi.,5.xi.-epoxy-17.beta.-hydroxy-9b,10.alpha.-androstan-3-one, (VI), m. 118-19.degree. (iso-Pr2O), [.alpha.]D -110.degree., and 4.xi.,5.xi.-epoxy-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androstan-3-one (VII), m. 129-30.degree. (iso-Pr2O), [.alpha.]D -154.degree.. A mixt. of IV, 4.4 cc. concd. HCl, and 88 cc. Me2CO was kept 4 hrs. at room temp. to give 4-chloro-9.beta.,10.alpha.-androst-4-ene-3,17-dione, m. 141-2.degree.

(EtOH), [.alpha.]D -17.degree.. To 3 g. VI in 20 cc. AcOH and 5 cc. CH2Cl2 at 25.degree. was added 4 cc. 33% HBr in AcOH. After 2 hrs. the mixt. was worked up to give 4-bromo-17.beta.-acetoxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 154-6.degree. (iso-Pr2O), [.alpha.]D -110.degree.. N-Bromosuccinimide (0.75 g.) was added while stirring to 0.86 g. 17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one in 90 cc. dioxane, 15 cc. H2O, and 0.1 cc. 70% HClO4 and the mixt. kept 3 days at room temp. to give 4-bromo-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 134.degree. (Me2CO-hexane), [.alpha.]D -536.degree.. Similarly prepd. was 4-bromo-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one, m. 121-2.degree., [.alpha.]D -559.degree.. To 1.0 g. VI in 10 cc. AcOH was added while stirring 0.8 cc. 95% H2SO4 and the mixt. kept 18 hrs. at room temp. to give 4-hydroxy-17.beta.-acetoxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 165-6.degree. (MeOH), [.alpha.]D -131.degree.. To 16.0 g. VII in 800 cc. MeOH was added 150 cc. H2O and 15 cc. concd. H2SO4 and the mixt. kept 20 hrs. at room temp. to give 4,17.beta.-dihydroxy-17.alpha.-methyl-9.beta.,10.alpha.-androst-4-en-3-one (VIII), m. 120-2.degree. (Et2O-hexane), [.alpha.]D -138.degree.; VIII acetate m. 167-8.degree. (CH2Cl2-Et2O), [.alpha.]D -132.degree.. A soln. of 3.02 g. 17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androst-4-en-3-one in 100 cc. PhMe contg. 300 mg. Pt catalyst was hydrogenated with 300 cc. H to give 17.alpha.-methyl-17.beta.-hydroxy-5.alpha.,9.beta.,10.alpha.-androstan-3-one (IX), m. 121-3.degree., [.alpha.]D -18.degree.. A mixt. of 2.2 g. IX, 3 g. K tert-butylate, and 70 cc. tert-butanol was kept 18 hrs. at room temp. to give VIII. A soln. of 5.0 g. VII in 320 cc. 5% KOH in MeOH was refluxed 4 hrs. under N to give 4-methoxy-17.alpha.-methyl-17.beta.-hydroxy-9.beta.,10.alpha.-androst-4-en-3-one, m. 122-3.degree. (Et2O-iso-Pr2O), [.alpha.]D -137.degree.. Air was passed 1 hr. through a soln. of 2.0 g. III and 5.0 g. K tert-butylate in 90 cc. tert-butanol to give 4-hydroxy-9.beta.,10.alpha.-androsta-4,6-diene-3,17-dione, m. 257-9.degree., [.alpha.]D -532.degree.. Similarly prepd. were 4,17.beta.-dihydroxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one (X), m. 178-9.degree., [.alpha.]D -588.degree., and the 17.alpha.-Me deriv. of X, m. 193-4.degree., [.alpha.]D -603.degree.. To a soln. of 3 g. 17.beta.-acetoxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one in 60 cc. C5H5N and 120.degree. cc. CHCl3 was added 45 cc. of a soln. of 2% Cl (wt./vol.) in CCl4. After 10 min. H2O was added and the mixt. worked up to give 1.15 g. 4-chloro-17.beta.-acetoxy-9.beta.,10.alpha.-androsta-4,6-dien-3-one (XI), m. 191-4.degree. (CH2Cl2-Et2O). Similarly prepd. was the 17.alpha.-Me deriv. of XI, m. 155-6.degree.. Uv data are reported.

IT **16318-59-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 16318-59-5 HCAPLUS
CN 9.beta.,10.alpha.-Androstan-3-one, 4,5-epoxy-17.beta.-hydroxy-17-methyl- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



L70 ANSWER 40 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1967:491033 HCAPLUS
DN 67:91033
TI Preparation of 17.alpha.-hydroxy-20-oxo steroid derivatives
IN Bucourt, Robert; Tessier, Jean
PA Roussel-UCLAF
SO Fr., 4 pp.
CODEN: FRXXAK
DT **Patent**
LA French
FAN.CNT 1

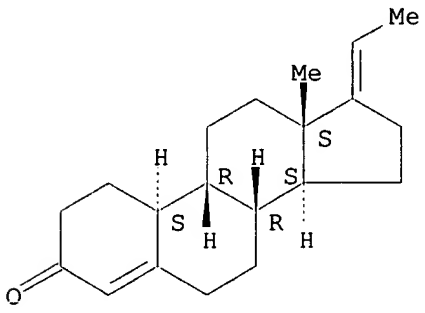
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 1453221		19660923	FR	19630807

AB The prepn. of the title derivs., by treatment of a 17 oxo steroid with an ethylidene phosphorane, is described. Thus, 4.8 g. 55% NaH in mineral oil was added to 40 cc. dry Me2SO and the mixt. was stirred for 45 min. under N at 80-5.degree., cooled, combined with 39 g. Ph3EtPBr in 80 cc. dry Me2SO, and stirred for 0.25 hr. A soln. of 0.6 g. 3-oxo-9.beta.,10.alpha.,17.beta.-hydroxy-4-estrene in 120 cc. Me2CO was treated with 218 mg. CrO3 in 0.2 cc. H2SO4 and 12 cc. water, stirred 4 hrs. at room temp., pptd. in water, and extd. with CH2Cl2. The exts. were washed with aq. NaHCO3 and dried to give 540 mg. 3,17-dioxo-9.beta.,10.alpha.,4-estrene, m. 135.degree.. A mixt. of 1.005 g. of this compd. and 2 cc. pyrrolidine was treated with 30 cc. MeOH to give 1.07 g. 3-pyrrolidinyl-17-oxo-9.beta.,10.alpha.-estra-3,5-diene (I), m. 160.degree.. To the above Me2SO suspension, 3.1 g. I was added and the mixt. was stirred 23 hrs. under N at 50-5.degree., cooled, and taken up in water and C6H6. The C6H6 layer was washed and extd. with N HCl. The acid soln. was left 1 hr., made alk. with N soda, extd. with CH2Cl2, washed, dried, and evapd. The product was chromatographed over Mg silicate and eluted with CH2Cl2 contg. 0.5% Me2CO to give 1.344 g. 3-oxo-19-nor-9.beta.,10.alpha.-pregna-4,17(20)-diene (II), m. 90.degree. (iso-Pr2O). A soln. of 1.344 g. II in 80 cc. tert-BuOH was treated with 2 cc. of a soln. of 0.17 g. OsO4 in 6 cc. pyridine, stirred 40 min. at ambient temp., mixed with 1.44 g. triethylamine oxide peroxide added over 40 min., stirred 15 min., to give 0.66 g. 3,20-dioxo-17.alpha.-hydroxy-19-nor-9.beta.,10.alpha.-pregn-4-ene (III) m. 255.degree. (Me2CO and EtOH). A soln. of 0.465 g. III in 2.3 cc. HOAc was treated with 0.23 cc. Ac2O contg. 1% H2SO4, left at 20.degree. for 16 hrs., mixed with 0.25 cc. MeOH, dild. with water, and extd. with CH2Cl2. The crude product was taken up in 30 cc. EtOAc, chromatographed on Mg silicate, and eluted with 5% Me2CO in CH2Cl2 to give 3,20-dioxo-17.alpha.-acetoxy-19-nor-9.beta.,10.alpha.-pregn-4-ene, m. 188.degree. (iso-PrO and EtOH). A mixt. of 68 cc. dioxane, 10.1 g. Ph3EtPBr, and 11.4 cc. of 2.2N BuLi in hexane was stirred 40 min. at ambient temp., 15 cc. solvent was removed by distn. in 30 min., and 1.002 g. 3,3-ethylenedioxy - 11.beta. - hydroxy - 17 - oxo- 19 - norandrost - 5 - ene - 10.beta. - carboxylic acid 10,11-lactone was added. The soln. was refluxed 5 hrs., poured over ice, and extd. with ether. The exts. were washed, dried, evapd., chromatographed on Mg silicate, and eluted with 40% ether in CH2Cl2 to give a 60-70% yield of 3,3-ethylenedioxy-11.beta.-hydroxy-19-norpregna-5,17(20)-diene-10.beta.-carboxylic acid 10,11-lactone (IV), m. 205.degree.. A soln. of 1.214 g. IV in 80 cc. tert-BuOH was treated with 2 cc. of a soln. contg. 152 mg. OsO4 in 6 cc. pyridine, stirred 40 min. at 35.degree., combined with 1.32 g. triethylamine oxide peroxide added over 1 hr., stirred 15 min., poured into 1 l. water contg. 5 g. Na2SO3, and extd. with ether to give 0.718 g. 3,3-ethylenedioxy-11.beta.,17.alpha.-dihydroxy-20-oxo-19-norpregn-5-ene-10.beta.-carboxylic acid 10,11-lactone, m. 272.degree. (EtOAc).

IT **2645-94-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 2645-94-5 HCAPLUS
CN 19-Norpregna-4,17(20)-dien-3-one, (9.beta.,10.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



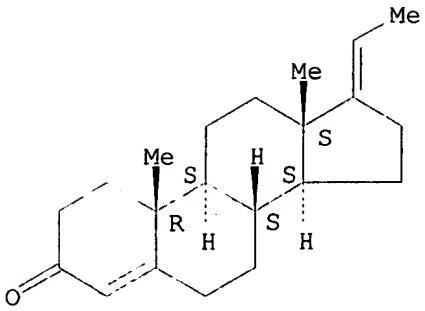
L70 ANSWER 41 OF 41 HCAPLUS COPYRIGHT 2002 ACS
AN 1967:115876 HCAPLUS
DN 66:115876
TI 17(20)-Pregnenes
PA Schering A.-G.
SO Brit., 3 pp. Division of Brit. 1053608
CODEN: BRXXAA
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB 1053609		19670104		
PRAI DE		19621124		

AB Division of Brit. 1,053,608 (see Fr. 1,377,660, CA 62, 11880a). The title compds. are prepd. by treating a 20-halo-21-unsubstituted pregnane deriv. with a hydrogen halide eliminating agent in the presence of a solvent. Specified agents are Li salts in Me2NCHO, Ag2CrO4 in aq. Me2CO, KOAc in glacial AcOH, and KOH in EtOH. Thus, 1.5 g. 20-iodo-4-pregnen-3-one (I) in 100 ml. EtOH and 120 ml. 10% ethanolic KOH soln. was refluxed 13/4 hrs., poured into ice-H2O, extd. with CH2Cl2, the exts. worked up and the product chromatographed on Al2O3 using petroleum ether-benzene to yield 820 mg. 4,17(20-)pregnadien-3-one (II), m. 136-7.degree. (iso-PrOH). Similarly, a mixt. of 28 g. I in 700 cc. dry Me2NCHO, 28 g. LiBr, and 7 g. Li2CO3 was stirred 1.5 hrs. at 80.degree., cooled, and worked up to give 18.7 g. II, also prepd. by refluxing 10-chloro- (or bromo)-4-pregnen-3-one with 5% ethanolic KOH for 19 hrs. Again, 870 mg. 4,20-dibromo-5.beta.-pregnan-3-one (prepd. by irradn. of bis-norcholan-3-one-22-acid (sic) in CCl4 contg. Br and Pb(OAc)4) was treated with LiCl, LiBr, and Li2CO3 in Me2NCHO to yield II. Likewise, 20-iodo-5.beta.-pregnan-3-one and its 20-chloro- and bromoanalogs gave 5.beta.-pregn-17(20)-en-3-one, m. 140-1.degree. (acetone); 1 g. I and 1 g. Ag2CrO4, 24 cc. H2O and 140 cc. Me2CO was stirred 17 hrs., then concd. under vacuum and extd. with Et2O to give II.

IT. **1667-83-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 1667-83-0 HCAPLUS
CN Pregna-4,17(20)-dien-3-one (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

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CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 17:34:55 ON 12 JUN 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

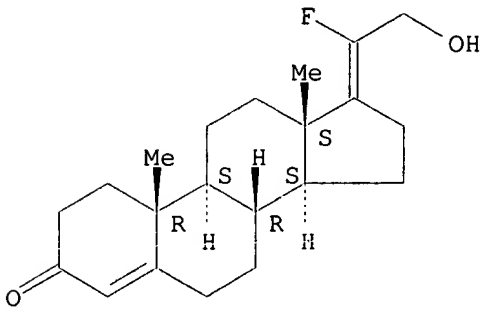
=> d l74 bib abs hitstr tot

L74  ANSWER 1 OF 23  USPATFULL
AN     2002:32724  USPATFULL
TI     20-Fluoro-17(20)-vinyl steroids
IN     Peet, Norton P., North Andover, MA, UNITED STATES
       Weintraub, Philip M., Warren, NJ, UNITED STATES
       Burkhart, Joseph P., Plainfield, IN, UNITED STATES
       Gates, Cynthia A., Cambridge, MA, UNITED STATES
PI     US 2002019548      A1   20020214
AI     US 2001-886818     A1   20010621 (9)
PRAI   GB 2001-1523        20010119
       US 2001-290881P     20010514 (60)
       US 2000-214561P     20000627 (60)
DT     Utility
FS     APPLICATION
LREP   AVENTIS PHARMACEUTICALS, INC., PATENTS DEPARTMENT, ROUTE 202-206, P.O.
       BOX 6800, BRIDGEWATER, NJ, 08807-0800
CLMN   Number of Claims: 40
ECL    Exemplary Claim: 1
DRWN   No Drawings
LN.CNT 2407
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB     The invention related to 20.xi.-fluoropregna-4,17(20)-dien-3-on-21-oic
       acid ethyl ester, 20.xi.-fluoro-3.beta.-hydroxypregna-4,17(20)-dien-21-
       oic acid ethyl ester, 20.xi.-fluoro-21-hydroxypregna-4,17(20)-dien-3-
       one, 20.xi.-fluoropregna-4,17(20)-dien-3.beta.,21-diol and related
       compounds and to compositions incorporating these compounds, as well as
       the inhibition of C.sub.17,20 lyase, 5.alpha.-reductase and
       C.sub.17-hydroxylase, and to the use of these compounds in the treatment
       of androgen and estrogen mediated or dependent disorders, including
       benign prostatic hyperplasia, prostate cancer, breast cancer and
       DHT-mediated disorders such as acne and hirsutism. Treatment of
       disorders related to the over synthesis of cortisol, for example,
       Cushing's Syndrome are also included. The treatment of
       androgen-dependent disorders also includes a combination therapy with
       known androgen-receptor antagonists, such as flutamide. The compounds of
       the invention have the following general formulae:   ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
```

IT **383858-78-4P**
(prepn. of fluoropregnenes as C17,20 lyase and 5.alpha.-reductase inhibitors)
RN 383858-78-4 USPATFULL
CN Pregna-4,17(20)-dien-3-one, 20-fluoro-21-hydroxy- (9CI) (CA INDEX NAME)

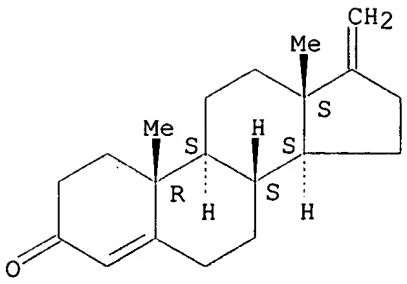
Absolute stereochemistry.
Double bond geometry unknown.



L74 ANSWER 2 OF 23 USPATFULL
AN 2001:231274 USPATFULL
TI Steroids as neurochemical stimulators of the VNO to alleviate pain
IN Berliner, David L., San Mateo County, CA, United States
Monti-Bloch, Luis, Salt Lake City, UT, United States
PA Pherin Pharmaceuticals, Inc., Menlo Park, CA, United States (U.S. corporation)
PI US 6331534 B1 20011218
AI US 1997-919621 19970828 (8)
RLI Continuation-in-part of Ser. No. US 1996-725862, filed on 4 Oct 1996, now abandoned Continuation-in-part of Ser. No. US 1996-686092, filed on 23 Jul 1996, now patented, Pat. No. US 6057439 Continuation-in-part of Ser. No. US 1996-625268, filed on 29 Mar 1996, now patented, Pat. No. US 6066627 Continuation-in-part of Ser. No. US 1994-286073, filed on 4 Aug 1994
DT Utility
FS GRANTED
EXNAM Primary Examiner: Jordan, Kimberly
LREP Heller Ehrman White & McAuliffe LLP
CLMN Number of Claims: 68
ECL Exemplary Claim: 1
DRWN 278 Drawing Figure(s); 146 Drawing Page(s)
LN.CNT 5304
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a method of alleviating pain. The method comprises nasally administering a steroid which is a human vomeropherin, such that the vomeropherin binds to a specific neuroepithelial receptor. The steroid or steroids is/are preferably administered in the form of a pharmaceutical composition containing one or more pharmaceutically acceptable carriers.

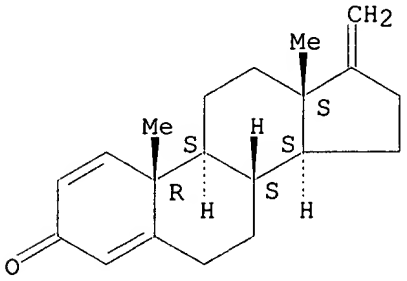
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **846-45-7P 161061-86-5P 379738-50-8P**
(steroids as neurochem. stimulators of the VNO to alleviate pain)
RN 846-45-7 USPATFULL
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



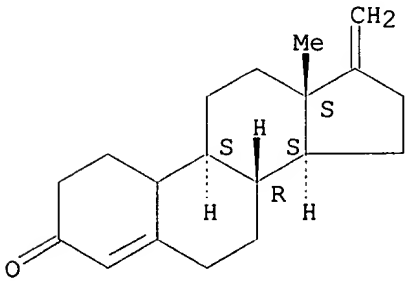
RN 161061-86-5 USPATFULL
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



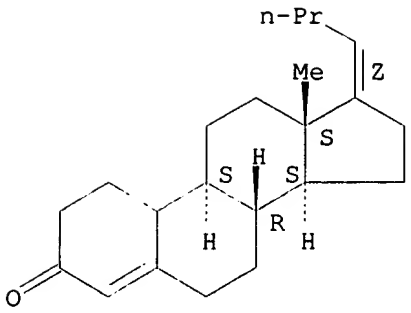
RN 379738-50-8 USPATFULL
CN Estr-4-en-3-one, 17-methylene-, (10.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **379738-52-0P**
(steroids as neurochem. stimulators of the VNO to alleviate pain)
RN 379738-52-0 USPATFULL
CN 19,21-Dinorchola-4,17(20)-dien-3-one, (10.xi.,17Z)- (9CI) (CA INDEX NAME)

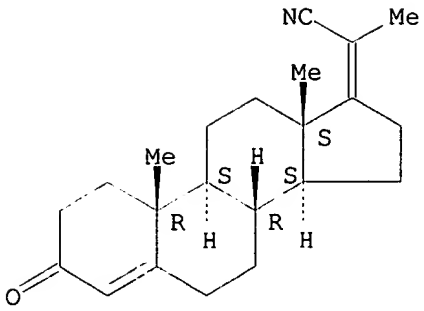
Absolute stereochemistry.
Double bond geometry as shown.



L74 ANSWER 3 OF 23 USPATFULL
AN 2000:138366 USPATFULL
TI Androgen synthesis inhibitors
IN Brodie, Angela, Fulton, MD, United States
Ling, Yangzhi, Beijing, China
PA University of Maryland at Baltimore, Baltimore, MD, United States (U.S. corporation)
PI US 6133280 20001017
AI US 1999-307714 19990510 (9)
RLI Division of Ser. No. US 1997-795932, filed on 5 Feb 1997, now patented, Pat. No. US 5994334
DT Utility
FS Granted
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Sripada, Pavanaram K
LREP Burns, Doane, Swecker & Mathis, LLP
CLMN Number of Claims: 13
ECL Exemplary Claim: 1
DRWN 2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 1438
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to novel inhibitors of androgen synthesis that are useful in the treatment of prostate cancer and benign prostatic hypertrophy. The present invention also provides methods of synthesizing these novel compounds, pharmaceutical compositions containing these novel compounds, and methods of treating prostate cancer and benign prostatic hypertrophy using the androgen synthesis inhibitors of the present invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **68550-57-2P**
(17.alpha.-hydroxylase/C17,20-lyase and 5.alpha.-reductase inhibitory activity of; pregnene derivs. as androgen synthesis inhibitors)
RN 68550-57-2 USPATFULL
CN Pregna-4,17(20)-diene-20-carbonitrile, 3-oxo- (9CI) (CA INDEX NAME)

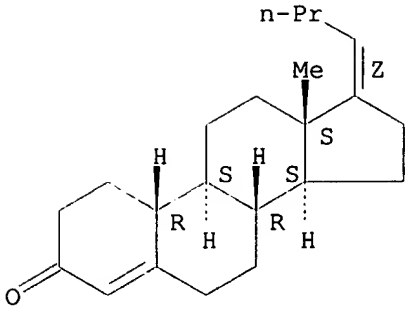
Absolute stereochemistry.
Double bond geometry unknown.



L74 ANSWER 4 OF 23 USPATFULL
AN 2000:121503 USPATFULL
TI Steroids as neurochemical stimulators of the VNO to treat paroxistic tachycardia
IN Jennings-White, Clive L., Salt Lake City, UT, United States
Berliner, David L., Atherton, CA, United States
Adams, Nathan W., West Jordan, UT, United States
Monti-Bloch, Luis, Salt Lake City, UT, United States
PA Pherin Pharmaceuticals, Inc., Menlo Park, CA, United States (U.S. corporation)
PI US 6117860 20000912
AI US 1997-899094 19970723 (8)
RLI Continuation-in-part of Ser. No. US 1996-725862, filed on 4 Oct 1996 which is a continuation-in-part of Ser. No. US 1996-686092, filed on 23 Jul 1996 which is a continuation-in-part of Ser. No. US 1996-625268, filed on 29 Mar 1996 which is a continuation-in-part of Ser. No. US 1994-286073, filed on 4 Aug 1994, now patented, Pat. No. US 5563131
DT Utility
FS Granted
EXNAM Primary Examiner: Jordan, Kimberly
LREP Heller Ehrman White & McAuliffe LLP
CLMN Number of Claims: 70
ECL Exemplary Claim: 1
DRWN 221 Drawing Figure(s); 148 Drawing Page(s)
LN.CNT 5773
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a method of alleviating the symptoms of PMS and anxiety. The method comprises nasally administering a steroid which is a human vomeropherin, such that the vomeropherin binds to a specific neuroepithelial receptor. The steroid or steroids is/are preferably administered in the form of a pharmaceutical composition containing one or more pharmaceutically acceptable carriers.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **200511-34-8P**
(prepn. of steroids as neurochem. stimulators of VNO to alleviate symptoms of PMS and anxiety)
RN 200511-34-8 USPATFULL
CN 19,21-Dinorchola-4,17(20)-dien-3-one, (17Z)- (9CI) (CA INDEX NAME)

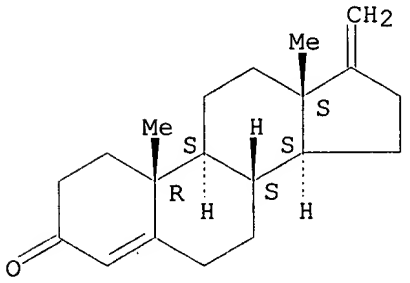
Absolute stereochemistry.
Double bond geometry as shown.



IT **846-45-7P 161061-86-5P 177856-18-7P**
(prepn. of steroids as neurochem. stimulators of VNO to alleviate symptoms of PMS and anxiety)

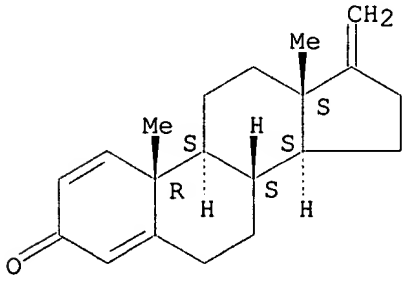
RN 846-45-7 USPATFULL
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



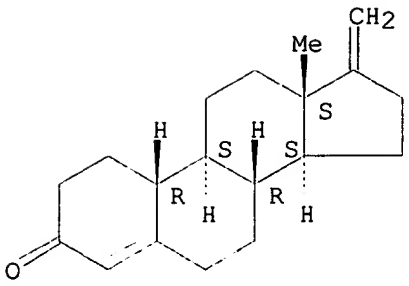
RN 161061-86-5 USPATFULL
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177856-18-7 USPATFULL
CN Estr-4-en-3-one, 17-methylene- (9CI) (CA INDEX NAME)

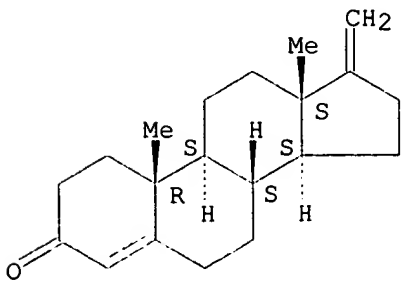
Absolute stereochemistry.



L74 ANSWER 5 OF 23 USPATFULL
AN 2000:64853 USPATFULL
TI Steroids as neurochemical initiators of change in human blood levels of LH
IN Jennings-White, Clive L., Salt Lake City, UT, United States
Berliner, David L., Atherton, CA, United States
Adams, Nathan W., Salt Lake City, UT, United States
PA Pherin Corporation, Menlo Park, CA, United States (U.S. corporation)
PI US 6066627 20000523
AI US 1996-625268 19960329 (8)
RLI Continuation-in-part of Ser. No. US 1994-286073, filed on 4 Aug 1994, now patented, Pat. No. US 5563131, issued on 8 Oct 1996
DT Utility
FS Granted
EXNAM Primary Examiner: Jordan, Kimberly
LREP Heller Ehrman White & McAuliffe
CLMN Number of Claims: 68
ECL Exemplary Claim: 1
DRWN 207 Drawing Figure(s); 135 Drawing Page(s)
LN.CNT 4967
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a method of altering the blood levels of LH or FSH in an individual. The method comprises nasally administering a steroid which is a human vomeropherin, such that the vomeropherin binds to a specific neuroepithelial receptor. The steroid or steroids is/are preferably administered in the form of a pharmaceutical composition containing one or more pharmaceutically acceptable carriers.

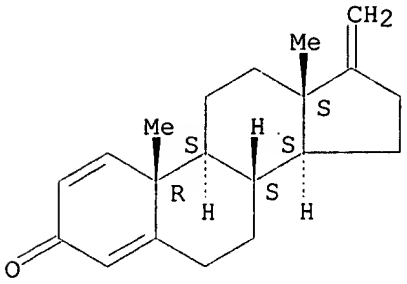
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **846-45-7P 161061-86-5P 177856-18-7P**
(prepn. of steroids as neurochem. initiators of change in human blood levels of LH)
RN 846-45-7 USPATFULL
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



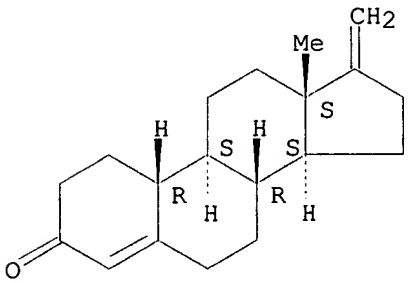
RN 161061-86-5 USPATFULL
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177856-18-7 USPATFULL
CN Estr-4-en-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L74 ANSWER 6 OF 23 USPATFULL
AN 2000:54222 USPATFULL
TI Steroids as neurochemical stimulators of the VNO to alleviate symptoms of PMS and anxiety
IN Jennings-White, Clive L., Salt Lake City, UT, United States
Berliner, David L., Atherton, CA, United States
Adams, Nathan W., Salt Lake City, UT, United States
Monti-Bloch, Luis, Salt Lake City, UT, United States
PA Pherin Corporation, Menlo Park, CA, United States (U.S. corporation)
PI US 6057439 20000502
AI US 1996-686092 19960723 (8)
RLI Continuation-in-part of Ser. No. US 1996-625268, filed on 29 Mar 1996 which is a continuation-in-part of Ser. No. US 1994-286073, filed on 4 Aug 1994, now patented, Pat. No. US 5563131
DT Utility
FS Granted
EXNAM Primary Examiner: Houtteman, Scott W.
LREP Heller Ehrman White & McAuliffe
CLMN Number of Claims: 12
ECL Exemplary Claim: 1
DRWN 273 Drawing Figure(s); 145 Drawing Page(s)
LN.CNT 5096
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a method of alleviating the symptoms of PMS and anxiety. The method comprises nasally administering a steroid which is a human vomeropherin, such that the vomeropherin binds to a specific neuroepithelial receptor. The steroid or steroids is/are preferably administered in the form of a pharmaceutical composition containing one

or more pharmaceutically acceptable carriers.

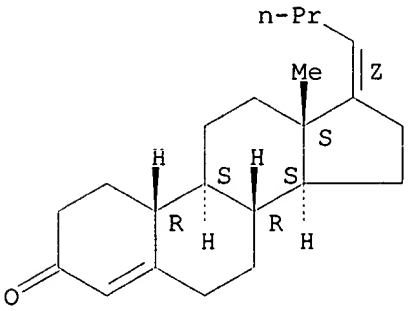
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **200511-34-8P**
(prepn. of steroids as neurochem. stimulators of VNO to alleviate symptoms of PMS and anxiety)

RN 200511-34-8 USPATFULL

CN 19,21-Dinorchola-4,17(20)-dien-3-one, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

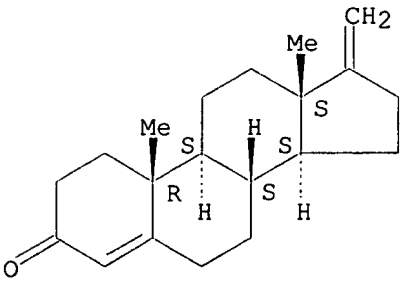


IT **846-45-7P 161061-86-5P 177856-18-7P**
(prepn. of steroids as neurochem. stimulators of VNO to alleviate symptoms of PMS and anxiety)

RN 846-45-7 USPATFULL

CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

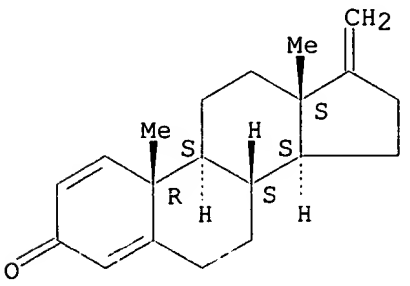
Absolute stereochemistry.



RN 161061-86-5 USPATFULL

CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

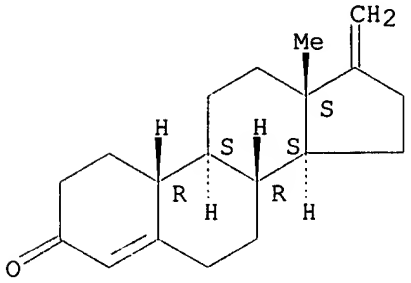
Absolute stereochemistry.



RN 177856-18-7 USPATFULL

CN Estr-4-en-3-one, 17-methylene- (9CI) (CA INDEX NAME)

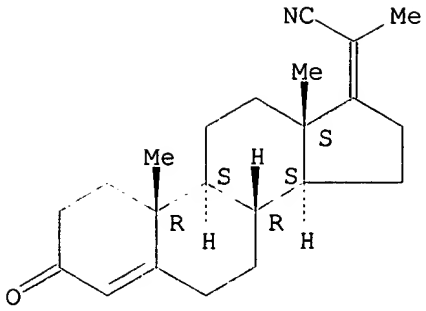
Absolute stereochemistry.



L74 ANSWER 7 OF 23 USPATFULL
AN 1999:155717 USPATFULL
TI Androgen synthesis inhibitors
IN Brodie, Angela, Fulton, MD, United States
Ling, Yangzhi, Beijing, China
PA University of Maryland, Baltimore, MD, United States (U.S. corporation)
PI US 5994334 19991130
AI US 1997-795932 19970205 (8)
DT Utility
FS Granted
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: Sripada, Pavanaram K
LREP Burns, Doane, Swecker & Mathis, L.L.P.
CLMN Number of Claims: 23
ECL Exemplary Claim: 1
DRWN 2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 1465
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to novel inhibitors of androgen synthesis that are useful in the treatment of prostate cancer and benign prostatic hypertrophy. Novel compounds according to the present invention are steroid derivatives. These compounds are preferably substituted at the 17 position, with a heterocyclic or nonheterocyclic radical, for example, a 5-membered heterocyclic ring. The present invention also provides methods of synthesizing these novel compounds, pharmaceutical compositions containing these novel compounds, and methods of treating prostate cancer and benign prostatic hypertrophy using the androgen synthesis inhibitors of the present invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **68550-57-2P**
(17.alpha.-hydroxylase/C17,20-lyase and 5.alpha.-reductase inhibitory activity of; pregnene derivs. as androgen synthesis inhibitors)
RN 68550-57-2 USPATFULL
CN Pregna-4,17(20)-diene-20-carbonitrile, 3-oxo- (9CI) (CA INDEX NAME)

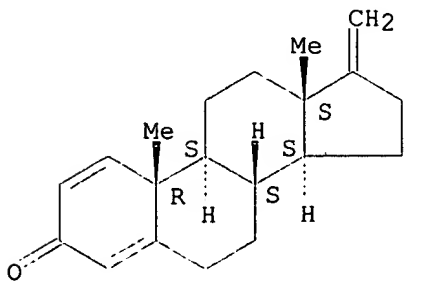
Absolute stereochemistry.
Double bond geometry unknown.



L74 ANSWER 8 OF 23 USPATFULL
AN 1999:128784 USPATFULL
TI Androstanes for inducing hypothalamic effects
IN Berliner, David L., Atherton, CA, United States
Adams, Nathan W., Salt Lake City, UT, United States
Jennings-White, Clive L., Salt Lake City, UT, United States
PA Pherin Corporation, Menlo Park, CA, United States (U.S. corporation)
PI US 5969168 19991019
AI US 1994-316435 19940929 (8)
RLI Continuation-in-part of Ser. No. US 1993-127908, filed on 28 Sep 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-903604, filed on 24 Jun 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-708936, filed on 31 May 1991, now abandoned which is a continuation-in-part of Ser. No. US 1991-638185, filed on 7 Jan 1991, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Dees, Jose' G.; Assistant Examiner: Badio, Barbara
LREP Heller Ehrman White & McAuliffe
CLMN Number of Claims: 7
ECL Exemplary Claim: 1
DRWN 54 Drawing Figure(s); 25 Drawing Page(s)
LN.CNT 1718
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to novel, androstane steroids which are the ligand semiochemicals which bind to neuroepithelial receptors. The steroids are useful as ligands to neuroepithelial receptors in the human vomeronasal gland to stimulate autonomic and hypothalamic activity.

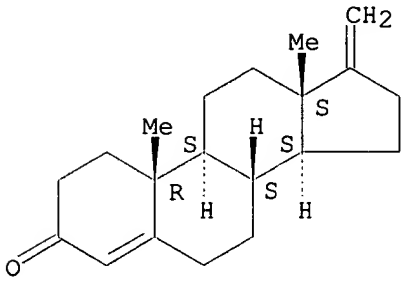
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **161061-86-5P**
(prepn. of androstanes for inducing hypothalamic effects)
RN 161061-86-5 USPATFULL
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **846-45-7P**
(prepn. of androstanes for inducing hypothalamic effects)
RN 846-45-7 USPATFULL
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

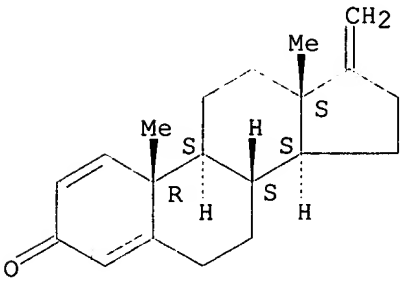


L74 ANSWER 9 OF 23 USPATFULL
AN 1999:124888 USPATFULL
TI Androstane steroids as neurochemical initators of change in human hypothalamic compositions and methods
IN Berliner, David L., Atherton, CA, United States
Adams, Nathan William, Salt Lake City, UT, United States
Jennings-White, Clive L., Salt Lake City, UT, United States
PA Pherin Pharmaceuticals, Inc., Menlo Park, CA, United States (U.S. corporation)
PI US 5965552 19991012
AI US 1998-212735 19981215 (9)
RLI Continuation of Ser. No. US 1996-654021, filed on 28 May 1996, now patented, Pat. No. US 5883087 which is a continuation of Ser. No. US 1993-127908, filed on 28 Sep 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-903604, filed on 24 Jun 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-708936, filed on 31 May 1991, now abandoned which is a continuation-in-part of Ser. No. US 1991-638185, filed on 7 Jan 1991, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Dees, Jose' G.; Assistant Examiner: Badio, Barbara
LREP Heller Ehrman White & McAuliffe
CLMN Number of Claims: 12
ECL Exemplary Claim: 1
DRWN 31 Drawing Figure(s); 10 Drawing Page(s)
LN.CNT 1402
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a method of altering hypothalamic function in an individual. The method comprises nasally administering a human semiochemical, e.g. an Androstane steroid, or a pharmaceutical composition containing a semiochemical, such that the ligand semiochemical binds to a specific neuroepithelial receptor. The steroid or steroids is/are preferably administered in the form of a pharmaceutical composition containing one or more pharmaceutically acceptable carriers. Other embodiments of the invention include pharmaceutical compositions containing the steroids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **161061-86-5P**
(androstane-induced human hypothalamic function alteration via nasal administration)

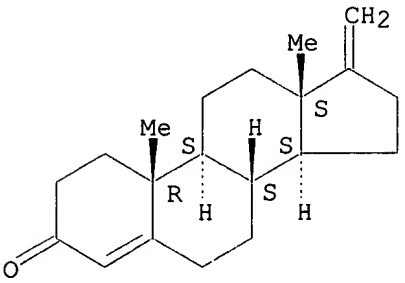
RN 161061-86-5 USPATFULL
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **846-45-7**
(in methyleneandrostenol prepn.)
RN 846-45-7 USPATFULL
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

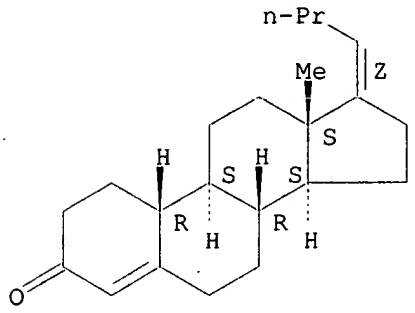


L74 ANSWER 10 OF 23 USPATFULL
AN 1999:78704 USPATFULL
TI 19-nor-cholane steroids as neurochemical initiators of change in human hypothalamic function
IN Jennings-White, Clive L., Salt Lake City, UT, United States
Berliner, David L., Atherton, CA, United States
Adams, Nathan W., Salt Lake City, UT, United States
PA Pherin Corporation, Menlo Park, CA, United States (U.S. corporation)
PI US 5922699 19990713
AI US 1996-660804 19960607 (8)
DT Utility
FS Granted
EXNAM Primary Examiner: Achutamurthy, Ponnathapura; Assistant Examiner: Ricigliano, Joseph W.
LREP Heller Ehrman White & McAuliffe
CLMN Number of Claims: 19
ECL Exemplary Claim: 1
DRWN 2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 906
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a method of altering hypothalamic function in an individual. The method comprises nasally administering a human vomeropherin, e.g. a 19-nor cholane steroid, or a pharmaceutical composition containing a vomeropherin, such that the vomeropherin binds to a specific neuroepithelial receptor. The steroid or steroids is/are preferably administered in the form of a pharmaceutical composition

containing one or more pharmaceutically acceptable carriers. Other embodiments of the invention include pharmaceutical compositions containing the steroids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **200511-34-8P**
(prepn. of 19-norcholanes as neurochem. initiators of change in human hypothalamic function)
RN 200511-34-8 USPATFULL
CN 19,21-Dinorchola-4,17(20)-dien-3-one, (17Z)- (9CI) (CA INDEX NAME)

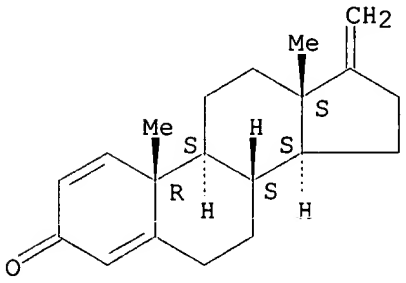
Absolute stereochemistry.
Double bond geometry as shown.



L74 ANSWER 11 OF 23 USPATFULL
AN 1999:33990 USPATFULL
TI Androstane steroids as neurochemical initiators of change in human hypothalamic function and related pharmaceutical compositions and methods
IN Berliner, David L., Atherton, CA, United States
Adams, Nathan William, Salt Lake City, UT, United States
Jennings-White, Clive L., Salt Lake City, UT, United States
PA Pherin Corporation, Menlo Park, CA, United States (U.S. corporation)
PI US 5883087 19990316
AI US 1996-654021 19960528 (8)
RLI Continuation of Ser. No. US 1993-127908, filed on 28 Sep 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-903604, filed on 24 Jun 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-708936, filed on 31 May 1991, now abandoned which is a continuation-in-part of Ser. No. US 1991-638185, filed on 7 Jan 1991, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Robinson, Allen J.; Assistant Examiner: Badio, Barbara
LREP Heller Ehrman White & McAuliffe
CLMN Number of Claims: 11
ECL Exemplary Claim: 1
DRWN 31 Drawing Figure(s); 10 Drawing Page(s)
LN.CNT 1334
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a method of altering hypothalamic function in an individual. The method comprises nasally administering a human semiochemical, e.g. an Androstane steroid, or a pharmaceutical composition containing a semiochemical, such that the ligand semiochemical binds to a specific neuroepithelial receptor. The steroid or steroids is/are preferably administered in the form of a pharmaceutical composition containing one or more pharmaceutically acceptable carriers. Other embodiments of the invention include pharmaceutical compositions containing the steroids.

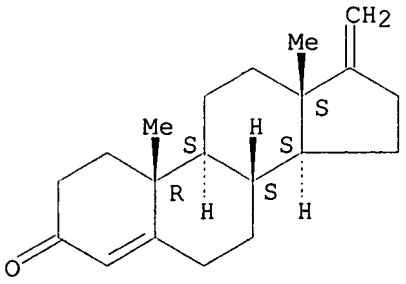
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **161061-86-5P**
(androstane-induced human hypothalamic function alteration via nasal administration)
RN 161061-86-5 USPATFULL
CN Androsta-1,4-dien-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **846-45-7**
(in methyleneandrostenol prepn.)
RN 846-45-7 USPATFULL
CN Androst-4-en-3-one, 17-methylene- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

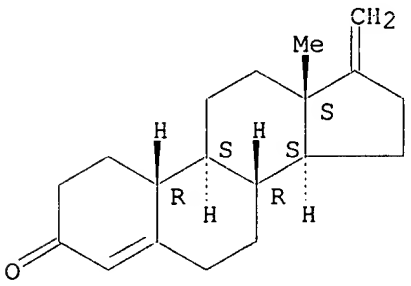


L74 ANSWER 12 OF 23 USPATFULL
AN 97:45152 USPATFULL
TI Estrenes for inducing hypothalamic effects
IN Berliner, David L., Atherton, CA, United States
Adams, Nathan W., Salt Lake City, UT, United States
Jennings-White, Clive L., Salt Lake City, UT, United States
PA Pherin Corporation, Menlo Park, CA, United States (U.S. corporation)
PI US 5633392 19970527
AI US 1995-454917 19950531 (8)
RLI Division of Ser. No. US 1994-316050, filed on 29 Sep 1994 which is a continuation-in-part of Ser. No. US 1993-127980, filed on 28 Sep 1993 which is a continuation-in-part of Ser. No. US 1992-903525, filed on 24 Jun 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-707862, filed on 31 May 1991, now abandoned which is a continuation-in-part of Ser. No. US 1991-638743, filed on 7 Jan 1991, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Cook, Rebecca
LREP Fish & Richardson P.C.
CLMN Number of Claims: 8

ECL Exemplary Claim: 1
DRWN 69 Drawing Figure(s); 38 Drawing Page(s)
LN.CNT 1896
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to estrene steroids, which bind to neuroepithelial receptors. The steroid is preferably administered in the form of a pharmaceutical composition containing one or more pharmaceutically acceptable carriers.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **177856-18-7P**
(prepn. of estrenes for inducing hypothalamic effects)
RN 177856-18-7 USPATFULL
CN Estr-4-en-3-one, 17-methylene- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

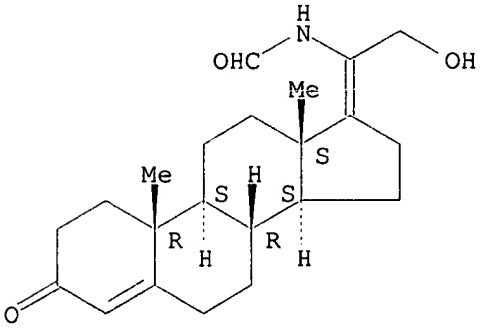


L74 ANSWER 13 OF 23 USPATFULL
AN 94:86534 USPATFULL
TI Process for steroid preparation
IN Buendia, Jean, Le Perreux sur Marne, France
Vivat, Michel, Lagny sur Marne, France
PA Roussel Uclaf, France (non-U.S. corporation)
PI US 5352808 19941004
AI US 1992-972228 19921105 (7)
PRAI FR 1991-13777 19911108
DT Utility
FS Granted
EXNAM Primary Examiner: Richter, Johann; Assistant Examiner: Kestler, Kimberly J.
LREP Bierman and Muserlian
CLMN Number of Claims: 15
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 491
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A process for the preparation of a compound of the formula ##STR1## wherein R.sub.1 is selected from the group consisting of hydrogen, alkyl of 1 to 4 carbon atoms optionally substituted by halogen or a nitrogen or oxygen function and alkenyl and alkynyl of 2 to 4 carbon atoms, R.sub.2 is alkyl of 1 to 4 carbon atoms and the A, B, C and D rings are optionally substituted by at least one member of the group consisting of optionally protected --OH or .dbd.O, halogen, alkyl and alkoxy of 1 to 4 carbon atoms and alkenyl and alkynyl of 2 to 4 carbon atoms comprising reacting a compound of the formula ##STR2## wherein R.sub.1 and R.sub.2 and the A, B, C and D rings are defined as above with an oxidizing agent in the presence of water and an at least partially water-miscible solvent to obtain a compound of the formula ##STR3## wherein R.sub.1 and R.sub.2 and the A, B, C and D rings are defined as above, subjecting the latter to a solvolysis in a basic or acidic media and optionally

subjecting the product to a deprotection reaction of any protected --OH or .dbd.O groups to obtain the compound of formula I and novel intermediates.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **150690-18-9P**
(prepn. and reaction of, in prepn. of oxodihydroxypregnene)
RN 150690-18-9 USPATFULL
CN Formamide, N-(21-hydroxy-3-oxopregna-4,17(20)-dien-20-yl)- (9CI) (CA INDEX NAME)

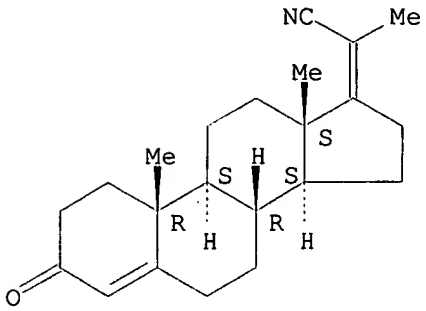
Absolute stereochemistry.
Double bond geometry unknown.



L74 ANSWER 14 OF 23 USPATFULL
AN 93:98371 USPATFULL
TI 20-substituted pregnene derivatives and their use as androgen synthesis inhibitors
IN Brodie, Angela, Fulton, MD, United States
Li, Jisong, Baltimore, MD, United States
PA Research Corporation Technologies, Inc., Tuscon, AZ, United States (U.S. corporation)
PI US 5264427 19931123
AI US 1992-827040 19920129 (7)
DT Utility
FS Granted
EXNAM Primary Examiner: Cintins, Marianne M.; Assistant Examiner: Kestler, Kimberly J.
LREP Dickstein, Shapiro & Morin
CLMN Number of Claims: 5
ECL Exemplary Claim: 1
DRWN 8 Drawing Figure(s); 4 Drawing Page(s)
LN.CNT 819
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel 20-substituted-pregnene derivatives, compositions containing such derivatives and methods for their use and manufacture are disclosed. The 20-substituted-pregnene derivatives inhibit the androgen biosynthesis enzymes 17(alpha)-hydroxylase/C.sub.17,20 -lyase and 5(alpha)-reducatase and are therefore useful for reducing or inhibiting production of androgens where they have an adverse role in a disease or physiological condition in vertebrate species.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **68550-57-2**
(prepn. as androgen biosynthesis inhibitor)
RN 68550-57-2 USPATFULL
CN Pregna-4,17(20)-diene-20-carbonitrile, 3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

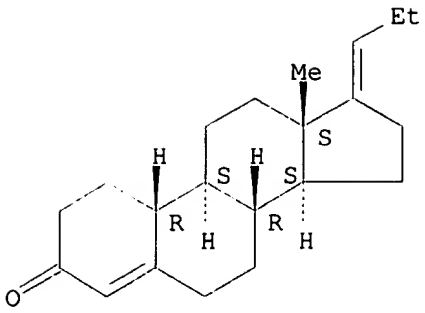


L74 ANSWER 15 OF 23 USPATFULL
AN 93:52570 USPATFULL
TI Derivatives of 19-nor progesterone; process for producing them and the pharmaceutical compositions incorporating them
IN Nasraoui, Nejib M., 103, avenue H.-Dunant, Bat. 10, F-06100 Nice, France
Piasco, Alain, 19, avenue Frederic-Mistral, F-06100 Nice, France
PI US 5223492 19930629
AI US 1991-749925 19910826 (7)
RLI Division of Ser. No. US 1989-381742, filed on 5 Sep 1989, now abandoned
PRAI FR 1987-14806 19871027
DT Utility
FS Granted
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Ward, E. C.
LREP Gifford, Groh, Sprinkle, Patmore and Anderson
CLMN Number of Claims: 8
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 334
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to the field of chemistry and more precisely to the field of medicinal Chemistry.

It has specifically as subject matter the compounds of general formula I ##STR1## wherein R is a hydrogen, a lower alkyl radical a methoxymethyl, a tetrahydropyranyl or the acyl residue of an organic carboxylic.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **123482-05-3P**
(prepn. and conversion of, to enol ether)
RN 123482-05-3 USPATFULL
CN Estr-4-en-3-one, 17-propylidene- (9CI) (CA INDEX NAME)

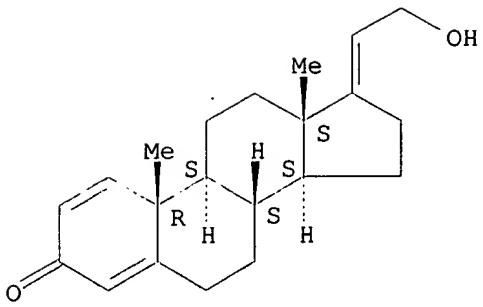
Absolute stereochemistry.
Double bond geometry unknown.



L74 ANSWER 16 OF 23 USPATFULL
AN 91:17225 USPATFULL
TI Amino-9,10-secosteroids useful for treating head injury, spinal cord trauma or stroke
IN Gall, Martin, Kalamazoo, MI, United States
Higuchi, Robert I., Palo Alto, CA, United States
PA The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)
PI US 4996318 19910226
WO 8807527 19881006
AI US 1989-438480 19890919 (7)
WO 1988-US817 19880318
19890919 PCT 371 date
19890919 PCT 102(e) date
DT Utility
FS Granted
EXNAM Primary Examiner: Shen, Cecilia
LREP Stein, Bruce
CLMN Number of Claims: 18
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2205
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The amino-9,10-secosteroids ##STR1## of the present invention contain an amino group attached to the terminal carbon atom of the C.sub.17 -side chain and are useful as pharmaceutical agents for treating a number of conditions including spinal trauma, mild and/or moderate to severe head injury, etc.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **119364-22-6**
(reaction of, in prepn. of secosteroid drug)
RN 119364-22-6 USPATFULL
CN Pregna-1,4,17(20)-trien-3-one, 21-hydroxy- (9CI) (CA INDEX NAME)

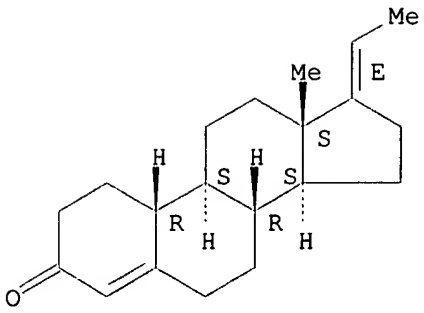
Absolute stereochemistry.
Double bond geometry unknown.



L74 ANSWER 17 OF 23 USPATFULL
AN 88:4151 USPATFULL
TI New process for manufacturing derivatives of 17 alpha-hydroxy 19-nor progesterone and novel intermediates for use therein
IN Tchernatinsky, Claude, Beausoleil, France
PA Laboratoire Theramex, Monaco (non-U.S. corporation)
PI US 4720357 19880119
AI US 1985-766481 19850819 (6)
DT Utility
FS Granted
EXNAM Primary Examiner: Schenkman, Leonard; Assistant Examiner: Lipovsky, Joseph A.
LREP Gifford, Groh, VanOphem, Sheridan, Sprinkle & Dolgorukov
CLMN Number of Claims: 12
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 356
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A process for making a known 6-methyl, 19-nor-pregna-4, 6-diene, 3.20-dione which begins with formylating a 3-alcoxy, 19-nor-pregna-3,5,17(20)-triene at the 6 position. The 6-formylated derivative is reduced to yield a 6-hydroxy methylated derivative, which is in turn dehydrated to a 3-keto, 6-methylenic derivative. The 3-keto derivative is then isomerized to a 3-keto, 4,6,17-pregnatriene. This latter triene is then coverted to the known product by reaction with a bis-hydroxylating agent and a catalyst based on osmium tetroxide. Optionally, the product can be acylated at the 17-alpha position. The process reduces the cost of producing the known product by allowing it to be manufactured from starting materials less costly than those previously required.

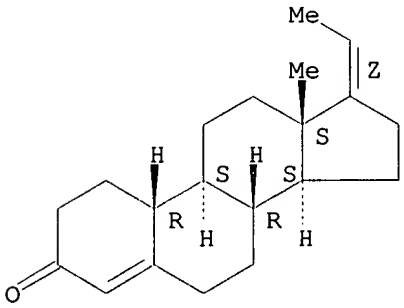
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **98576-37-5**
(enolization-ethylation of)
RN 98576-37-5 USPATFULL
CN 19-Norpregna-4,17(20)-dien-3-one, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **98576-39-7P**
(prepn. and enolization-methylation of)
RN 98576-39-7 USPATFULL
CN 19-Norpregna-4,17(20)-dien-3-one, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L74 ANSWER 18 OF 23 USPATFULL
AN 86:26598 USPATFULL
TI Novel androstane derivatives, process for their production, and pharmaceutical preparations containing them
IN Bittler, Dieter, Berlin, Germany, Federal Republic of
Laurent, Henry, Berlin, Germany, Federal Republic of
Nickisch, Klaus, Berlin, Germany, Federal Republic of
Wiechert, Rudolf, Berlin, Germany, Federal Republic of
PA Schering Aktiengesellschaft, Berlin and Bergkamen, Germany, Federal Republic of (non-U.S. corporation)
PI US 4587235 19860506
AI US 1984-625147 19840627 (6)
RLI Division of Ser. No. US 1982-403279, filed on 29 Jul 1982, now patented, Pat. No. US 4457925, issued on 8 Nov 1985
PRAI DE 1981-3130644 19810729
DT Utility
FS Granted
EXNAM Primary Examiner: Roberts, Elbert L.
LREP Millen & White
CLMN Number of Claims: 2
ECL Exemplary Claim: 1,2
DRWN No Drawings
LN.CNT 1120
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Androstane derivatives of Formula I ##STR1## wherein is a single bond or a double bond,

R.sub.1 is methyl or ethyl,

R.sub.2 is hydrogen or alkyl of 1-8 carbon atoms,

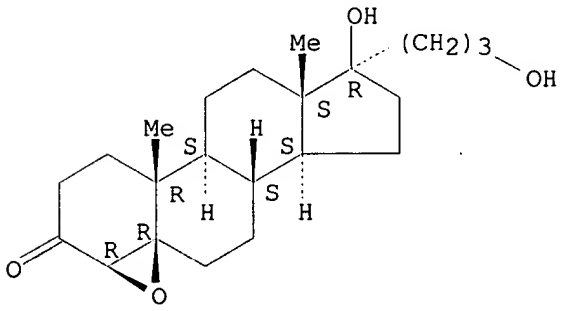
--X-- is --(CH.sub.2).sub.n --, --CH.dbd.CH(CH.sub.2).sub.m --, or --C.tbd.C--(CH.sub.2).sub.m -- wherein n is 2 to 6 and m is 1 to 4,

--A--B-- is --CH.sub.2 --CH.sub.2 --, --CH.dbd.CH--, --CCl.dbd.CH--, ##STR2## --U--V< is --CH.sub.2 --CH<, --CH.dbd.C<, --C(OH).dbd.C<, or --CCl.dbd.C<, and

--W--Y-- is --CH.sub.2 --CH.sub.2 --, --CH.sub.2 --C(CH.sub.3).sub.2 --, or ##STR3## with the proviso that the compound is not 17.alpha.-(3-acetoxypropyl)-17.beta.-hydroxy-4,6-androstadien-3-one, are pharmacologically efficacious compounds, e.g., are sebum suppressive.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **85756-00-9P**
(prepn. and acylation of)
RN 85756-00-9 USPATFULL
CN Androstan-3-one, 4,5-epoxy-17-hydroxy-17-(3-hydroxypropyl)-, (4.beta.,5.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L74 ANSWER 19 OF 23 USPATFULL
AN 84:37147 USPATFULL
TI Androstane derivatives, process for their production, and pharmaceutical preparations containing them
IN Bittler, Dieter, Berlin, Germany, Federal Republic of
Laurent, Henry, Berlin, Germany, Federal Republic of
Nickisch, Klaus, Berlin, Germany, Federal Republic of
Wiechert, Rudolf, Berlin, Germany, Federal Republic of
PA Schering, Aktiengesellschaft, Berlin and Bergkamen, Germany, Federal Republic of (non-U.S. corporation)
PI US 4457925 19840703
AI US 1982-403279 19820729 (6)
PRAI DE 1981-3130644 19810729
DT Utility
FS Granted
EXNAM Primary Examiner: Roberts, Elbert L.
LREP Millen & White
CLMN Number of Claims: 44
ECL Exemplary Claim: 1,40
DRWN No Drawings
LN.CNT 1243
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Androstane derivatives of Formula I ##STR1## wherein ---- is a single bond or a double bond,

R.sub.1 is methyl or ethyl,

R.sup.2 is hydrogen or alkyl of 1-8 carbon atoms,

--X-- is --(CH.sub.2).sub.n --, --CH.dbd.CH(CH.sub.2).sub.m --, or --C.tbd.C--(CH.sub.2).sub.m --

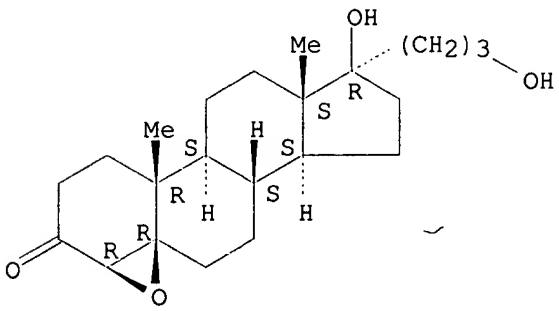
wherein n is 2 to 6 and m is 1 to 4,

--A--B-- is --CH.sub.2 --CH.sub.2 --, --CH.dbd.CH--, --CCl.dbd.CH--, ##STR2## --U--V< is --CH.sub.2 --CH<, --CH.dbd.C<, --C(OH).dbd.C<, or --CCl.dbd.C<, and

--W--Y-- is --CH.sub.2 --CH.sub.2 --, --CH.sub.2 --C(CH.sub.3).sub.2 --, or ##STR3## with the proviso that the compound is not 17.alpha.-(3-acetoxypropyl)-17.beta.-hydroxy-4,6-androstadien-3-one, are pharmacologically efficacious compounds, e.g., are sebum suppressive.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **85756-00-9P**
(prepn. and acylation of)
RN 85756-00-9 USPATFULL
CN Androstan-3-one, 4,5-epoxy-17-hydroxy-17-(3-hydroxypropyl)-, (4.beta.,5.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

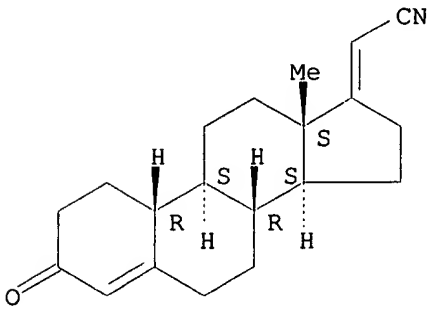


L74 ANSWER 20 OF 23 USPATFULL
AN 83:25048 USPATFULL
TI 3-Oxoestra-17-acetonitrile and unsaturated analogs
IN Lenz, George R., Glenview, IL, United States
PA G.D. Searle & Co., Skokie, IL, United States (U.S. corporation)
PI US 4389345 19830621
AI US 1981-310204 19811009 (6)
DT Utility
FS Granted
EXNAM Primary Examiner: Roberts, Elbert L.
LREP Passe, James G.
CLMN Number of Claims: 15
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 355
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to cyano steroids of formula I. These compounds exhibit progestational activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **87301-76-6P**

(prepn. of)
RN 87301-76-6 USPATFULL
CN 19-Norpregna-4,17(20)-diene-21-nitrile, 3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

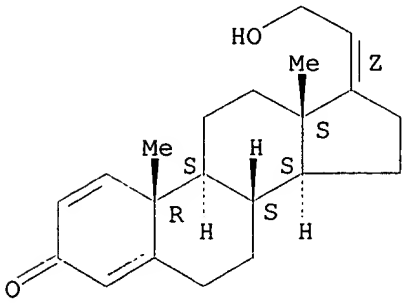


L74 ANSWER 21 OF 23 USPATFULL
AN 83:4032 USPATFULL
TI Process for the partial reduction of C21-steroid carboxylic acids and their esters to C21-steroid alcohols and new C21-steroid alcohols
IN Preuss, Wolfgang, Monheim, Germany, Federal Republic of
PA Henkel Kommanditgesellschaft auf Aktien (Henkel KGaA), Dusseldorf-Holthausen, Germany, Federal Republic of (non-U.S. corporation)
PI US 4370271 19830125
AI US 1981-262969 19810512 (6)
PRAI AT 1980-2628 19800516
DT Utility
FS Granted
EXNAM Primary Examiner: Roberts, Elbert L.
LREP Hammond & Littell, Weissenberger and Muserlian
CLMN Number of Claims: 10
ECL Exemplary Claim: 1,8
DRWN No Drawings
LN.CNT 423
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A process for the partial reduction of C21-steroid carboxylic acids and their esters to C21-steroid alcohols and new C21-steroid alcohols

.DELTA.4,17(20)-C21-steroid carboxylic acids optionally containing further double bonds in the 1- and/or 9(11)-position and their esters corresponding to general formula I below ##STR1## in which R represents hydrogen or a hydrocarbon radical and A represents hydrogen, hydroxyl or, together with the C-atom substituted by A, a carbonyl group and in which, finally, the substituent A may even be replaced by an additional olefinic double bond in the 9(11)-position, are reacted with diisobutyl aluminium hydride without the A-ring in the steroid skeleton being blocked in such quantities that all the oxygen-containing functional groups are reduced to the hydroxyl group. The aluminium-containing intermediate reaction product is then subjected to the selective Oppenauer oxidation to form the 3-keto compound. The 3-oxo-C21-steroid alcohols may be obtained in high yields in this way. The process is suitable for the preparation of pharmacologically active steroid compounds having the 17,21-diol-20-one configuration. It enables the new compuonds, pregna-1,4,17(20)-triene-3-one-21-ol and pregna-1,4,9(11),17(20)-tetraene-3-one-21-ol and their 21-acetoxy compounds, to be obtained.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **81330-62-3P**
(prepn. and acetylation of)
RN 81330-62-3 USPATFULL
CN Pregna-1,4,17(20)-trien-3-one, 21-hydroxy-, (17Z)- (9CI) (CA INDEX NAME)

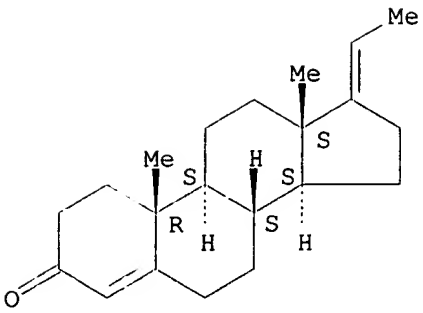
Absolute stereochemistry.
Double bond geometry as shown.



L74 ANSWER 22 OF 23 USPATFULL
AN 81:31724 USPATFULL
TI Dehydroformylation of steroidal aldehydes
IN McCombs, Charles A., Kingsport, TN, United States
Foster, Charles H., Kingsport, TN, United States
PA Eastman Kodak Company, Rochester, NY, United States (U.S. corporation)
PI US 4272444 19810609
AI US 1980-178043 19800814 (6)
DT Utility
FS Granted
EXNAM Primary Examiner: Roberts, Elbert L.
LREP Tootle, Clyde L., Reece, III, Daniel B.
CLMN Number of Claims: 12
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 188
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a process for dehydroformylation of dinorcholanaldehydes and dinorcholenaldehydes to form 17(20)-pregnenes or 20-pregnenes. The dehydroformylation is carried out using a noble metal catalyst, and preferably carried out in the presence of a hydrogen acceptor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **1667-83-0P**
(prepn. of)
RN 1667-83-0 USPATFULL
CN Pregna-4,17(20)-dien-3-one (7CI, 8CI, 9CI) (CA INDEX NAME)

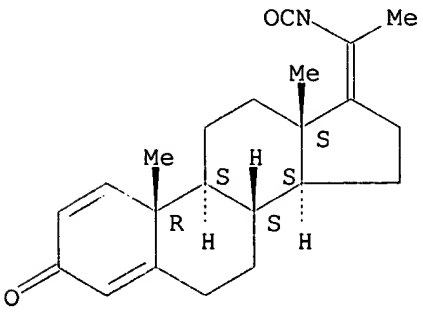
Absolute stereochemistry.
Double bond geometry unknown.



L74 ANSWER 23 OF 23 USPATFULL
AN 81:13508 USPATFULL
TI Steroid production
IN Krbechek, Leroy O., Minneapolis, MN, United States
PA Henkel Corporation, Minneapolis, MN, United States (U.S. corporation)
PI US 4255345 19810310
AI US 1980-122397 19800219 (6)
DT Utility
FS Granted
EXNAM Primary Examiner: Roberts, Elbert L.
LREP Collins, Forrest L., Span, Patrick J.
CLMN Number of Claims: 37
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 400
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention discusses the production of useful steroids through starting with a steroid which has an acid side chain attached to the steroid ring structure. The present invention also describes and claims several novel compounds obtained through the described process.

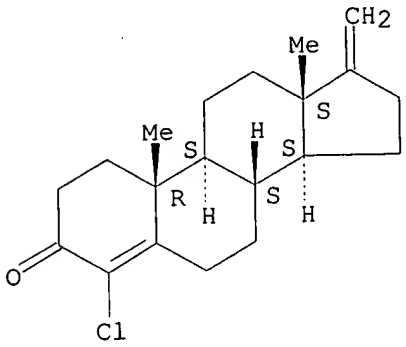
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **77546-74-8P**
(prepn. of)
RN 77546-74-8 USPATFULL
CN Pregna-1,4,17(20)-trien-3-one, 20-isocyanato- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS
RN **969-14-2** REGISTRY
CN Androst-4-en-3-one, 4-chloro-17-methylene- (7CI, 8CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H27 Cl O
LC STN Files: CA, CAOLD, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

12/15/2002